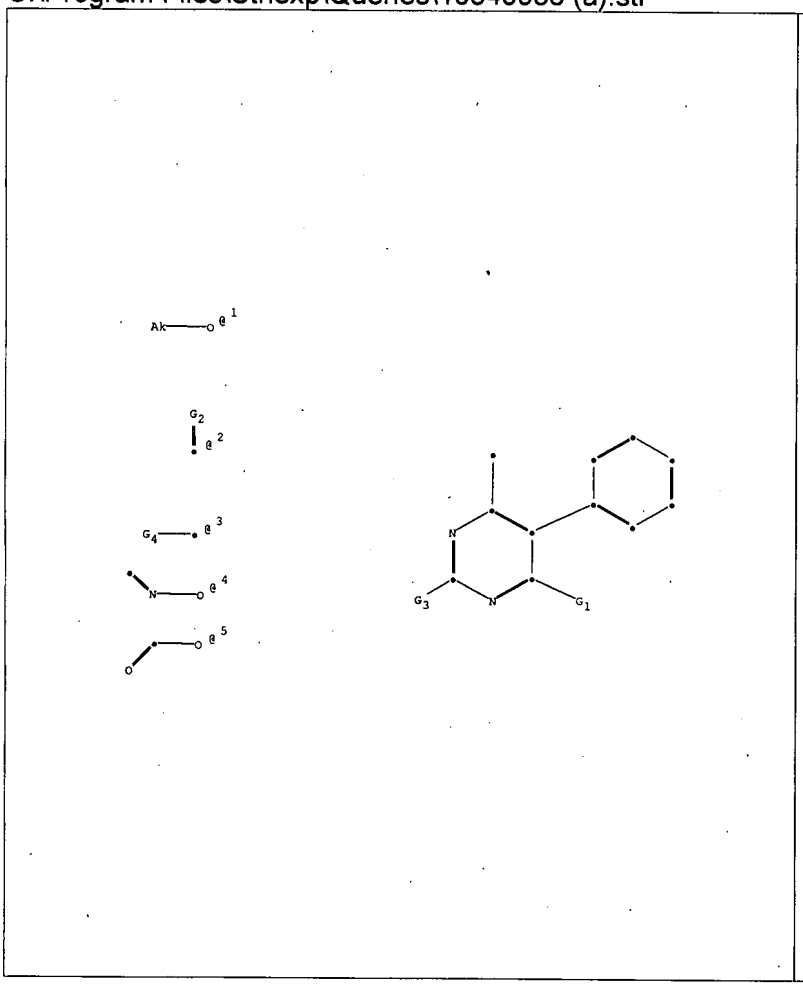
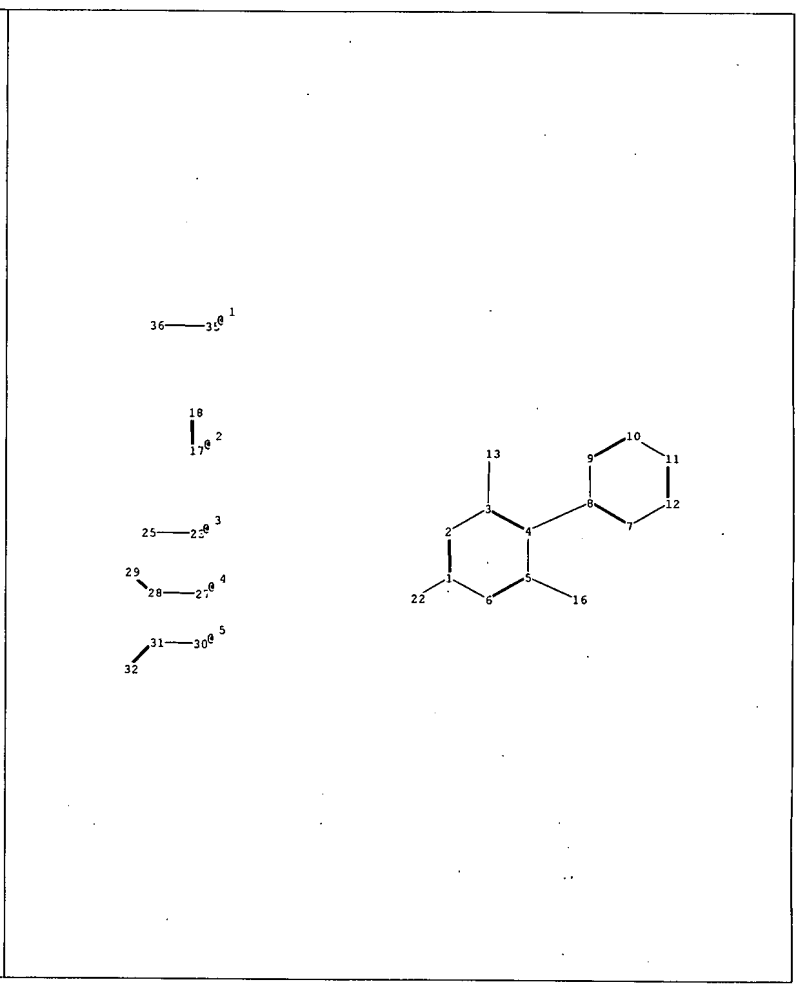
EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	5265	((544/242,334,335) or (514/256)). CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2007/09/30 15:39

C:\Program Files\Stnexp\Queries\10549936 (a).str





chain nodes :
16 17 18 22 23 25 27 28 29 30 31 32 35 36
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
ring/chain nodes :
13
chain bonds :
1-22 3-13 4-8 5-16 17-18 23-25 27-28 28-29 30-31 31-32 35-36
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-22 5-16 17-18 23-25 27-28 28-29 30-31 31-32 35-36
exact bonds :
3-13 4-8
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

G1:Cl,Br,F,I,CN,Ak,[*1]

G2:C,N,S

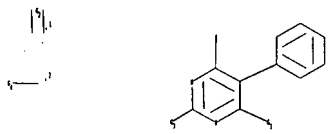
G3:CN,N,[*2],[*3],[*4],[*5]

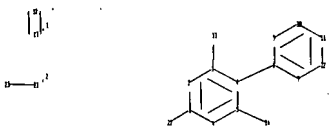
G4:O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 16:CLASS 17:CLASS 18:CLASS 22:CLASS 23:CLASS 25:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 35:CLASS 36:CLASS

```
=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end


=> screen 1839

L1    SCREEN CREATED

=> screen 2016 OR  2026 OR  2039 OR  2045 OR  2047

L2    SCREEN CREATED

=>
Uploading C:\Program Files\Stnexp\Queries\10549936.str
```





```
chain nodes :
16  17  18  22  23  25
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
ring/chain nodes :
13
chain bonds :
1-22  3-13  4-8  5-16  17-18  23-25
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
1-22  5-16  17-18  23-25
exact bonds :
3-13  4-8
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
isolated ring systems :
```

containing 1 : 7 :

G1:C,O,Cl,Br,F,I,CN

G2:C,N,S

G3:CN,O,N,[*1],[*2]

G4:O,N

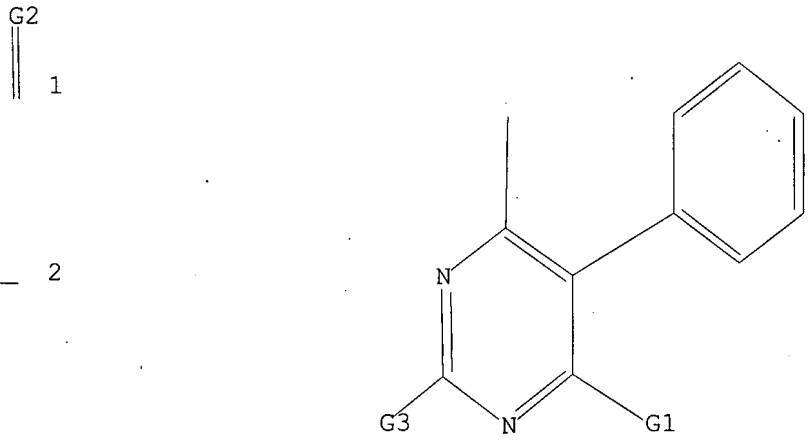
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 16:CLASS 17:CLASS 18:CLASS 22:CLASS 23:CLASS
25:CLASS

L3 STRUCTURE UPLOADED

=> que L3 AND L1 NOT L2

L4 QUE L3 AND L1 NOT L2

=> d l4
L4 HAS NO ANSWERS
L1 SCR 1839
L2 SCR 2016 OR 2026 OR 2039 OR 2045 OR 2047
L3 STR



G1 C,O,Cl,Br,F,I,CN

G2 C,N,S

G3 CN,O,N,[@1],[@2]

G4 O,N

Structure attributes must be viewed using STN Express query preparation.
L4 QUE L3 AND L1 NOT L2

=> s l4 sss sam
SAMPLE SEARCH INITIATED 13:46:11 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 67 TO ITERATE

100.0% PROCESSED 67 ITERATIONS 18 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 849 TO 1831
PROJECTED ANSWERS: 106 TO 614

L5 18 SEA SSS SAM L3 AND L1 NOT L2

=> => ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

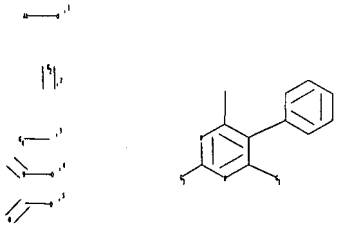
=> screen 1839

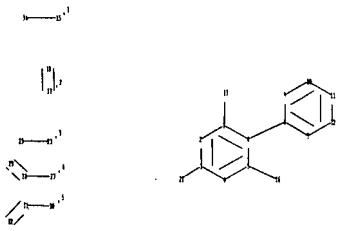
L6 SCREEN CREATED

=> screen 2016 OR 2026 OR 2039 OR 2045 OR 2047

L7 SCREEN CREATED

=>
Uploading C:\Program Files\Stnexp\Queries\10549936 (a).str



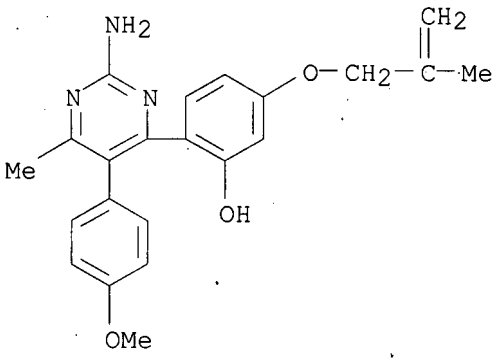


chain nodes :

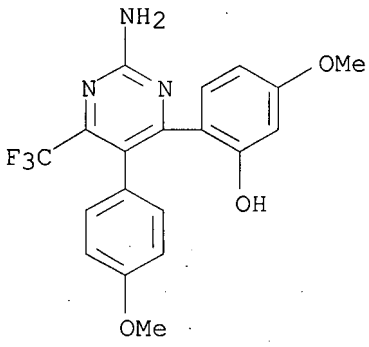
```
16  17  18  22  23  25  27  28  29  30  31  32  35  36
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12
ring/chain nodes :
13
chain bonds :
1-22  3-13  4-8  5-16  17-18  23-25  27-28  28-29  30-31  31-32  35-36
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
exact/norm bonds :
1-22  5-16  17-18  23-25  27-28  28-29  30-31  31-32  35-36
exact bonds :
3-13  4-8
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-12  8-9  9-10  10-11  11-12
isolated ring systems :
containing 1 : 7 :

G1:Cl,Br,F,I,CN,Ak,[*1]

G2:C,N,S

G3:CN,N,[*2],[*3],[*4],[*5]

G4:O,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS  16:CLASS  17:CLASS  18:CLASS  22:CLASS  23:CLASS
25:CLASS  27:CLASS  28:CLASS  29:CLASS  30:CLASS  31:CLASS  32:CLASS  35:CLASS
36:CLASS



L8      STRUCTURE UPLOADED

=> que L8 AND L6 NOT L7

L9   QUE L8 AND L6 NOT L7

=> d 19
L9 HAS NO ANSWERS
L6              SCR 1839
L7              SCR 2016 OR 2026 OR 2039 OR 2045 OR 2047
L8              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L9              QUE  L8 AND L6 NOT L7


=> s l9 sss sam
SAMPLE SEARCH INITIATED 13:54:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      340 TO ITERATE

100.0% PROCESSED      340 ITERATIONS                          7 ANSWERS
SEARCH TIME: 00.00.01
```

```
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5694 TO     7906
PROJECTED ANSWERS:               7 TO      298

L10           7 SEA SSS SAM L8 AND L6 NOT L7

=> => s l9 sss ful
FULL SEARCH INITIATED 13:55:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     7730 TO ITERATE

100.0% PROCESSED     7730 ITERATIONS                          279 ANSWERS
SEARCH TIME: 00.00.01

L11         279 SEA SSS FUL L8 AND L6 NOT L7

=> => s l11
L12          52 L11

=> d l12 1-52 bib,ab,hitstr
```

L12 ANSWER 1 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:205920 CAPLUS
DN 146:441554
TI Reaction of analogs of natural isoflavonoids with amidines
AU Frasinyuk, M. S.; Bondarenko, S. P.; Khilya, V. P.
CS Institute of Bioorganic and Petroleum Chemistry, National Academy of Sciences of Ukraine, Kiev, 02094, Ukraine
SO Chemistry of Natural Compounds (2006), 42(6), 673-676
CODEN: CHNCA8; ISSN: 0009-3130
PB Springer
DT Journal
LA English
AB Recyclization of the chromone ring in a series of analogs of natural isoflavonoids by reaction with amidines was studied. E.g., pyrimidines I (R = NH2, H, Me) were prepared in 85, 82, and 74% yields, resp., by reacting isoflavanoid II with the corresponding amidines RC(:NH)NH2 in DMF using freshly calcined potash at 75-80° for 4-20 h followed by treatment of the reaction mixture with dilute HCl to adjust the pH to 6.
IT 850728-98-2P 877808-42-9P 900262-61-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reaction of analogs of natural isoflavonoids with amidines)
RN 850728-98-2 CAPLUS
CN Phenol, 2-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-5-[(2-methyl-2-propen-1-yl)oxy]- (CA INDEX NAME)

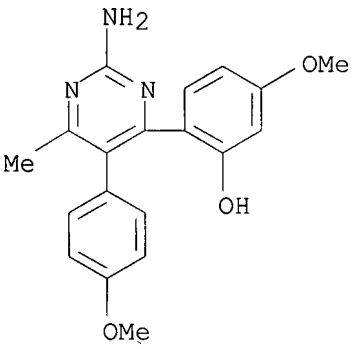


RN 877808-42-9 CAPLUS
CN Phenol, 2-[2-amino-5-(4-methoxyphenyl)-6-(trifluoromethyl)-4-pyrimidinyl]-5-methoxy- (CA INDEX NAME)



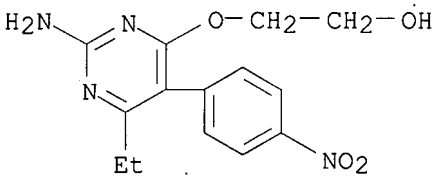
RN 900262-61-5 CAPLUS

CN Phenol, 2-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-5-methoxy- (CA INDEX NAME)

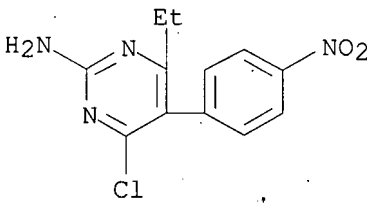


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:188882 CAPLUS
DN 144:432768
TI Optimization of 2,4-diaminopyrimidines as GHS-R antagonists: Side chain exploration
AU Liu, Bo; Liu, Mei; Xin, Zhili; Zhao, Hongyu; Serby, Michael D.; Kosogof, Christi; Nelson, Lissa T. J.; Szczepankiewicz, Bruce G.; Kaszubska, Wiweka; Schaefer, Verlyn G.; Falls, H. Douglas; Lin, Chun Wei; Collins, Christine A.; Sham, Hing L.; Liu, Gang
CS Metabolic Disease Research, Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(7), 1864-1868
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 144:432768
AB The synthesis and structure-activity relationships of the 4- and 6-substituents of 2,4-diaminopyrimidine-based growth hormone secretagogue receptor (GHS-R) antagonists are described. Diaminopyrimidines I [R = 2-norbornenyl, 2-tetrahydrofuranyl] exhibit potent GHS-R antagonism and good selectivity (.apprx.1000-fold) against dihydrofolate reductase.
IT 861103-26-6P 861103-29-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (methanesulfonylbenzyl)aminophenyl diaminopyrimidines as growth hormone secretagogue receptor antagonists)
RN 861103-26-6 CAPLUS
CN Ethanol, 2-[[2-amino-6-ethyl-5-(4-nitrophenyl)-4-pyrimidinyl]oxy]- (CA INDEX NAME)



RN 861103-29-9 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-ethyl-5-(4-nitrophenyl)- (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:54920 CAPLUS
DN 144:128999
TI Preparation of arylpyrimidines as agrochemical fungicides.
IN Rheinheimer, Joachim; Schieweck, Frank; Grote, Thomas; Blettner, Carsten; Schwoegler, Anja; Gewehr, Markus; Grammenos, Wassilios; Huenger, Udo; Mueller, Bernd; Schaefer, Peter; Dietz, Jochen; Speakman, John-Bryan; Scherer, Maria; Strathmann, Siegfried; Schoefl, Ulrich; Stierl, Reinhard
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

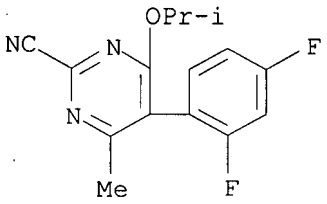
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006005571	A1	20060119	WO 2005-EP7517	20050712
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	EP 1768972	A1	20070404	EP 2005-758019	20050712
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 1984902	A	20070620	CN 2005-80023702	20050712
PRAI	DE 2004-102004034197	A	20040714		
	WO 2005-EP7517	W	20050712		

OS MARPAT 144:128999
AB Title compds. [I; Y = O, S; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkylamino; R3 = halo, cyano, N3, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, alkenyloxy, alkynyloxy, alkylthio, alkylamino; R4 = 5-6 membered (aromatic) mono- or bicyclic heterocyclyl; B = Ph, 5-6 membered heteroaryl; L = halo, cyano, OCN, NO2, alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, cycloalkyl, cycloalkenyl, cycloalkoxy, cycloalkenyloxy, acyl], were prepared Thus, pyrazole in DMF was stirred 1 h with NaH in DMF at 0-5° and the resulting solution was added to 4-chloro-6-isopropoxy-2-methylsulfonyl-5-(2,4,6-trifluorophenyl)pyrimidine (preparation given) in DMF over 20 min. followed by stirring overnight to give 4-chloro-6-isopropoxy-2-(pyrazol-1-yl)-5-(2,4,6-trifluorophenyl)pyrimidine. Numerous I at 250 ppm reduced Alternaria solani infection of tomato plants to ≤20%, vs. 90% for untreated controls.
IT 873682-70-3P 873682-72-5P 873682-77-0P
873682-90-7P 873682-98-5P 873682-99-6P
873683-00-2P 873683-01-3P 873683-02-4P
873683-03-5P 873683-04-6P 873683-05-7P
873683-06-8P 873683-07-9P 873683-08-0P
873683-09-1P 873683-10-4P 873683-11-5P
873683-12-6P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrimidines as agrochem. fungicides)

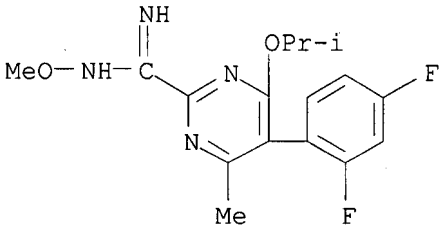
RN 873682-70-3 CAPLUS

CN 2-Pyrimidinecarbonitrile, 5-(2,4-difluorophenyl)-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



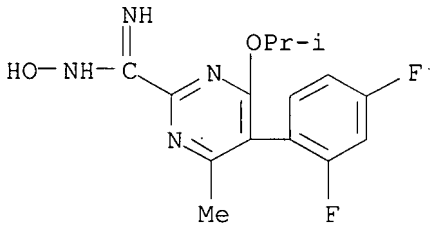
RN 873682-72-5 CAPLUS

CN 2-Pyrimidinecarboximidamide, 5-(2,4-difluorophenyl)-N-methoxy-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



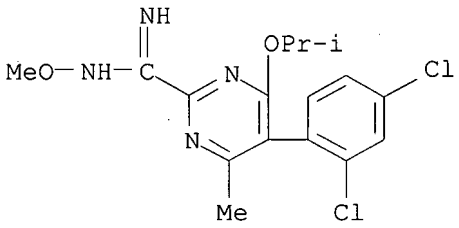
RN 873682-77-0 CAPLUS

CN 2-Pyrimidinecarboximidamide, 5-(2,4-difluorophenyl)-N-hydroxy-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)

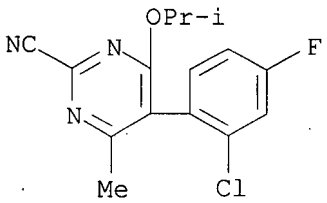


RN 873682-90-7 CAPLUS

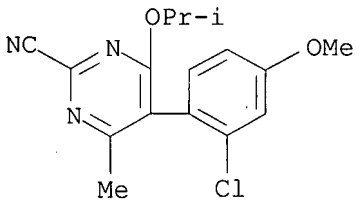
CN 2-Pyrimidinecarboximidamide, 5-(2,4-dichlorophenyl)-N-methoxy-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



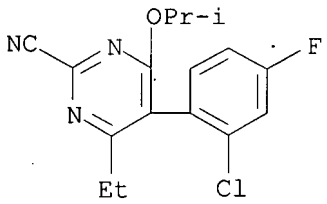
RN 873682-98-5 CAPLUS
CN 2-Pyrimidinecarbonitrile, 5-(2-chloro-4-fluorophenyl)-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



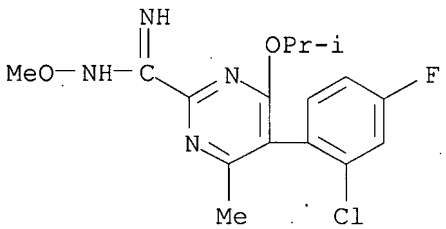
RN 873682-99-6 CAPLUS
CN 2-Pyrimidinecarbonitrile, 5-(2-chloro-4-methoxyphenyl)-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



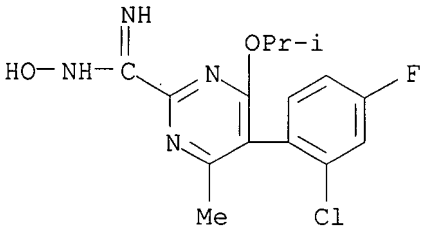
RN 873683-00-2 CAPLUS
CN 2-Pyrimidinecarbonitrile, 5-(2-chloro-4-fluorophenyl)-4-ethyl-6-(1-methylethoxy)- (CA INDEX NAME)



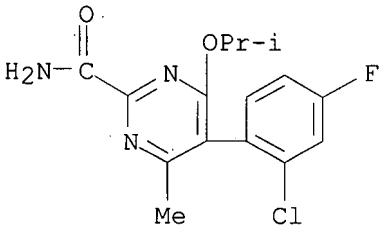
RN 873683-01-3 CAPLUS
CN 2-Pyrimidinecarboximidamide, 5-(2-chloro-4-fluorophenyl)-N-methoxy-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



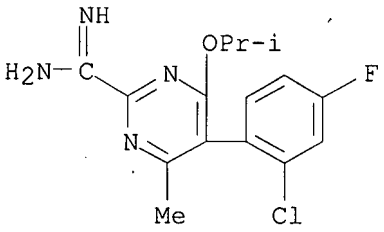
RN 873683-02-4 CAPLUS
CN 2-Pyrimidinecarboximidamide, 5-(2-chloro-4-fluorophenyl)-N-hydroxy-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



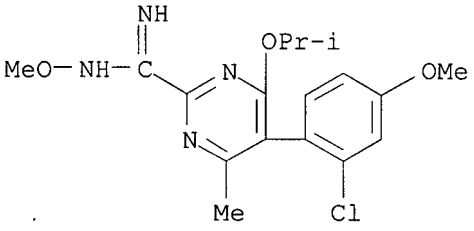
RN 873683-03-5 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(2-chloro-4-fluorophenyl)-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



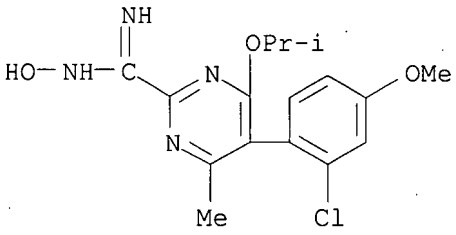
RN 873683-04-6 CAPLUS
CN 2-Pyrimidinecarboximidamide, 5-(2-chloro-4-fluorophenyl)-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



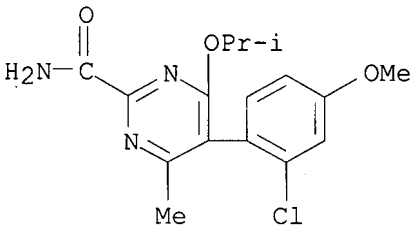
RN 873683-05-7 CAPLUS
CN 2-Pyrimidinecarboximidamide, 5-(2-chloro-4-methoxyphenyl)-N-methoxy-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



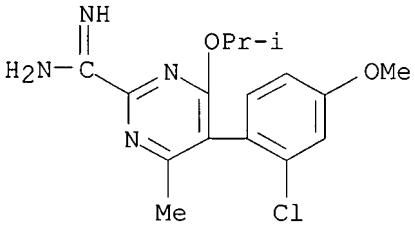
RN 873683-06-8 CAPLUS
CN 2-Pyrimidinecarboximidamide, 5-(2-chloro-4-methoxyphenyl)-N-hydroxy-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



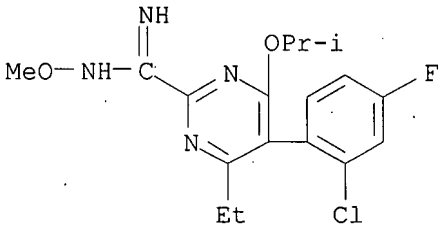
RN 873683-07-9 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(2-chloro-4-methoxyphenyl)-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



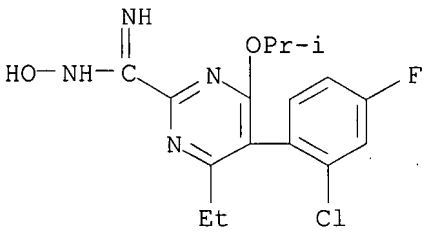
RN 873683-08-0 CAPLUS
CN 2-Pyrimidinecarboximidamide, 5-(2-chloro-4-methoxyphenyl)-4-methyl-6-(1-methylethoxy)- (CA INDEX NAME)



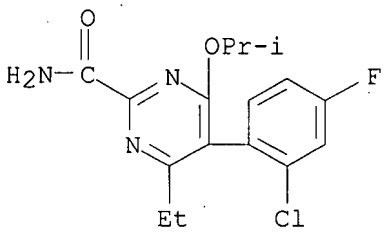
RN 873683-09-1 CAPLUS
CN 2-Pyrimidinecarboximidamide, 5-(2-chloro-4-fluorophenyl)-4-ethyl-N-methoxy-6-(1-methylethoxy)- (CA INDEX NAME)



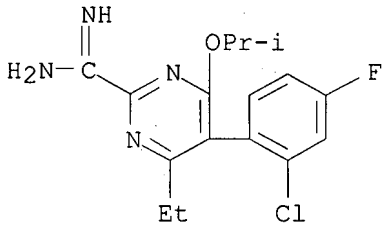
RN 873683-10-4 CAPLUS
CN 2-Pyrimidinecarboximidamide, 5-(2-chloro-4-fluorophenyl)-4-ethyl-N-hydroxy-6-(1-methylethoxy)- (CA INDEX NAME)



RN 873683-11-5 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(2-chloro-4-fluorophenyl)-4-ethyl-6-(1-methylethoxy)- (CA INDEX NAME)



RN 873683-12-6 CAPLUS
CN 2-Pyrimidinecarboximidamide, 5-(2-chloro-4-fluorophenyl)-4-ethyl-6-(1-methylethoxy)- (CA INDEX NAME)

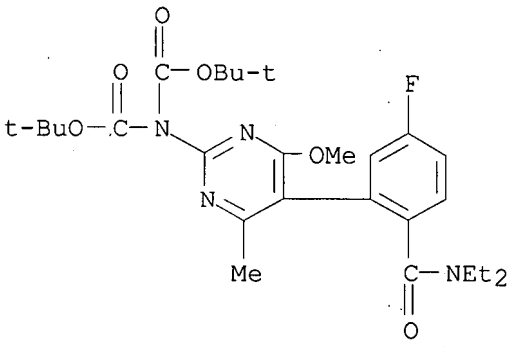


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1200349 CAPLUS
DN 143:460175
TI Preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer
IN Rodgers, James D.; Robinson, Darius J.; Arvanitis, Argyrios G.; Maduskuie, Thomas P., Jr.; Shepard, Stacey; Storace, Louis; Wang, Heisheng; Rafalski, Maria; Jalluri, Ravi K.; Combs, Andrew P.; Crawley, Matthew L.
PA Incyte Corporation, USA
SO PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005105814	A1	20051110	WO 2005-US14494	20050427
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2006106020	A1	20060518	US 2005-115702	20050427
PRAI	US 2004-566142P	P	20040428		
	US 2004-626111P	P	20041108		

OS MARPAT 143:460175
AB The invention is related to tetracyclic compds. of formula (I) [X, Y, Z, W = independently N, NO, CH and derivs.; ring A = N-substituted-2-pyridinone fused in 3 in 4 position, or 5 and 6 position, 3-substituted-4-pyrimidone fused in 5 and 6 position, etc.; B = (un)substituted imidazole fused in 4 and 5 position, thiazole fused in 4 and 5 position, etc.] and their pharmaceutically acceptable salts or prodrugs, that modulate, especially inhibit, the activity of Janus kinases. For example, II•TFA was prepared in 4 steps from 9-fluoro-1-methoxybenzo[f]quinazolin-6-ol. Selected I showed an IC50 of 10μM or less for the inhibition of JAK1 and/or JAK2, and/or JAK3 in an in vitro assay. Thus, I are useful in the treatment of diseases related to activity of Janus kinases including, for example, immune-related diseases and cancer.
IT 868993-18-4P, Di-tert-Butyl [5-[2-[(diethylamino)carbonyl]-5-fluorophenyl]-4-methoxy-6-methylpyrimidin-2-yl]imidodicarbonate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer)
RN 868993-18-4 CAPLUS
CN Imidodicarbonic acid, [5-[2-[(diethylamino)carbonyl]-5-fluorophenyl]-4-methoxy-6-methyl-2-pyrimidinyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

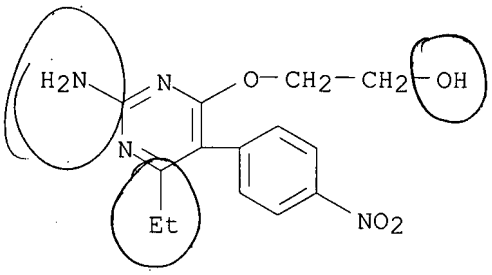


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

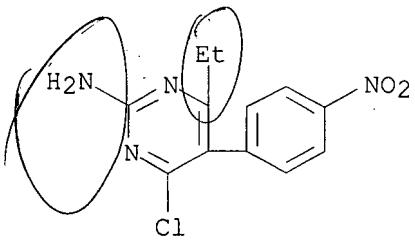
L12 ANSWER 5 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:698362 CAPLUS
DN 143:172891
TI Preparation of diaminopyrimidines as growth hormone secretagogue receptor (GHS-R) antagonists
IN Kosogof, Christi; Liu, Bo; Liu, Gang; Liu, Mei; Nelson, Lissa T. J.; Serby, Michael D.; Sham, Hing L.; Szczepankiewicz, Bruce G.; Xin, Zhili; Zhao, Hongyu
PA USA
SO U.S. Pat. Appl. Publ., 63 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005171131	A1	20050804	US 2004-947823	20040923
	US 2005171132	A1	20050804	US 2004-948042	20040923
PRAI	US 2003-506663P	P	20030926		

OS MARPAT 143:172891
AB Title compds. I [A = (hetero)aryl, heterocycle; R2 = alkenyl, alkenyloxyalkyl, alkoxy, alkoxyalkoxy, etc.; R = H, alkenyl, alkenyloxy, etc.; n = 1-4; X = O, amino, CH2NH; R3 = H, alkenyl, alkoxy, etc.] are prepared For instance, 5-[4-[(4-chlorobenzyl)amino]phenyl]-6-ethylpyrimidine-2,4-diamine is prepared in 4 steps from 4-nitrophenylacetonitrile, propionyl chloride, guanidine hydrochloride and 4-chlorobenzaldehyde. Compds. of the present invention are found to antagonize the function of ghrelin in a range of 0.001 μM to about 0.1 μM and inhibit dihydrofolate reductase in a range of about 0.0001 μM to about 0.1 μM. I are useful in the treatment of disorders regulated by the action of ghrelin receptor, including Prader-Willi syndrome, eating disorder, weight gain, weight-loss maintenance following diet and exercise, obesity, and disorders associated with obesity such as noninsulin dependent diabetes mellitus.
IT 861103-26-6P, 2-[2-Amino-6-ethyl-5-(4-nitrophenyl)pyrimidin-4-yloxy]ethanol 861103-29-9P, 4-Chloro-6-ethyl-5-(4-nitrophenyl)pyrimidin-2-ylamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diaminopyrimidines as growth hormone secretagogue receptor (GHS-R) antagonists)
RN 861103-26-6 CAPLUS
CN Ethanol, 2-[[2-amino-6-ethyl-5-(4-nitrophenyl)-4-pyrimidinyl]oxy]- (CA INDEX NAME)



RN 861103-29-9 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-6-ethyl-5-(4-nitrophenyl)- (CA INDEX NAME)


H2N
N
Et
NO2
N
Cl

L12 ANSWER 6 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1127093 CAPLUS
DN 142:74591
TI Preparation of 2-arylcarbonyl- and 2-heteroarylcarbonylpyrimidine derivatives as cannabinoid receptor ligands
IN Dow, Robert L.
PA Pfizer Inc., USA
SO U.S. Pat. Appl. Publ., 44 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004259887	A1	20041223	US 2004-846963	20040513
PRAI US 2003-479746P	P	20030618		

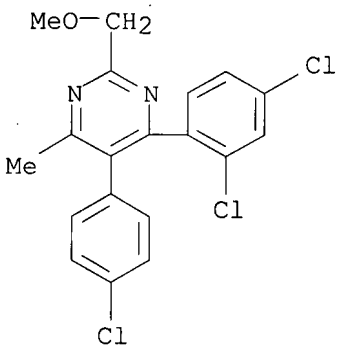
OS MARPAT 142:74591

AB The title compds. (I)[wherein R1, R2 = independently aryl or heteroaryl, where said aryl and said heteroaryl moieties are optionally substituted with one or more substituents, provided that R1 and R2 are not both a monosubstituted C1-4 alkoxyphenyl; R3 = H, C1-4 alkyl, or halo-substituted C1-4 alkyl; R4 = (NH)nN(R4a)(R4a') (where n = 0 or 1; R4a = H or optionally substituted C1-8 alkyl; R4b' = C1-8 alkyl, aryl, heteroaryl, aryl-C1-4 alkyl, partially or fully saturated C3-10 cycloalkyl, heteroaryl-C1-3 alkyl, 5- to 6-membered lactone, 5- to 6-membered lactam, 3- to 6-membered partially or fully saturated heterocycle, where said group is optionally substituted with one or more substituents; or R4a and R4a' taken together with the nitrogen to which they are attached form an optionally substituted 5- to 8-membered heterocycle)], pharmaceutically acceptable salts thereof, prodrugs of said compds. or said salts, or solvates or hydrates of said compds., said salts or said prodrugs are prepared These compds. act as cannabinoid receptor ligands and are useful in the treatment of disease, condition or disorder modulated by a cannabinoid receptor antagonist which is selected from the group consisting of eating disorders, weight loss, obesity, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward- related behaviors, substance abuse, addictive disorders, impulsivity, alcoholism, tobacco abuse, dementia, sexual dysfunction in males, seizure disorders, epilepsy, inflammation, gastrointestinal disorders, attention deficit activity disorder, Parkinson's disease, and type II diabetes. Thus, a stirred slurry of 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)pyrimidine-2-carbonyl chloride (50 mg) and 4-acetyl-4-phenylpiperidine hydrochloride (45 mg) in CH2Cl2 (1 mL) was cooled to 5° and treated dropwise with Et3N (57 mg in 0.5 mL in CH2Cl2) to produce an orange solution which was allowed to warm to ambient temperature and then allowed react for 1 h, concentrated, and purified by chromatog. to give 43 mg 1-[1-[[5-(4-Chlorophenyl)-4-(2,4-dichlorophenyl)pyrimidin-2-yl]carbonyl]-4-phenylpiperidin-4-yl]ethanone.

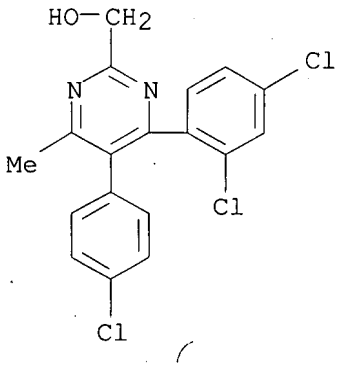
IT 811446-97-6P 811446-98-7P 811447-00-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of arylcarbonyl- and heteroarylcarbonylpyrimidine derivs. as cannabinoid receptor antagonists for treating diseases, conditions or disorders modulated by cannabinoid receptor antagonists)

RN 811446-97-6 CAPLUS
CN Pyrimidine, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-2-(methoxymethyl)-6-methyl- (CA INDEX NAME)

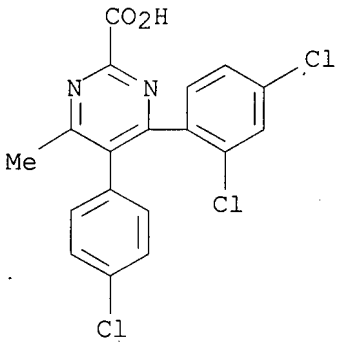
Intervening
103 (isomers)
L is atpara in the reference



RN 811446-98-7 CAPLUS
CN 2-Pyrimidinemethanol, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-methyl- (CA INDEX NAME)



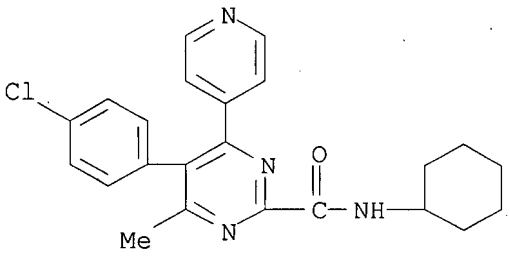
RN 811447-00-4 CAPLUS
CN 2-Pyrimidinecarboxylic acid, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-methyl- (CA INDEX NAME)



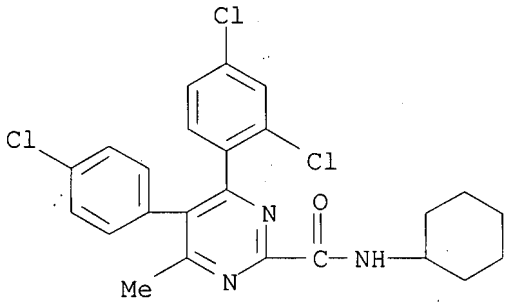
IT 811447-77-5P 811448-76-7P 811448-77-8P
811448-78-9P 811448-79-0P 811448-80-3P
811448-81-4P 811448-82-5P 811448-83-6P
811448-84-7P 811448-85-8P 812698-60-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylcarbonyl- and heteroarylcarbonylpyrimidine derivs. as

cannabinoid receptor antagonists for treating diseases, conditions or disorders modulated by cannabinoid receptor antagonists) .

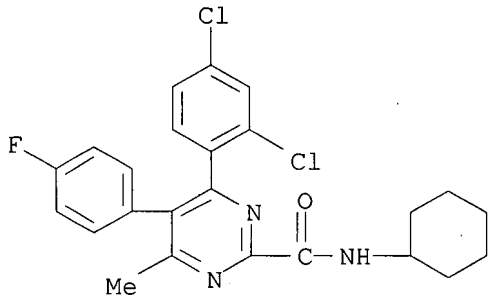
RN 811447-77-5 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-N-cyclohexyl-4-methyl-6-(4-pyridinyl)- (CA INDEX NAME)



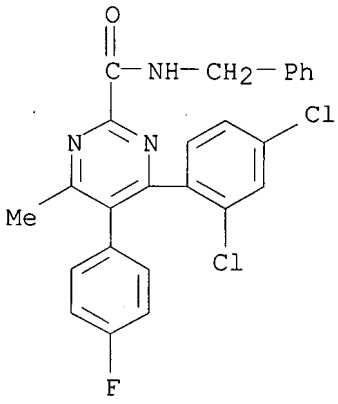
RN 811448-76-7 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-N-cyclohexyl-4-(2,4-dichlorophenyl)-6-methyl- (CA INDEX NAME)



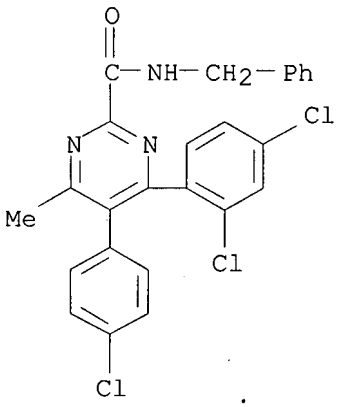
RN 811448-77-8 CAPLUS
CN 2-Pyrimidinecarboxamide, N-cyclohexyl-4-(2,4-dichlorophenyl)-5-(4-fluorophenyl)-6-methyl- (CA INDEX NAME)



RN 811448-78-9 CAPLUS
CN 2-Pyrimidinecarboxamide, 4-(2,4-dichlorophenyl)-5-(4-fluorophenyl)-6-methyl-N-(phenylmethyl)- (CA INDEX NAME)

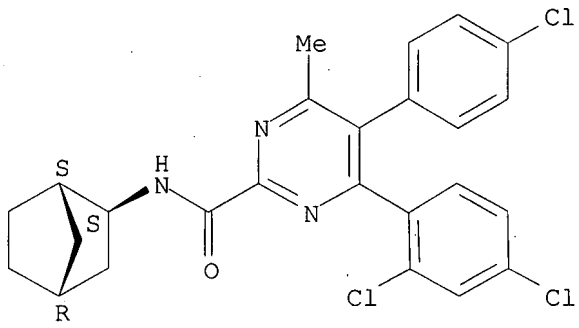


RN 811448-79-0 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-methyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 811448-80-3 CAPLUS
CN 2-Pyrimidinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-methyl-, rel- (CA INDEX NAME)

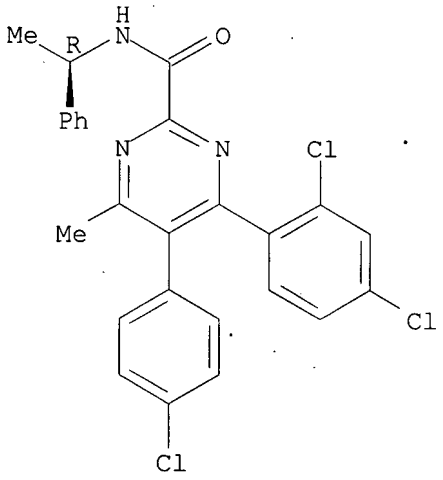
Relative stereochemistry.



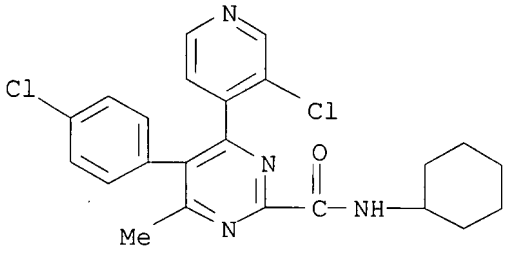
RN 811448-81-4 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-

methyl-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

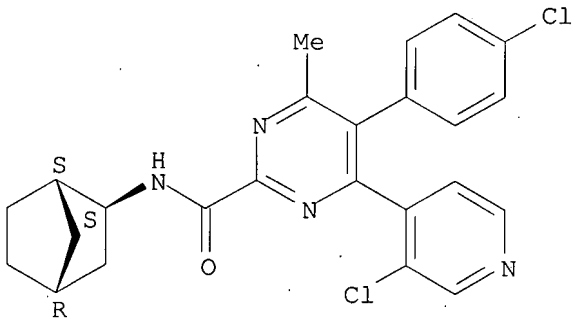


RN 811448-82-5 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-(3-chloro-4-pyridinyl)-N-cyclohexyl-6-methyl- (CA INDEX NAME)



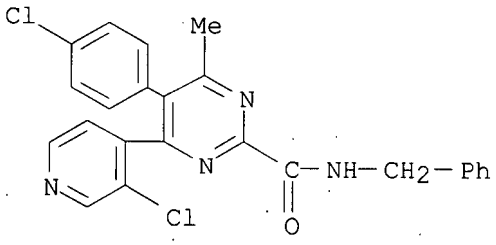
RN 811448-83-6 CAPLUS
CN 2-Pyrimidinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-5-(4-chlorophenyl)-4-(3-chloro-4-pyridinyl)-6-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

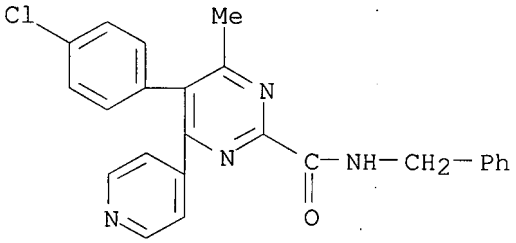


RN 811448-84-7 CAPLUS

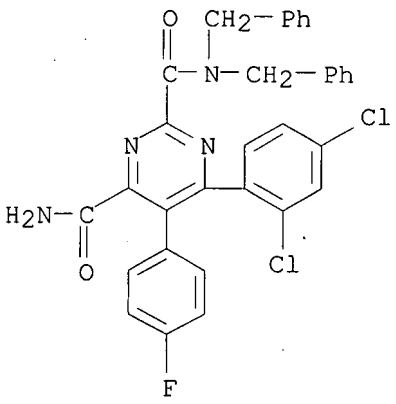
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-(3-chloro-4-pyridinyl)-6-methyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 811448-85-8 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-methyl-N-(phenylmethyl)-6-(4-pyridinyl)- (CA INDEX NAME)



RN 812698-60-5 CAPLUS
CN 2,4-Pyrimidinedicarboxamide, 6-(2,4-dichlorophenyl)-5-(4-fluorophenyl)-N2,N2-bis(phenylmethyl)- (CA INDEX NAME)



L12 ANSWER 7 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1124645 CAPLUS
DN 142:56347
TI Preparation of pyrimidine derivatives as cannabinoid receptor ligands
IN Dow, Robert L.
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA English

Same as #6

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004110453	A1	20041223	WO 2004-IB1971	20040609
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2529068	A1	20041223	CA 2004-2529068	20040609
	EP 1638570	A1	20060329	EP 2004-736431	20040609
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004011617	A	20060808	BR 2004-11617	20040609
	JP 2006527759	T	20061207	JP 2006-516548	20040609
	MX 2005PA13282	A	20060309	MX 2005-PA13282	20051207
PRAI	US 2003-479746P	P	20030618		
	WO 2004-IB1971	W	20040609		

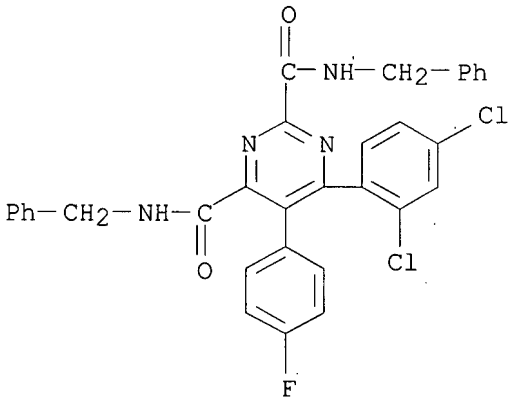
OS CASREACT 142:56347; MARPAT 142:56347

AB Title compds. I [R1-2 = (hetero)aryl; R3 = H, (halo)alkyl; R4 = amino] are prepared For instance, II is prepared from 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)pyrimidine-2-carbonyl chloride (preparation given) and 4-acetyl-4-phenylpiperidine hydrochloride. I are cannabinoid receptor; example compds. exhibit binding to the CB-1 receptor in the range of 0.1-10000 nM. I are useful for the treatment of a disease, condition or disorder which is modulated by a cannabinoid receptor antagonist.

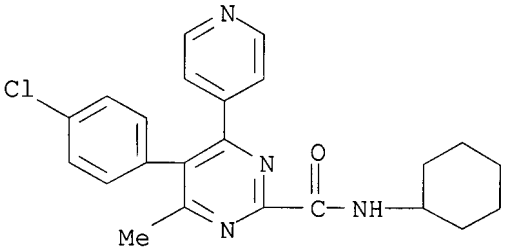
IT 811447-72-0P 811447-77-5P, 5-(4-Chlorophenyl)-4-methyl-6-(pyridin-4-yl)pyrimidine-2-carboxylic acid N-(cyclohexyl)amide 811448-76-7P, 5-(4-Chlorophenyl)-4-(2,4-dichlorophenyl)-6-methylpyrimidine-2-carboxylic acid cyclohexylamide 811448-77-8P, 4-(2,4-Dichlorophenyl)-5-(4-fluorophenyl)-6-methylpyrimidine-2-carboxylic acid cyclohexylamide 811448-78-9P, 4-(2,4-Dichlorophenyl)-5-(4-fluorophenyl)-6-methylpyrimidine-2-carboxylic acid benzylamide 811448-79-0P, 5-(4-Chlorophenyl)-4-(2,4-dichlorophenyl)-6-methylpyrimidine-2-carboxylic acid benzylamide 811448-80-3P 811448-81-4P, 5-(4-Chlorophenyl)-4-(2,4-dichlorophenyl)-6-methylpyrimidine-2-carboxylic acid N-((1R)-1-phenylethyl)amide 811448-82-5P, 5-(4-Chlorophenyl)-4-(3-chloropyridin-4-yl)-6-methylpyrimidine-2-carboxylic acid cyclohexylamide 811448-83-6P 811448-84-7P, 5-(4-Chlorophenyl)-4-(3-chloropyridin-4-yl)-6-methylpyrimidine-2-carboxylic acid benzylamide 811448-85-8P, 5-(4-Chlorophenyl)-4-methyl-6-(pyridin-4-yl)pyrimidine-2-carboxylic acid N-benzylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as cannabinoid receptor ligands)

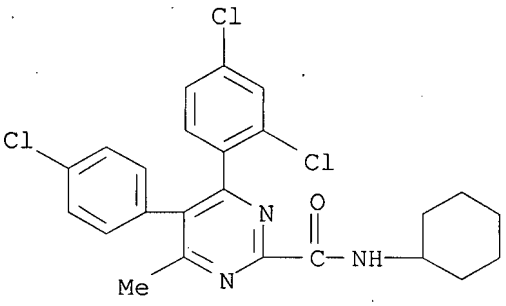
RN 811447-72-0 CAPLUS
CN 2,4-Pyrimidinedicarboxamide, 6-(2,4-dichlorophenyl)-5-(4-fluorophenyl)-N,N'-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 811447-77-5 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-N-cyclohexyl-4-methyl-6-(4-pyridinyl)- (CA INDEX NAME)

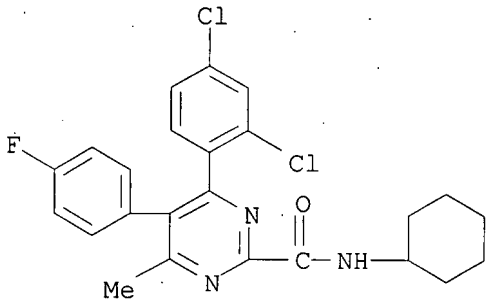


RN 811448-76-7 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-N-cyclohexyl-4-(2,4-dichlorophenyl)-6-methyl- (CA INDEX NAME)

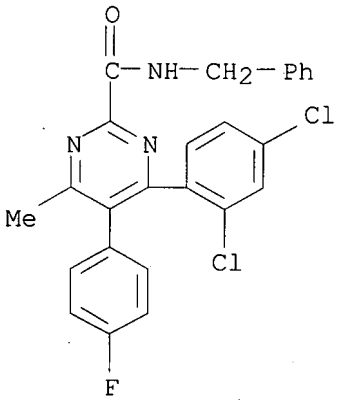


RN 811448-77-8 CAPLUS
CN 2-Pyrimidinecarboxamide, N-cyclohexyl-4-(2,4-dichlorophenyl)-5-(4-

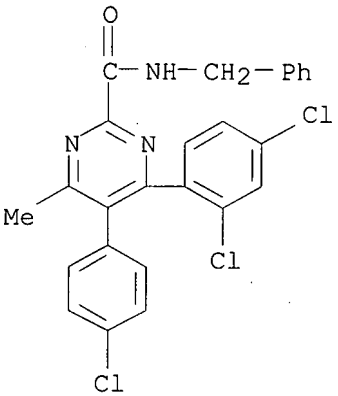
fluorophenyl)-6-methyl- (CA INDEX NAME)



RN 811448-78-9 CAPLUS
CN 2-Pyrimidinecarboxamide, 4-(2,4-dichlorophenyl)-5-(4-fluorophenyl)-6-methyl-N-(phenylmethyl)- (CA INDEX NAME)



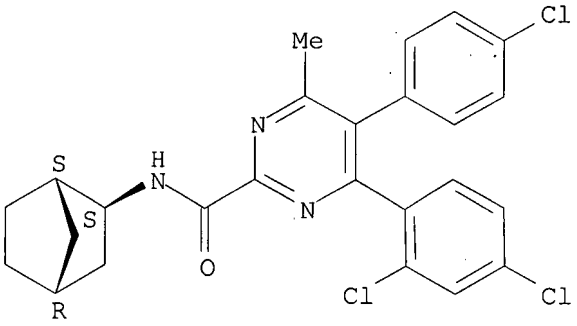
RN 811448-79-0 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-methyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 811448-80-3 CAPLUS
CN 2-Pyrimidinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-5-(4-

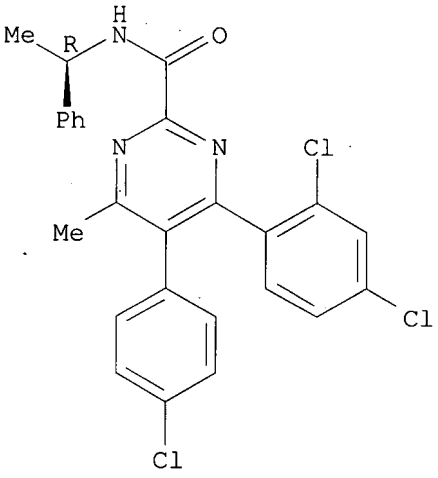
chlorophenyl)-4-(2,4-dichlorophenyl)-6-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

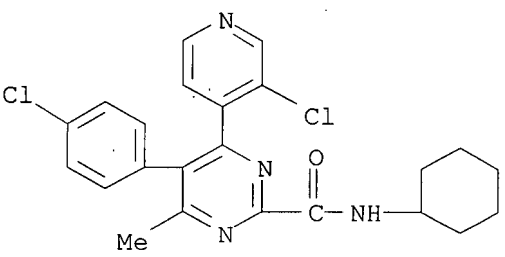


RN 811448-81-4 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-methyl-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



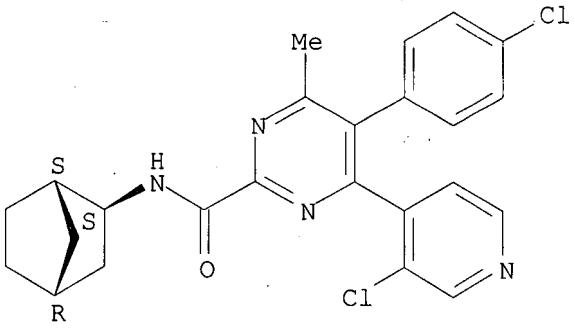
RN 811448-82-5 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-(3-chloro-4-pyridinyl)-N-cyclohexyl-6-methyl- (CA INDEX NAME)



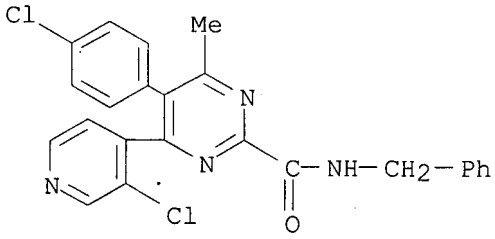
RN 811448-83-6 CAPLUS

CN 2-Pyrimidinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-5-(4-chlorophenyl)-4-(3-chloro-4-pyridinyl)-6-methyl-, rel- (CA INDEX NAME)

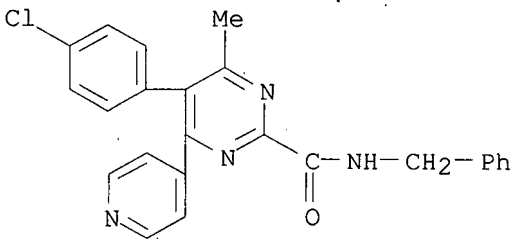
Relative stereochemistry.



RN 811448-84-7 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-(3-chloro-4-pyridinyl)-6-methyl-N-(phenylmethyl)- (CA INDEX NAME)



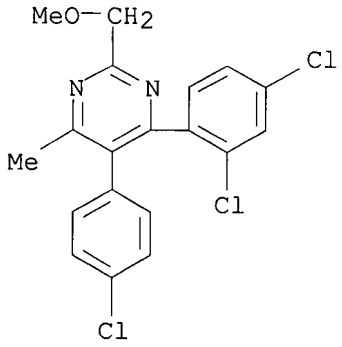
RN 811448-85-8 CAPLUS
CN 2-Pyrimidinecarboxamide, 5-(4-chlorophenyl)-4-methyl-N-(phenylmethyl)-6-(4-pyridinyl)- (CA INDEX NAME)



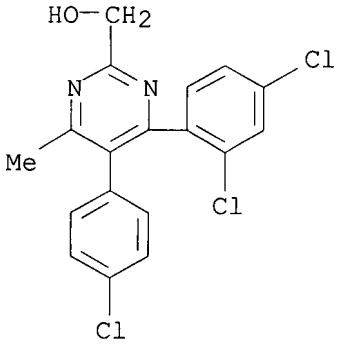
IT 811446-97-6P, 5-(4-Chlorophenyl)-4-(2,4-dichlorophenyl)-2-methoxymethyl-6-methylpyrimidine 811446-98-7P, [5-(4-Chlorophenyl)-4-(2,4-dichlorophenyl)-6-methylpyrimidin-2-yl]methanol 811447-00-4P, 5-(4-Chlorophenyl)-4-(2,4-dichlorophenyl)-6-methylpyrimidine-2-carboxylic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidine derivs. as cannabinoid receptor ligands)

RN 811446-97-6 CAPLUS
CN Pyrimidine, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-2-(methoxymethyl)-6-

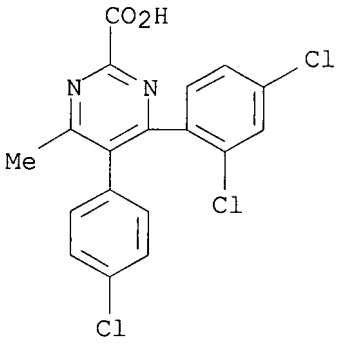
methyl- (CA INDEX NAME)



RN 811446-98-7 CAPLUS
CN 2-Pyrimidinemethanol, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-methyl- (CA INDEX NAME)



RN 811447-00-4 CAPLUS
CN 2-Pyrimidinecarboxylic acid, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-methyl- (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:857576 CAPLUS
DN 141:332211
TI Preparation of arylpyrimidines as agrochemical and industrial fungicides.
IN Tormo I Blasco, Jordi; Blettner, Carsten; Mueller, Bernd; Gewehr, Markus; Grammenos, Wassilios; Grote, Thomas; Gypser, Andreas; Rheinheimer, Joachim; Schaefer, Peter; Schieweck, Frank; Schwoegler, Anja; Wagner, Oliver; Scherer, Maria; Strathmann, Siegfried; Schoefl, Ulrich; Stierl, Reinhard
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

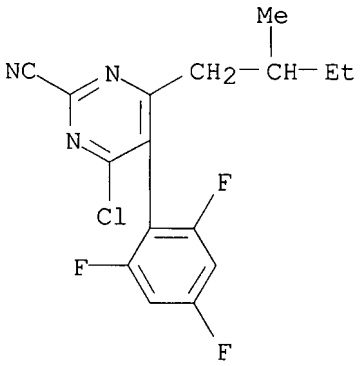
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087678	A1	20041014	WO 2004-EP3335	20040330
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1613605	A1	20060111	EP 2004-724259	20040330
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004009159	A	20060502	BR 2004-9159	20040330
	CN 1768045	A	20060503	CN 2004-80009216	20040330
	JP 2006522045	T	20060928	JP 2006-504908	20040330
	US 2006229328	A1	20061012	US 2005-549936	20050920
PRAI	DE 2003-10315735	A	20030404		
	WO 2004-EP3335	W	20040330		

OS MARPAT 141:332211
AB Title compds. [I; n = 1-5; R1 = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, 5-10 membered, saturated, partially unsatd. or aromatic heterocycle containing 1-4 O, N, S; R2 = halo, cyano, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy; R3 = cyano, CO2Ra, CONRzRb, CONORb, CSNRaRb, C(:NORa)NRzRb, C(:NRa)NRzRb, CONRaNRzRb, C(:NNRzRc)NRaRb, CORa, etc.; Ra, Rb = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl; Rz = Ra, CORa; L = halo, cyano, NO2, cyanato, alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, cycloalkyl, etc.], were prepared Thus, 1-methylthio-4,6-dichloro-5-(2,4,6-trifluorophenyl)pyrimidine was treated sequentially with MeMgBr/bisdiphenylphosphinoferrocenepalladium dichloride/THF, 2-methylbutylmagnesium bromide/bisdiphenylphosphinoferrocenepalladium dichloride/THF, 3-ClC6H4CO(OOH)/CH2Cl2, and KCN/MeCN to give 2-cyano-4-methyl-5-(2,4,6-trifluorophenyl)-6-(2-methylbutyl)pyrimidine. The latter at 250 ppm completely prevented Botrytis cinerea infection of paprika leaves.
IT 773117-74-1P 773117-76-3P 773117-78-5P
773117-80-9P 773117-82-1P 773117-84-3P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpyrimidines as agrochem. and industrial fungicides)

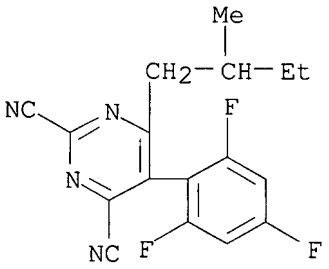
RN 773117-74-1 CAPLUS

CN 2-Pyrimidinecarbonitrile, 4-chloro-6-(2-methylbutyl)-5-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



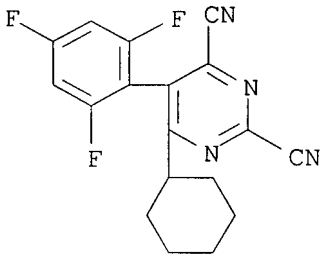
RN 773117-76-3 CAPLUS

CN 2,4-Pyrimidinedicarbonitrile, 6-(2-methylbutyl)-5-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



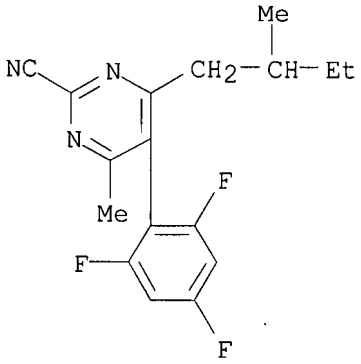
RN 773117-78-5 CAPLUS

CN 2,4-Pyrimidinedicarbonitrile, 6-cyclohexyl-5-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

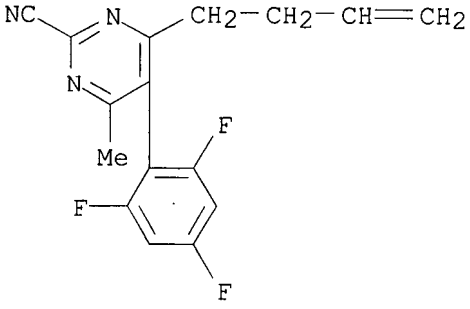


RN 773117-80-9 CAPLUS

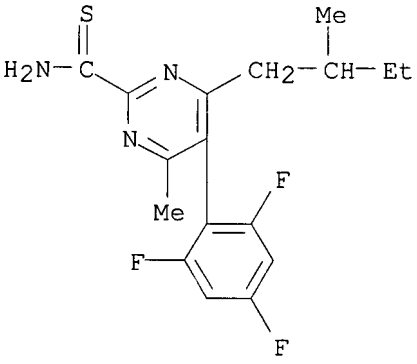
CN 2-Pyrimidinecarbonitrile, 4-methyl-6-(2-methylbutyl)-5-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



RN 773117-82-1 CAPLUS
CN 2-Pyrimidinecarbonitrile, 4-(3-butenyl)-6-methyl-5-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)



RN 773117-84-3 CAPLUS
CN 2-Pyrimidinecarbothioamide, 4-methyl-6-(2-methylbutyl)-5-(2,4,6-trifluorophenyl)- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:292069 CAPLUS
DN 140:303694
TI Preparation of substituted pyrimidines for treating disorders mediated by the Cannabinoid-1 receptor
IN Kopka, Ihor E.; Li, Bing; Hagmann, William K.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

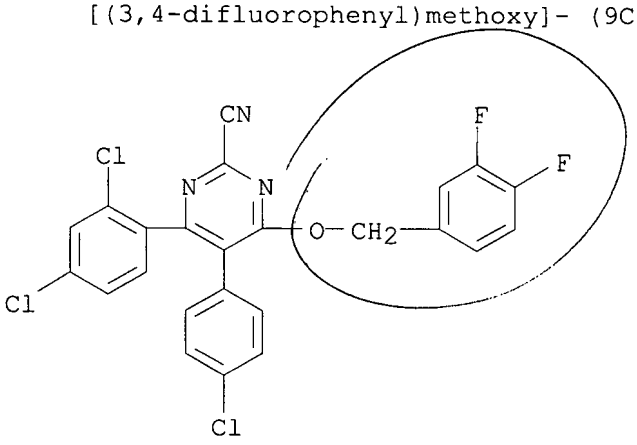
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004029204	A2	20040408	WO 2003-US30161	20030923
	WO 2004029204	A3	20040617		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2499497	A1	20040408	CA 2003-2499497	20030923
	AU 2003275242	A1	20040419	AU 2003-275242	20030923
	EP 1546115	A2	20050629	EP 2003-759514	20030923
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006510597	T	20060330	JP 2004-539876	20030923
	US 2005245554	A1	20051103	US 2005-527561	20050311
PRAI	US 2002-414144P	P	20020927		
	WO 2003-US30161	W	20030923		

OS MARPAT 140:303694
AB Novel pyrimidines (shown as I; variables defined below; e.g. II) are antagonists and/or inverse agonists of the Cannabinoid-1 (CB1) receptor (no data) and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor (no data). The compds. of the present invention are useful as centrally acting drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, movement disorders, and schizophrenia. The compds. are also useful for the treatment of substance abuse disorders, the treatment of obesity or eating disorders, as well as the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver. Although the methods of preparation are not claimed, .apprx.130 example prepns. of I and 17 example prepns. of intermediates are included. For example, 2-(4-fluorobenzyloxy)-4-(4-chlorophenyl)-5-(2,4-dichlorophenyl)pyrimidine was prepared from 2-methylthio-5-(2,4-dichlorophenyl)-4-(4-chlorophenyl)pyrimidine by displacement with 4-fluorobenzyl alc. in the presence of NaH in DMF; the pyrimidine reactant was prepared by cyclization of pseudothiourea sulfate with 3-dimethylamino-1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)prop-2-ene, which was prepared by condensation of DMF dimethylacetal with 4-chlorobenzyl 2,4-dichlorophenyl ketone, which was prepared from 2,4-dichlorobenzonitrile and a Grignard solution derived from 4-chlorobenzyl bromide. For I: R1 = H,

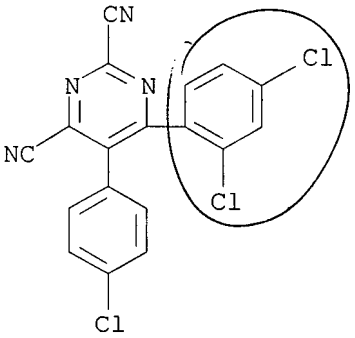
C1-10alkyl, -ORa, -NRaRb, -NRbC(O)Ra, -CO2Ra, -C(O)NRaRb, cyano, -SRb, and -SO2Rb; R2 = H, C1-10alkyl, -ORa, -NRaRb, -NRaC(O)Rb, -CO2Ra, -C(O)NRaRb, cyano, -SRa, and -SO2Ra; R3 = aryl, and heteroaryl, wherein each is (un)substituted with 1-4 Rg; R4 = aryl, and heteroaryl, wherein each is (un)substituted with 1-4 Rg; each Ra = H, C1-10alkyl, C2-10 alkenyl, etc.; each Rb = H, C1-10alkyl, C2-10 alkenyl, cycloalkyl, etc. or Ra and Rb together with the N atom to which they are attached form a bridged or unbridged heterocyclic ring = 4-7 members containing 0-2 addnl. O, S and NRd; each Rg = halogen, C1-10alkyl, -O-C1-4alkyl, -S-C1-4-alkyl, -CN, -CF3, and -OCF3; and m = 1 or 2; addnl. details are given in the claims.

IT 676563-74-9P, 2-Cyano-4-(3,4-difluorobenzyloxy)-5-(4-chlorophenyl)-6-(2,4-dichlorophenyl)pyrimidine 676563-76-1P, 2,4-Bis(cyano)-5-(4-chlorophenyl)-6-(2,4-dichlorophenyl)pyrimidine 676563-93-2P, 2-(Diethylamino)-4-(3,4-difluorobenzyloxy)-5-(4-chlorophenyl)-6-(2,4-dichlorophenyl)pyrimidine 676563-94-3P, 2-(N,N-Diisopropylamino)-4-(3,4-difluorobenzyloxy)-5-(4-chlorophenyl)-6-(2,4-dichlorophenyl)pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted pyrimidines for treating disorders mediated by the cannabinoid-1 receptor)

RN 676563-74-9 CAPLUS
CN 2-Pyrimidinecarbonitrile, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-[(3,4-difluorophenyl)methoxy]- (9CI) (CA INDEX NAME)

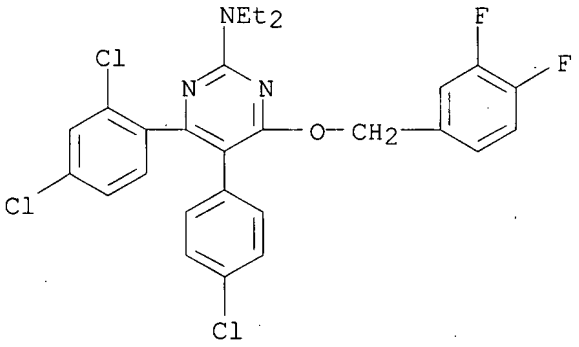


RN 676563-76-1 CAPLUS
CN 2,4-Pyrimidinedicarbonitrile, 5-(4-chlorophenyl)-6-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

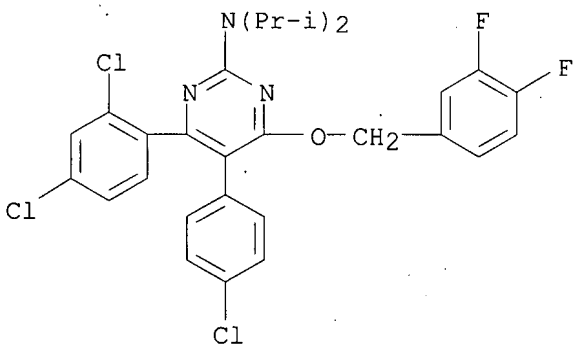


Page 35

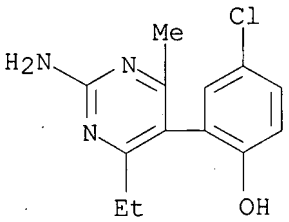
RN 676563-93-2 CAPLUS
CN 2-Pyrimidinamine, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-[(3,4-difluorophenyl)methoxy]-N,N-diethyl- (9CI) (CA INDEX NAME)



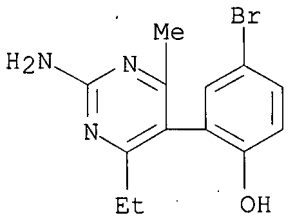
RN 676563-94-3 CAPLUS
CN 2-Pyrimidinamine, 5-(4-chlorophenyl)-4-(2,4-dichlorophenyl)-6-[(3,4-difluorophenyl)methoxy]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



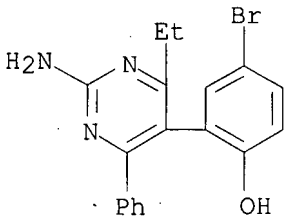
L12 ANSWER 10 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:205964 CAPLUS
DN 142:74474
TI Product class 12: pyrimidines
AU von Angerer, S.
CS Germany
SO Science of Synthesis (2004), 16, 379-572
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
AB A review. Methods for preparing pyrimidines are reviewed including cyclization, ring transformation, aromatization and substituent modification.
IT 57832-23-2P 57832-25-4P 57832-26-5P
124293-18-1P 282543-41-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrimidines via cyclization, ring transformation, aromatization and substituent modification)
RN 57832-23-2 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-methyl-5-pyrimidinyl)-4-chloro- (9CI) (CA INDEX NAME)



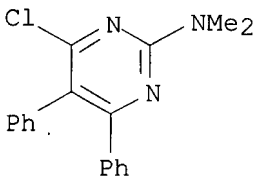
RN 57832-25-4 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-methyl-5-pyrimidinyl)-4-bromo- (9CI) (CA INDEX NAME)



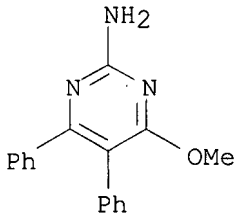
RN 57832-26-5 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-phenyl-5-pyrimidinyl)-4-bromo- (9CI) (CA INDEX NAME)



RN 124293-18-1 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-N,N-dimethyl-5,6-diphenyl- (9CI) (CA INDEX NAME)

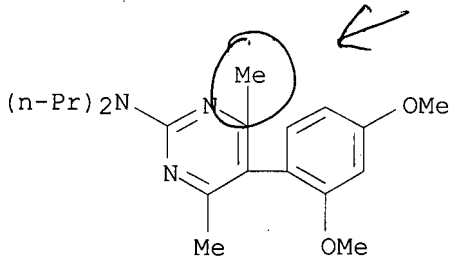


RN 282543-41-3 CAPLUS
CN 2-Pyrimidinamine, 4-methoxy-5,6-diphenyl- (9CI) (CA INDEX NAME)



RE.CNT 856 THERE ARE 856 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:521326 CAPLUS
DN 139:239663
TI 2-Aryl-3,6-dialkyl-5-dialkylaminopyrimidin-4-ones as novel CRF-1 receptor antagonists
AU Hodgetts, Kevin J.; Yoon, Taeyoung; Huang, Jianhua; Gulianello, Michael; Kieltyka, Andrzej; Primus, Renee; Brodbeck, Robbin; De Lombaert, Stephane; Doller, Dario
CS Neurogen Corporation, Branford, CT, 06405, USA
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(15), 2497-2500
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 139:239663
AB The discovery, synthesis and structure-activity studies of a novel series of 2-arylpyrimidin-4-ones as CRF-1 receptor antagonists is described. These compds. are structurally simple and display appropriate phys. properties for CNS agents.
IT 600178-79-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(probe compound; preparation, phys. properties, and biol. activity of 2-aryl-3,6-dialkyl-5-dialkylaminopyrimidin-4-ones as novel CRF-1 receptor antagonists)
RN 600178-79-8 CAPLUS
CN 2-Pyrimidinamine, 5-(2,4-dimethoxyphenyl)-4,6-dimethyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



claims require C3-10 alkyl. Intervening.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:417751 CAPLUS
DN 139:6885
TI Preparation of substituted indolizine-like compounds to inhibit TNF-α production
IN Cai, Guolin; Chau, Jennifer N.; Dominguez, Celia; Rishton, Gilbert M.; Lu, Yuelie
PA Amgen Inc., USA
SO PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

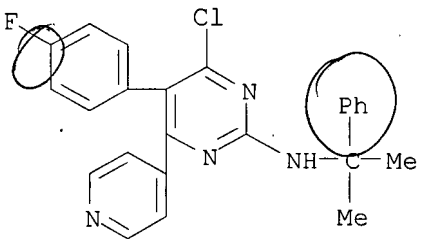
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003044021	A2	20030530	WO 2002-US36699	20021116
	WO 2003044021	A3	20031218		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003195221	A1	20031016	US 2002-298205	20021115
	US 6921762	B2	20050726		
	CA 2466072	A1	20030530	CA 2002-2466072	20021116
	AU 2002352722	A1	20030610	AU 2002-352722	20021116
	EP 1448564	A2	20040825	EP 2002-789671	20021116
	EP 1448564	B1	20060419		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005518358	T	20050623	JP 2003-545658	20021116
	AT 323705	T	20060515	AT 2002-789671	20021116
	PT 1448564	T	20060630	PT 2002-789671	20021116
	ES 2262879	T3	20061201	ES 2002-2789671	20021116
	MX 2004PA04552	A	20040813	MX 2004-PA4552	20040513
PRAI	US 2001-332447P	P	20011116		
	US 2002-298205	A1	20021115		
	WO 2002-US36699	W	20021116		

OS MARPAT 139:6885
AB Title compds. I [X = CR2, N; R1-2 = ZY, Y provided that the total number of (hetero)aryl, cycloalkyl and heterocyclyl radicals in R1-2 = 0-3; U, V, W = CR6, N provided when U = N, V = CR6; R6 = H, halo, alkyl, alkoxy, etc.; Z = alk(en/yn)yl, heterocyclyl, etc.; Y = H, halo, NO2, etc.; R11 = (hetero)aryl; R12 = N-heteroaryl] are prepared For instance, Et [4-fluorophenyl]acetate is reacted with 4-cyanopyridine, MeNCS and MeI (DMF, KOBu-t/HOBu-t) to give 5-(4-fluorophenyl)-3-methyl-2-(methylthio)-6-(pyridin-4-yl)-3H-pyrimidin-4-one. This intermediate is treated with POCl3 (120°, 16 h) and the product treated with hydrazine (EtOH, 70°) followed by (S)-3-phenylpropane-1,2-diamine (preparation given) to give II. Selected example compds. exhibit activities in the THP1 cell assay (LPS induced TNF release) with IC50 ≤ 20 μM. I are effective for treatment of TNF-α, IL-1β, IL-6 and/or IL-8 mediated diseases and other maladies, such as cancer, pain and diabetes.
IT 534601-68-8P, 2-[(1-Methyl-1-phenylethyl)amino]-4-chloro-5-(4-fluorophenyl)-6-(4-pyridyl)pyrimidine

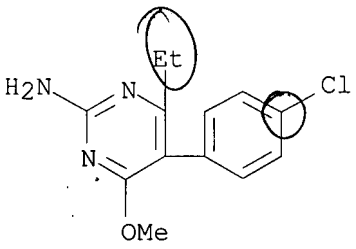
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indolizine-like compds. to inhibit TNF-α production)

RN 534601-68-8 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-5-(4-fluorophenyl)-N-(1-methyl-1-phenylethyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 13 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:945595 CAPLUS
DN 138:321228
TI Structural studies on bioactive compounds. Part 37. Suzuki coupling of diaminopyrimidines: a new synthesis of the antimalarial drug pyrimethamine
AU Richardson, Marianne L.; Stevens, Malcolm F. G.
CS Cancer Research Laboratories, School of Pharmaceutical Sciences, University of Nottingham, Nottingham, NG7 2RD, UK
SO Journal of Chemical Research, Synopses (2002), (10), 482-484
CODEN: JRPSDC; ISSN: 0308-2342
PB Science Reviews
DT Journal
LA English
OS CASREACT 138:321228
AB Suzuki reactions have been used successfully to effect cross-coupling of 5-halopyrimidines with 4-chlorobenzeneboronic acid and 2,4-diamino-5-(4-chloro-3-halo)-6-ethylpyrimidines with 4-methoxybenzeneboronic acid. The antimalarial drug pyrimethamine has been prepared by coupling 2,4-diamino-6-ethyl-5-iodopyrimidine with 4-chlorobenzeneboronic acid.
IT 514854-17-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (chlorophenyl)aminopyrimidine via iodination of aminopyrimidine and subsequent Suzuki coupling of bromoaminopyrimidine with chlorobenzeneboronic acid)
RN 514854-17-2 CAPLUS
CN 2-Pyrimidinamine, 5-(4-chlorophenyl)-4-ethyl-6-methoxy- (9CI) (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:923775 CAPLUS
DN 136:53756
TI Preparation of fungicidal 5-phenyl-2-(cyanoamino)pyrimidines
IN Pees, Klaus-Juergen; Pfrengle, Waldemar; Heffernan, Gavin
PA Basf Aktiengesellschaft, Germany
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096314	A1	20011220	WO 2001-EP6565	20010611
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2412010	A1	20011220	CA 2001-2412010	20010611
	EP 1289963	A1	20030312	EP 2001-949398	20010611
	EP 1289963	B1	20050831		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001011594	A	20030415	BR 2001-11594	20010611
	HU 200300649	A2	20030728	HU 2003-649	20010611
	JP 2004503542	T	20040205	JP 2002-510457	20010611
	AU 778913	B2	20041223	AU 2001-70564	20010611
	AT 303367	T	20050915	AT 2001-949398	20010611
	EP 1577312	A1	20050921	EP 2005-13520	20010611
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	ES 2246330	T3	20060216	ES 2001-1949398	20010611
	US 2003088096	A1	20030508	US 2001-879283	20010612
	US 6632821	B2	20031014		
	MX 2002PA12073	A	20030425	MX 2002-PA12073	20021206
	IN 2002CN02029	A	20050225	IN 2002-CN2029	20021210
	ZA 2002010070	A	20031212	ZA 2002-10070	20021212
	US 2004147744	A1	20040729	US 2003-615352	20030709
	US 6943252	B2	20050913		
	US 2005282828	A1	20051222	US 2005-186047	20050722
	US 2005282847	A1	20051222	US 2005-186087	20050722
	US 7230104	B2	20070612		
	US 2007208037	A1	20070906	US 2007-745329	20070507
PRAI	US 2000-211262P	P	20000613		
	US 2000-231632P	P	20000911		
	EP 2001-949398	A3	20010611		
	WO 2001-EP6565	W	20010611		
	US 2001-879283	A3	20010612		
	US 2003-615352	A3	20030709		
	US 2005-186087	A3	20050722		

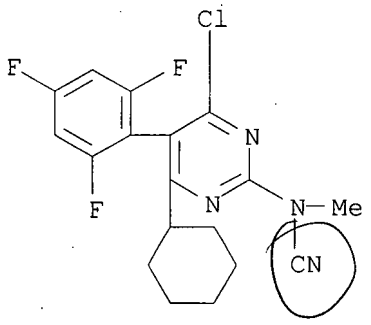
OS MARPAT 136:53756
AB The title compds. [I; R1 = H, alkyl, haloalkyl, etc.; R2 = (un)substituted represents Ph, cycloalkyl, 5-6 membered heteroaryl, containing 1-4 N atoms or 1-3 N atoms and one S or O atom; R3 = H, halo, alkyl, etc.; R4 = H, alkyl, alkenyl, alkynyl; X = O, S, NR5, a single bond; R5 = H, alkyl; or R1 and

R5 together with the interjacent N atom form a heterocyclic ring], useful for controlling harmful fungi, were prepared Thus, treating 5-chloro-6-(2,4,6-trifluorophenyl)-7-(1,1,1-trifluoroprop-2-ylamino)-triazolo[1,5-a]pyrimidine with NaH and MeI in DMF afforded I [X = NH; R1 = 1,1,1-trifluoroprop-2-yl; R2 = 2,4,6-F3C6H2; R3 = Cl; R4 = Me] which showed severe inhibition of rice sheath blight growth in vitro.

IT 381214-92-2P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fungicidal 5-phenyl-2-(cyanoamino)pyrimidines)

RN 381214-92-2 CAPLUS

CN Cyanamide, [4-chloro-6-cyclohexyl-5-(2,4,6-trifluorophenyl)-2-pyrimidinyl]methyl- (9CI) (CA INDEX NAME)

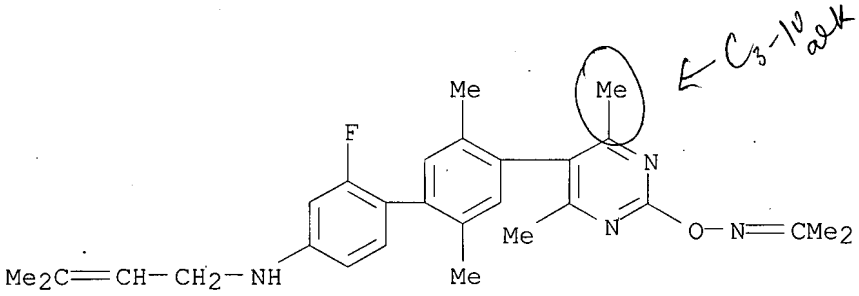


RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

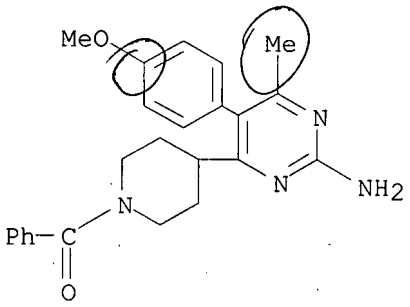
L12 ANSWER 15 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:78356 CAPLUS
DN 134:131548
TI Preparation of tricyclic compounds as allergy inhibitors, immunosuppressants, and IgE production inhibitors
IN Tanimoto, Norihiko; Inagaki, Masanao
PA Shionogi & Co., Ltd., Japan
SO PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007401	A1	20010201	WO 2000-JP4726	20000714
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 200060160	A	20010213	AU 2000-60160	20000714
PRAI	JP 1999-209298	A	19990723		
	JP 1999-211702	A	19990727		
	WO 2000-JP4726	W	20000714		

OS MARPAT 134:131548
AB The title compds. I [A, B and C are each an aromatic carbo- or hetero-cycle or the like, with the proviso that when A is an optionally substituted five-membered heterocycle, W1 is a bond, the same applying in the case of B and W2 and that of C and W3; X and X' are each O, NH, or the like; Y is lower alkyl, lower alkenyl, or the like; V1 and V2 are each a single bond or the like; Ra and Rb are each hydrogen, lower alkyl, lower alkenyl, or the like; further details on Ra and Rb are given ; n is 0 to 2] are prepared Several compds. of this invention at 40 mg/kg/day orally for 10 days suppressed the production of IgE in a mouse model. Formulations are given.
IT 321982-03-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic compds. as allergy inhibitors, immunosuppressants, and IgE production inhibitors)
RN 321982-03-0 CAPLUS
CN 2-Propanone, O-[5-[2'-fluoro-2,5-dimethyl-4'-[(3-methyl-2-butenyl)amino][1,1'-biphenyl]-4-yl]-4,6-dimethyl-2-pyrimidinyl]oxime (9CI) (CA INDEX NAME)

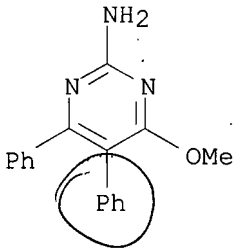


L12 ANSWER 16 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:458340 CAPLUS
DN 133:237945
TI Synthesis and bioactivities of novel piperidylpyrimidine derivatives: inhibitors of tumor necrosis factor-alpha production
AU Fujiwara, Norio; Fujita, Hitoshi; Iwai, Kiyotaka; Kurimoto, Ayumu; Murata, Shinobu; Kawakami, Hajime
CS Research Center, Sumitomo Pharmaceuticals Co., Ltd., Osaka, 554-0022, Japan
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(12), 1317-1320
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 133:237945
AB New piperidylpyrimidines, including quinazolines, were prepared, and their abilities to inhibit TNF-α production were evaluated. Some compds. showed potent inhibitory activity in mouse macrophages stimulated with LPS. The synthesis and structure-activity relationships of these compds. are described.
IT 198551-05-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of piperidylpyrimidines as inhibitors of tumor necrosis factor-alpha production)
RN 198551-05-2 CAPLUS
CN Piperidine, 4-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-1-benzoyl- (9CI) (CA INDEX NAME)

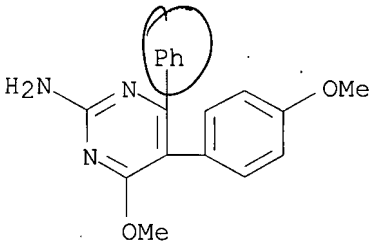


RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:220886 CAPLUS
DN 133:105004
TI Structural studies on bioactive compounds. Part 29. Palladium catalyzed arylations and alkynylations of sterically hindered immunomodulatory 2-amino-5-halo-4,6-(disubstituted)pyrimidines
AU Hannah, D. R.; Sherer, E. C.; Davies, R. V.; Titman, R. B.; Laughton, C. A.; Stevens, M. F. G.
CS School of Pharmaceutical Sciences, Cancer Research Laboratories, University of Nottingham, Nottingham, UK
SO Bioorganic & Medicinal Chemistry (2000), 8(4), 739-750
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 133:105004
AB Immunol. agent bropirimine is a tetra-substituted pyrimidine with anticancer and interferon-inducing properties. Synthetic routes to novel 5-aryl analogs of bropirimine have been developed and their potential mol. recognition properties analyzed by mol. modeling methods. Sterically challenged 2-amino-5-halo-6-phenylpyrimidin-4-ones (halo = Br or I) are poor substrates for palladium catalyzed Suzuki cross-coupling reactions with benzeneboronic acid because the basic conditions of the reaction converts the amphoteric pyrimidinones to their unreactive enolic forms. Palladium-mediated reductive dehalogenation of the pyrimidinone substrates effectively competes with cross-coupling. 2-Amino-5-halo-4-methoxy-6-phenylpyrimidines can be converted to a range of 5-aryl derivs. with the 5-iodopyrimidines being the most efficient substrates. Hydrolysis of the 2-amino-5-aryl-4-methoxy-6-phenylpyrimidines affords the required pyrimidin-4-ones in high yields. Semiempirical quantum mech. calcns. show how the nature of the 5-substituent influences the equilibrium between the 1H- and 3H-tautomeric forms, and the rotational freedom about the bond connecting the 6-Ph group and the pyrimidine ring. Both of these factors may influence the biol. properties of these compds.
IT 282543-41-3P 282543-44-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(palladium catalyzed arylations and alkynylations of sterically hindered immunomodulatory aminohalopyrimidines)
RN 282543-41-3 CAPLUS
CN 2-Pyrimidinamine, 4-methoxy-5,6-diphenyl- (9CI) (CA INDEX NAME)

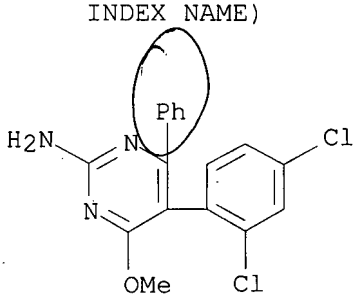


RN 282543-44-6 CAPLUS
CN 2-Pyrimidinamine, 4-methoxy-5-(4-methoxyphenyl)-6-phenyl- (9CI) (CA INDEX NAME)

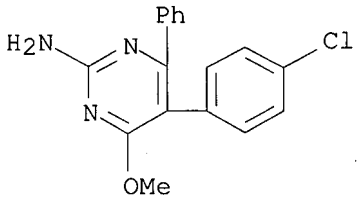


IT 282543-42-4P 282543-45-7P 282543-46-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(palladium catalyzed arylations and alkynylations of sterically hindered immunomodulatory aminohalopyrimidines)

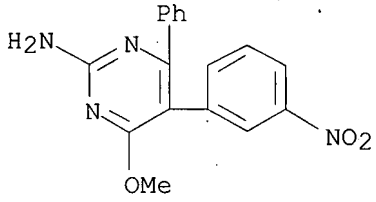
RN 282543-42-4 CAPLUS
CN 2-Pyrimidinamine, 5-(2,4-dichlorophenyl)-4-methoxy-6-phenyl- (9CI) (CA INDEX NAME)



RN 282543-45-7 CAPLUS
CN 2-Pyrimidinamine, 5-(4-chlorophenyl)-4-methoxy-6-phenyl- (9CI) (CA INDEX NAME)



RN 282543-46-8 CAPLUS
CN 2-Pyrimidinamine, 4-methoxy-5-(3-nitrophenyl)-6-phenyl- (9CI) (CA INDEX NAME)

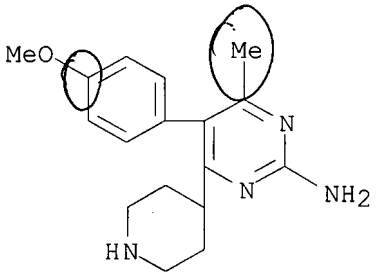


RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:571813 CAPLUS
DN 131:184965
TI Preparation of piperidinylpyrimidines tumor necrosis factor α inhibitors.
IN Fujiwara, Norio; Ueda, Yutaka; Murata, Shinobu; Hirota, Fumiyo; Kawakami, Hajime; Fujita, Hitoshi
PA Sumitomo Pharmaceuticals Company, Limited, Japan
SO U.S., 48 pp., Cont.-in-part of U.S. Ser. No. 911,001.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

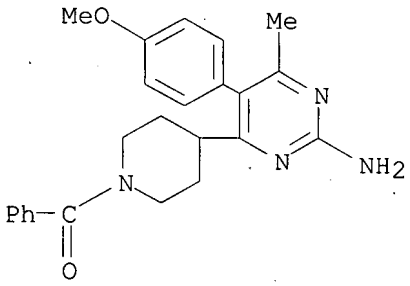
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5948786	A	19990907	US 1998-69085	19980429
PRAI	JP 1996-115556	A	19960412		
	US 1996-722548	B2	19960927		
	US 1997-837453	B2	19970418		
	US 1997-911001	A2	19970814		

OS MARPAT 131:184965
AB Title compds. [I; X1 = NH2 or OH; X2 = CO, COO, CONH, SO2; R1 = alkyl, cycloalkyl, (un)substituted aryl; R2 = H, alkyl, aryl; R3 = alkyl, (un)substituted aryl, heteroaryl; R2R3 = atoms to form a quinazoline or pyridopyrimidine system] were prepared for inhibiting the production and/or secretion of tumor necrosis factor α. Uses include in particular the inhibition of HIV-1 long terminal repeat transcriptional activation, which is claimed for a subset of I (X2R1 = 3,4-methylenedioxybenzoyl). Thus, condensation of PhCOMe with Et 1-benzoylisonipecotate gave the corresponding β-diketone, which underwent cyclocondensation with guanidine to give title compound II. Data for biol. activity of I were given.
IT 198554-74-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidinylpyrimidine derivs. as TNF inhibitors)
RN 198554-74-4 CAPLUS
CN 2-Pyrimidinamine, 5-(4-methoxyphenyl)-4-methyl-6-(4-piperidinyl)- (9CI) (CA INDEX NAME)



IT 198551-05-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinylpyrimidine derivs. as TNF inhibitors)
RN 198551-05-2 CAPLUS

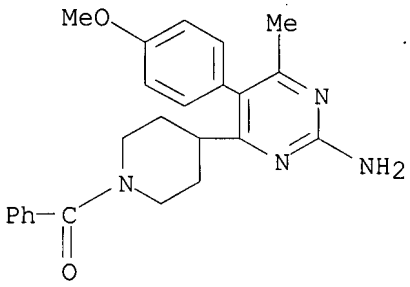
CN Piperidine, 4-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-1-benzoyl- (9CI) (CA INDEX NAME)



IT 198554-43-7P 240496-89-3P 240496-91-7P
240496-93-9P 240496-94-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylpyrimidine derivs. as TNF inhibitors)

RN 198554-43-7 CAPLUS

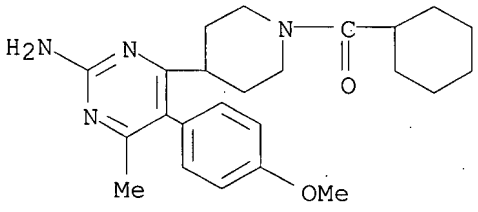
CN Piperidine, 4-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-1-benzoyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

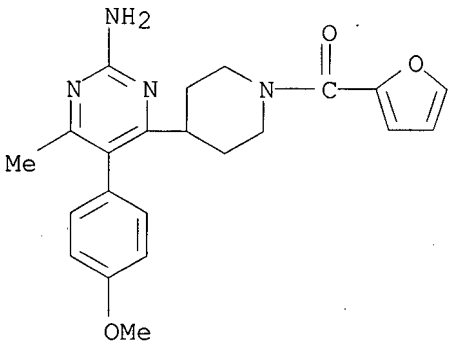
RN 240496-89-3 CAPLUS

CN Piperidine, 4-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-1-(cyclohexylcarbonyl)- (9CI) (CA INDEX NAME)

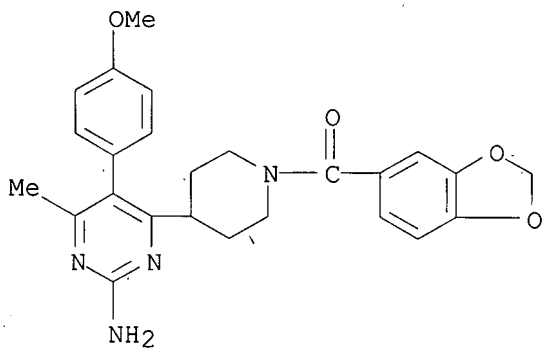


RN 240496-91-7 CAPLUS

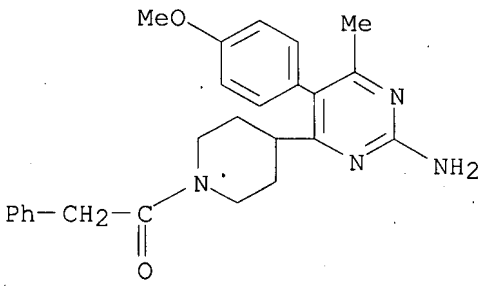
CN Piperidine, 4-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-1-(2-furanylcarbonyl)- (9CI) (CA INDEX NAME)



RN 240496-93-9 CAPLUS
CN Piperidine, 4-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-1-(1,3-benzodioxol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 240496-94-0 CAPLUS
CN Piperidine, 4-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

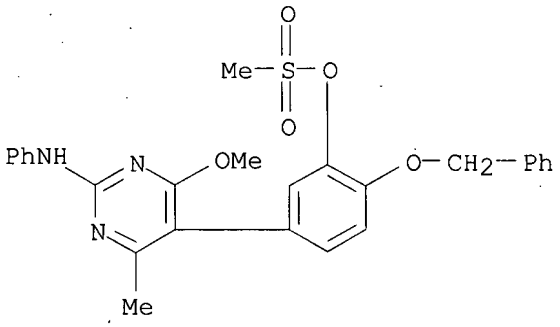
L12 ANSWER 19 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:495258 CAPLUS
DN 131:129907
TI Preparation and formulation of tricyclic compounds as immunosuppressants and allergy inhibitors
IN Tanimoto, Norihiko; Hasegawa, Yasushi; Haga, Nobuhiro
PA Shionogi & Co., Ltd., Japan
SO PCT Int. Appl., 298 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9938829	A1	19990805	WO 1999-JP297	19990126
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2318368	A1	19990805	CA 1999-2318368	19990126
	CA 2318368	C	20070911		
	AU 9919837	A	19990816	AU 1999-19837	19990126
	AU 742641	B2	20020110		
	EP 1052238	A1	20001115	EP 1999-900676	19990126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9908539	A	20001205	BR 1999-8539	19990126
	TR 200002225	T2	20001221	TR 2000-200002225	19990126
	HU 200103304	A2	20020228	HU 2001-3304	19990126
	NZ 506101	A	20030630	NZ 1999-506101	19990126
	RU 2216533	C2	20031120	RU 2000-121556	19990126
	JP 3929700	B2	20070613	JP 2000-530068	19990126
	IN 2000CN00148	A	20050304	IN 2000-CN148	20000626
	MX 2000PA07024	A	20010219	MX 2000-PA7024	20000718
	NO 2000003706	A	20000914	NO 2000-3706	20000719
	US 6562817	B1	20030513	US 2000-600790	20000721
PRAI	JP 1998-15554	A	19980128		
	WO 1999-JP297	W	19990126		

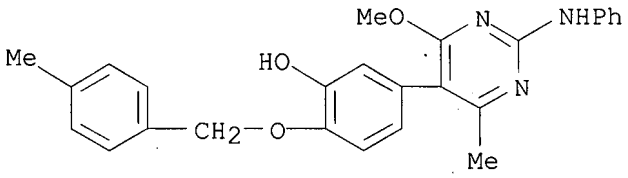
OS MARPAT 131:129907
AB The title compds. I [each of ring A, ring B and ring C is independently a substituted or unsubstituted aromatic ring or a substituted or unsubstituted five or six-membered heterocycle which may be condensed with a benzene ring; when ring A, ring B and/or ring C is a substituted or unsubstituted five-membered heterocycle, W1, W2 and/or W3 represents a bond; X is O or NR1 (where R1 is hydrogen, a lower alkyl or the like); Y is hydrogen, a lower alkyl, a lower alkenyl or the like ; one of V1 and V2 is a single bond and the other is a single bond, O, etc.] are prepared The title compound II in vitro showed IC50 of 400 ng/mL against the growth of mouse EL4 cells. The inhibiting activities of compds. of this invention against the production of IgE were also demonstrated.
IT 234428-97-8P 234428-98-9P 234428-99-0P
234429-00-6P 234429-01-7P 234429-02-8P
234429-03-9P 234429-04-0P 234429-05-1P
234429-06-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic compds. as immunosuppressants and allergy inhibitors)

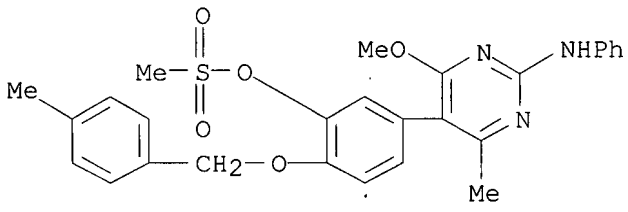
RN 234428-97-8 CAPLUS
CN Phenol, 5-[4-methoxy-6-methyl-2-(phenylamino)-5-pyrimidinyl]-2-(phenylmethoxy)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



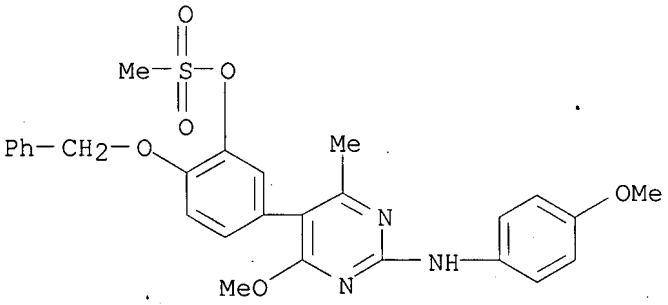
RN 234428-98-9 CAPLUS
CN Phenol, 5-[4-methoxy-6-methyl-2-(phenylamino)-5-pyrimidinyl]-2-[(4-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)



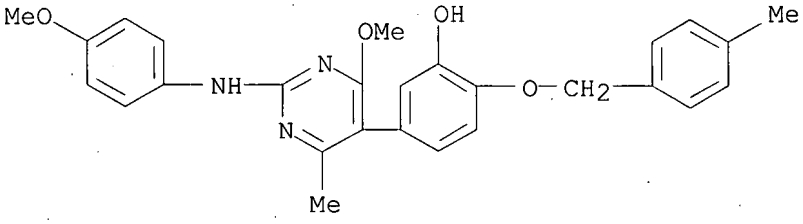
RN 234428-99-0 CAPLUS
CN Phenol, 5-[4-methoxy-6-methyl-2-(phenylamino)-5-pyrimidinyl]-2-[(4-methylphenyl)methoxy]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



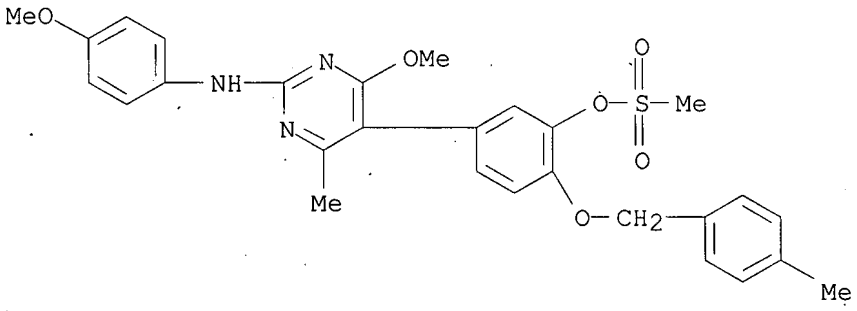
RN 234429-00-6 CAPLUS
CN Phenol, 5-[4-methoxy-2-[(4-methoxyphenyl)amino]-6-methyl-5-pyrimidinyl]-2-(phenylmethoxy)-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



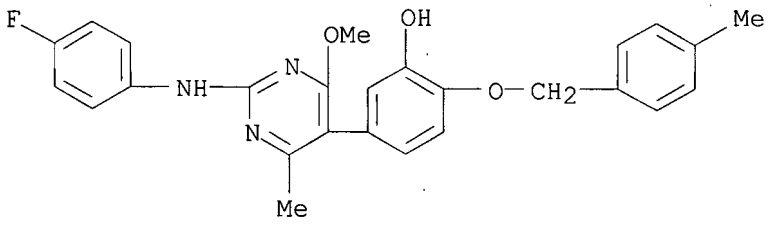
RN 234429-01-7 CAPLUS
CN Phenol, 5-[4-methoxy-2-[(4-methoxyphenyl)amino]-6-methyl-5-pyrimidinyl]-2-[(4-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)



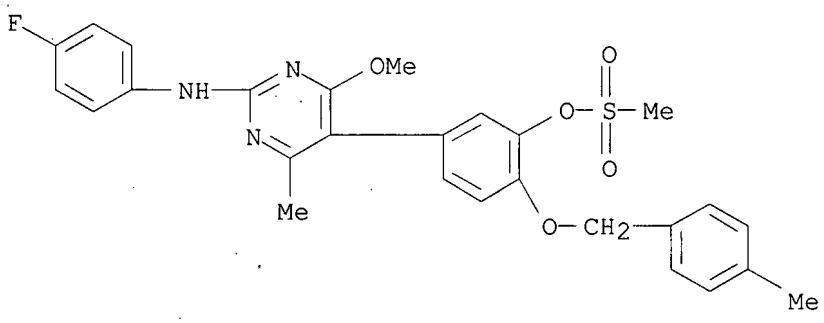
RN 234429-02-8 CAPLUS
CN Phenol, 5-[4-methoxy-2-[(4-methoxyphenyl)amino]-6-methyl-5-pyrimidinyl]-2-[(4-methylphenyl)methoxy]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



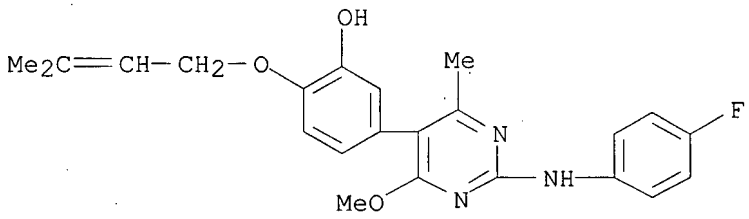
RN 234429-03-9 CAPLUS
CN Phenol, 5-[2-[(4-fluorophenyl)amino]-4-methoxy-6-methyl-5-pyrimidinyl]-2-[(4-methylphenyl)methoxy]- (9CI) (CA INDEX NAME)



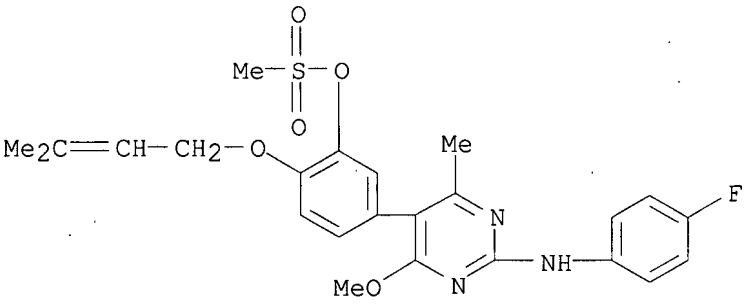
RN 234429-04-0 CAPLUS
CN Phenol, 5-[2-[(4-fluorophenyl)amino]-4-methoxy-6-methyl-5-pyrimidinyl]-2-[(4-methylphenyl)methoxy]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)



RN 234429-05-1 CAPLUS
CN Phenol, 5-[2-[(4-fluorophenyl)amino]-4-methoxy-6-methyl-5-pyrimidinyl]-2-[(3-methyl-2-butenyl)oxy]- (9CI) (CA INDEX NAME)



RN 234429-06-2 CAPLUS
CN Phenol, 5-[2-[(4-fluorophenyl)amino]-4-methoxy-6-methyl-5-pyrimidinyl]-2-[(3-methyl-2-butenyl)oxy]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

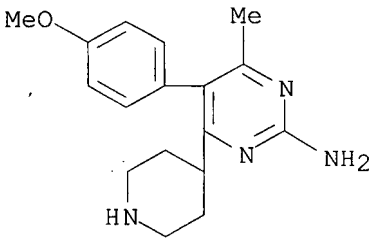


RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

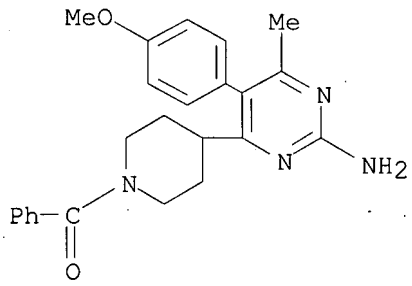
L12 ANSWER 20 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:696753 CAPLUS
DN 128:3696
TI Piperidinylpyrimidine derivatives useful as inhibitors of tumor necrosis factor.
IN Fujiwara, Norio; Ueda, Yutaka; Murata, Shinobu; Hirota, Fumiyo; Kawakami, Hajime; Fujita, Hitoshi
PA Sumitomo Pharmaceuticals Company, Limited, Japan
SO PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9738992	A1	19971023	WO 1997-JP1240	19970410
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2250943	A1	19971023	CA 1997-2250943	19970410
	AU 9725215	A	19971107	AU 1997-25215	19970410
	EP 892795	A1	19990127	EP 1997-916641	19970410
	EP 892795	B1	20030108		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 2001511764	T	20010814	JP 1997-536938	19970410
	AT 230740	T	20030115	AT 1997-916641	19970410
PRAI	JP 1996-115556	A	19960412		
	US 1996-722548	A	19960927		
	WO 1997-JP1240	W	19970410		

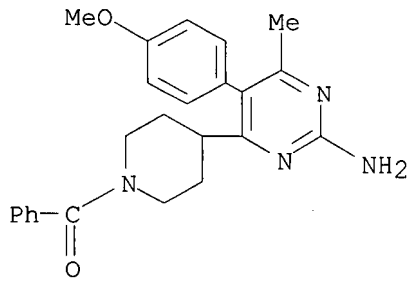
OS MARPAT 128:3696
AB Compds. of formula I [wherein X1 = NH2 or OH; X2 = CO, COO, CONH, SO2; R1 = alkyl, cycloalkyl, (un)substituted aryl, various sidechains; R2 = H, alkyl, aryl; R3 = alkyl, (un)substituted aryl, heteroaryl, various sidechains; or R2 and R3 combine with the pyrimidine ring to form a quinazoline or pyridopyrimidine system] and their pharmaceutically acceptable salts are effective for inhibiting the production and/or secretion of tumor necrosis factor (TNF) (no data). Uses include in particular the inhibition of HIV-1 long terminal repeat transcriptional activation, which is claimed for a subset of I [with -X2R1 = 3,4-methylenedioxybenzoyl]. Over 300 invention compds. are described. For instance, condensation of acetophenone with Et 1-benzoylisonipecotate using NaH in THF gave the corresponding β-diketone, which underwent cyclocondensation with guanidine (from HCl salt and K2CO3) in pyridine to give title compound II.
IT 198554-74-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidinylpyrimidine derivs. as TNF inhibitors)
RN 198554-74-4 CAPLUS
CN 2-Pyrimidinamine, 5-(4-methoxyphenyl)-4-methyl-6-(4-piperidinyl)- (9CI) (CA INDEX NAME)



IT 198551-05-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinylpyrimidine derivs. as TNF inhibitors)
RN 198551-05-2 CAPLUS
CN Piperidine, 4-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-1-benzoyl- (9CI) (CA INDEX NAME)

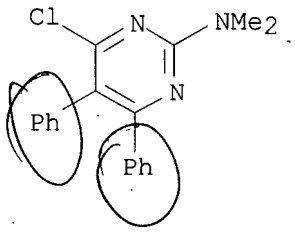


IT 198554-43-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylpyrimidine derivs. as TNF inhibitors)
RN 198554-43-7 CAPLUS
CN Piperidine, 4-[2-amino-5-(4-methoxyphenyl)-6-methyl-4-pyrimidinyl]-1-benzoyl-, monohydrochloride (9CI) (CA INDEX NAME)

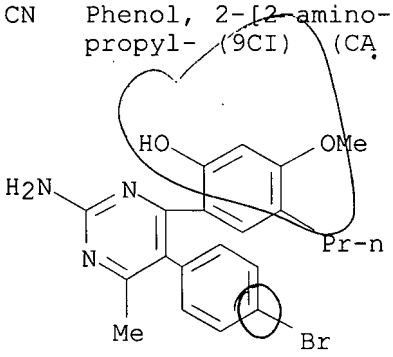


● HCl

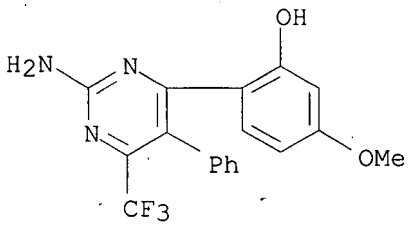
L12 ANSWER 21 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1990:20957 CAPLUS
DN 112:20957
TI An expeditious synthesis of 2-dialkylamino-4-chloropyrimidines from silylated primary enamines and phosgeniminium salts
AU Guillot, Nadine; Janousek, Zdenek; Viehe, Heinz G.
CS Lab. Chim. Org., Univ. Louvain, Louvain-la-Neuve, B-1348, Belg.
SO Heterocycles (1989), 28(2), 879-86
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 112:20957
AB Condensation of RCH:C(R1)NHSiMe3 [R = Me, Pr, (CH2)6Me; R1 = Ph, p-F3CC6H4, p-FC6H4, p-BrC6H4, p-anisyl, 2-thienyl] with R22N+:CCl2 Cl- [R2 = Me; R22 = (CH2)n, n = 4-6, (CH2)2O(CH2)2] gave [R22N+:C(Cl)C(R):C(R1)N:C(Cl)NR22]Cl-, which cyclized upon heating to give 18-95% 13 pyrimidines I via loss of the corresponding alkyl chloride (R2Cl). The reactions are regiospecific and represent a new entry to pyrimidine nuclei.
IT 124293-18-1P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)
RN 124293-18-1 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-N,N-dimethyl-5,6-diphenyl- (9CI) (CA INDEX NAME)



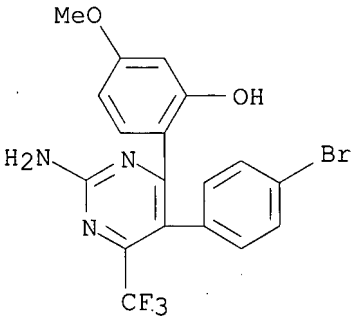
L12 ANSWER 22 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1986:608819 CAPLUS
DN 105:208819
TI Chemistry of isoflavone heteroanalogs. 10. Synthesis of pyrimidines by recyclization of isoflavones and their heteroanalogs
AU Khilya, V. P.; Kornilov, M. Yu.; Gorbulenko, N. V.; Golubushina, G. M.; Kovtun, E. N.; Kolotusha, N. V.; Panasenko, G. V.
CS Kiev. Gos. Univ., Kiev, 252017, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1985), (11), 1542-50
CODEN: KGSSAQ; ISSN: 0453-8234
DT Journal
LA Russian
OS CASREACT 105:208819
AB 4-(2-Hydroxyphenyl)pyrimidines I (R = H, Me, CF3, R1 = H, Et, Pr, hexyl, R2 = H, MeO, X = NH2, Me, H, Y = 4-thiazolyl, 2-methyl- or 2-phenyl-4-thiazolyl, Ph, substituted phenyl) were prepared in 28-86% yields by recyclization of the corresponding isoflavones II in the presence of XC(:NH)NH2.
IT 105258-16-0P 105258-17-1P 105258-18-2P
105258-20-6P 105258-21-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 105258-16-0 CAPLUS
CN Phenol, 2-[2-amino-5-(4-bromophenyl)-6-methyl-4-pyrimidinyl]-5-methoxy-4-propyl- (9CI) (CA INDEX NAME)



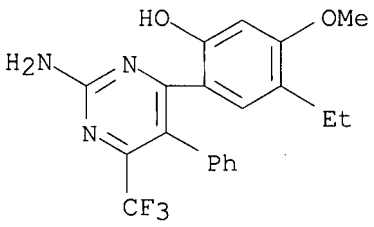
RN 105258-17-1 CAPLUS
CN Phenol, 2-[2-amino-5-phenyl-6-(trifluoromethyl)-4-pyrimidinyl]-5-methoxy- (9CI) (CA INDEX NAME)



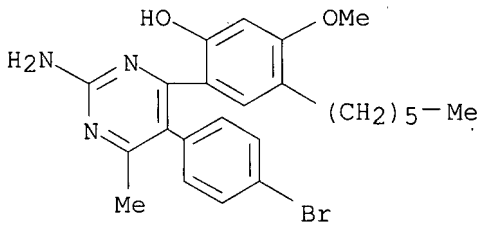
RN 105258-18-2 CAPLUS
CN Phenol, 2-[2-amino-5-(4-bromophenyl)-6-(trifluoromethyl)-4-pyrimidinyl]-5-methoxy- (9CI) (CA INDEX NAME)



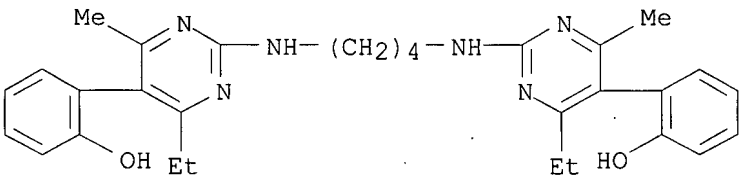
RN 105258-20-6 CAPLUS
CN Phenol, 2-[2-amino-5-phenyl-6-(trifluoromethyl)-4-pyrimidinyl]-4-ethyl-5-methoxy- (9CI) (CA INDEX NAME)



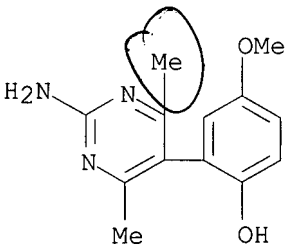
RN 105258-21-7 CAPLUS
CN Phenol, 2-[2-amino-5-(4-bromophenyl)-6-methyl-4-pyrimidinyl]-4-hexyl-5-methoxy- (9CI) (CA INDEX NAME)



L12 ANSWER 23 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1984:591823 CAPLUS
DN 101:191823
TI Methods for obtaining bisaminopyrimidines bridged by a polymethylene chain
AU Menichi, Gabriel; Hubert-Habart, Michel
CS Sect. Phys. Chim., Inst. Curie, Paris, 75231, Fr.
SO Journal of Heterocyclic Chemistry (1984), 21(1), 209-13
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA French
OS CASREACT 101:191823
AB N(2),N'(2')-αω-Alkandiylbis(2-aminopyrimidines) e.g. I (n = 3, 4, 6, 8) are the sole products obtained by condensation of several polymethylene bisguanidines on Et ethoxymethylenemalonate, 3-methylchromone, flavone, acetylacetone, acetylacetaldehyde dimethylacetal and 3-acetyl-2-ethylbenzofuran.
IT 92736-30-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 92736-30-6 CAPLUS
CN Phenol, 2,2'-[1,4-butanediylbis[imino(4-ethyl-6-methyl-2,5-pyrimidinediyl)]]bis- (9CI) (CA INDEX NAME)

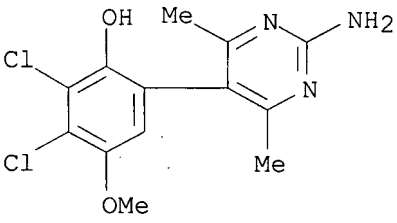


L12 ANSWER 24 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1984:530655 CAPLUS
DN 101:130655
TI Synthesis of heterocyclic derivatives of 1,4-benzoquinone
AU Kolesnikov, V. T.; Slesarchuk, L. P.; Vid, L. V.; Kartoflitskaya, A. P.
CS L'vov. Politekh. Inst., Lvov, USSR
SO Deposited Doc. (1982), SPSTL 1237 Khp-D82, 8 pp. Avail.: SPSTL
DT Report
LA Russian
AB Treating benzofurans I (R = H, Cl), prepared conventionally from p-benzoquinones, with guanidine sulfate gave II (R1 = Me, X = NH), which were treated with 48% HBr to give II (R1 = H, X = O), which were oxidized by K2Cr2O7 to give III. Analogously obtained were the 3,5-dimethylpyrazol-4-yl derivs.
IT 91473-18-6P 91997-70-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and demethylation-oxidation of)
RN 91473-18-6 CAPLUS
CN Phenol, 2-(2-amino-4,6-dimethyl-5-pyrimidinyl)-4-methoxy- (9CI) (CA INDEX NAME)



no utility.

RN 91997-70-5 CAPLUS
CN Phenol, 6-(2-amino-4,6-dimethyl-5-pyrimidinyl)-2,3-dichloro-4-methoxy- (9CI) (CA INDEX NAME)

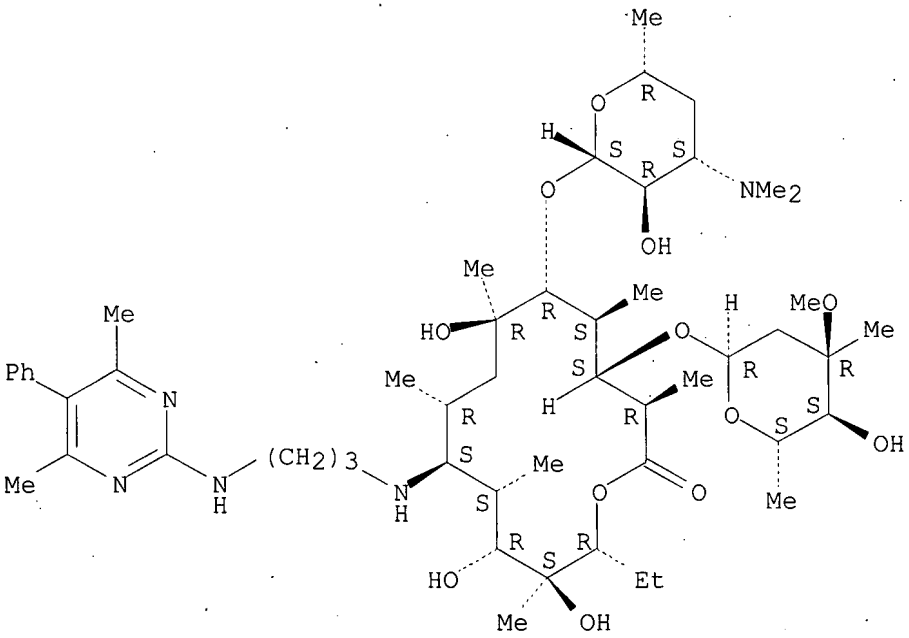


L12 ANSWER 25 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1979:575669 CAPLUS
DN 91:175669
TI 9-(ω-Heteroarylaminoalkylamino)erythromycins and their salts
IN Woitun, Eberhard; Wetzel, Bernd; Maier, Roland; Reuter, Wolfgang; Lechner, Uwe; Werner, Rolf G.; Goeth, Hanns
PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 34 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

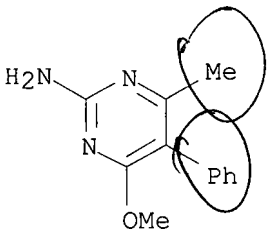
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2750288	A1	19790517	DE 1977-2750288	19771110
	EP 1981	A1	19790530	EP 1978-101160	19781014
	EP 1981	B1	19810401		
	R: BE, CH, DE, FR, GB, LU, NL, SE				
	AT 7807731	A	19800815	AT 1978-7731	19781030
	AT 361623	B	19810325		
	ES 474693	A1	19790401	ES 1978-474693	19781031
	US 4256738	A	19810317	US 1978-957451	19781103
	DK 7804997	A	19790511	DK 1978-4997	19781109
	FI 7803417	A	19790511	FI 1978-3417	19781109
	NO 7803767	A	19790511	NO 1978-3767	19781109
	AU 7841448	A	19790517	AU 1978-41448	19781109
	JP 54076588	A	19790619	JP 1978-138372	19781109
	ZA 7806313	A	19800730	ZA 1978-6313	19781109
	CA 1107726	A1	19810825	CA 1978-316079	19781109
PRAI	DE 1977-2750288		19771110		

OS MARPAT 91:175669
AB The title compds. I (n = 3, R = optionally substituted or condensed 2- or 4-pyrimidinyl) (75 compds.) and I (n = 2, R = 4-dimethylamino-2-pyrimidinyl) were prepared Thus, I (R = H, n = 3) was treated with QCl to give 47% I (R = Q, n = 3).
IT 71417-63-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 71417-63-5 CAPLUS
CN Erythromycin, 9-deoxo-9-[[3-[(4,6-dimethyl-5-phenyl-2-pyrimidinyl)amino]propyl]amino]-, (9S)- (9CI) (CA INDEX NAME)

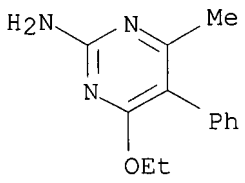
Absolute stereochemistry.


Me
O
R
H
S
S
R
NMe2
O
OH
Me
HO
R
R
S
Me
Me
S
O
H
MeO
Me
Me
R
H
R
Me
R
R
O
S
S
OH
Me
Ph
N
Me
N
N
H
(CH2)3
N
H
S
Me
S
O
O
Me
R
R
HO
S
Et
Me
OH

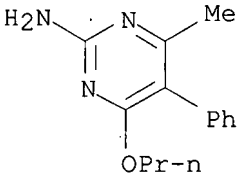
L12 ANSWER 26 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1977:29749 CAPLUS
DN 86:29749
TI Ketene-S,S-acetals. V. The reactions of α-keto- and α-cyanoketene-S,S-acetals with guanidine and thiourea: a new general synthesis of alkoxypyrimidines
AU Chauhan, S. M. S.; Junjappa, H.
CS Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, India
SO Tetrahedron (1976), 32(14), 1779-87
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 86:29749
AB Ketoketene-S,S-acetals with guanidine and thiourea in the presence of alc. sodium alkoxides gave 2-amino- and 2-mercapto-4-alkoxy-5-aryl-6-methylpyrimidines, resp. E.g., PhC(COMe):C(SMe)2 with guanidine and thiourea in the presence of EtONa gave 42% and 34% pyrimidines I (R = NH2, SH, R1 = OEt, R2 = Ph, R3 = Me, resp.). Similarly, α-cyanoketene-S,S-acetals gave 5-substituted 2,4-diamino-6-alkoxypyrimidines with guanidine. E.g., (NC)2C:C(SMe)2 gave 55% I (R = R1 = NH2, R2 = CN, R3 = OEt). Cyclic ketene-S,S-acetals gave 5,6-fused pyrimidines. E.g., 2-bis(methylthio)methylenecyclopentanone with guanidine and MeONa gave 56% II.
IT 61539-02-4P 61539-03-5P 61539-04-6P
61539-05-7P 61539-06-8P 61539-07-9P
61539-08-0P 61539-09-1P 61539-10-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 61539-02-4 CAPLUS
CN 2-Pyrimidinamine, 4-methoxy-6-methyl-5-phenyl- (9CI) (CA INDEX NAME)



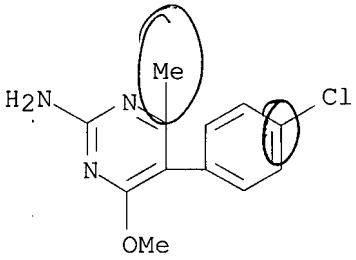
RN 61539-03-5 CAPLUS
CN 2-Pyrimidinamine, 4-ethoxy-6-methyl-5-phenyl- (9CI) (CA INDEX NAME)



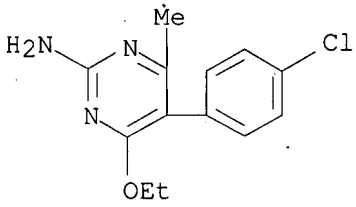
RN 61539-04-6 CAPLUS
CN 2-Pyrimidinamine, 4-methyl-5-phenyl-6-propoxy- (9CI) (CA INDEX NAME)



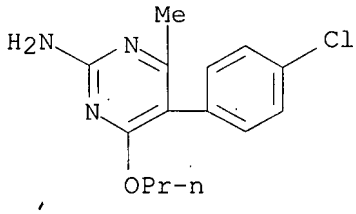
RN 61539-05-7 CAPLUS
CN 2-Pyrimidinamine, 5-(4-chlorophenyl)-4-methoxy-6-methyl- (9CI) (CA INDEX NAME)



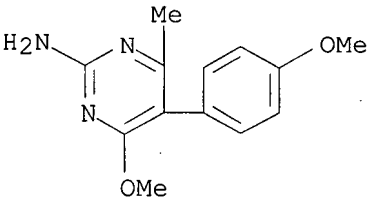
RN 61539-06-8 CAPLUS
CN 2-Pyrimidinamine, 5-(4-chlorophenyl)-4-ethoxy-6-methyl- (9CI) (CA INDEX NAME)



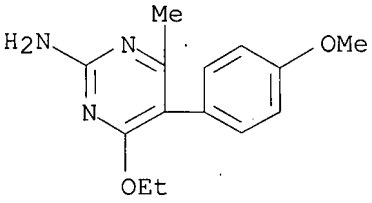
RN 61539-07-9 CAPLUS
CN 2-Pyrimidinamine, 5-(4-chlorophenyl)-4-methyl-6-propoxy- (9CI) (CA INDEX NAME)



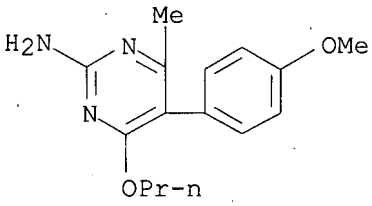
RN 61539-08-0 CAPLUS
CN 2-Pyrimidinamine, 4-methoxy-5-(4-methoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)



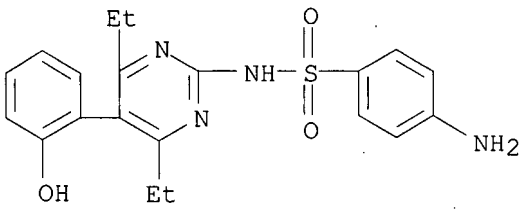
RN 61539-09-1 CAPLUS
CN 2-Pyrimidinamine, 4-ethoxy-5-(4-methoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)



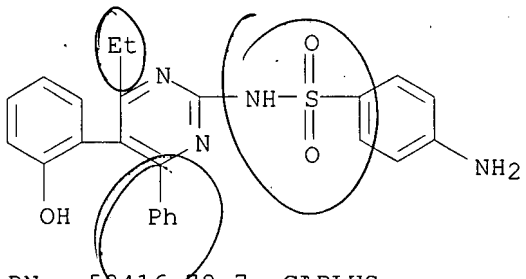
RN 61539-10-4 CAPLUS
CN 2-Pyrimidinamine, 5-(4-methoxyphenyl)-4-methyl-6-propoxy- (9CI) (CA INDEX NAME)



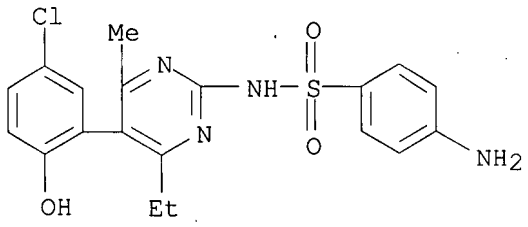
L12 ANSWER 27 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1976:90109 CAPLUS
DN 84:90109
TI Antitumor agents. XII. Direct synthesis of 2-sulfanilamidopyrimidines by action of sulfaguanidine on various oxygen heterocycles
AU Pene, Cecile; Hubert-Habart, Michel; Royer, Rene
CS Fond. Curie, Inst. Radium, Paris, Fr.
SO European Journal of Medicinal Chemistry (1975), 10(4), 340-2
CODEN: EJMCA5; ISSN: 0223-5234
DT Journal
LA French
AB Pyrimidines I (R = H, R1 = Me, R2 = 2-HOC6H4; R = Ph, R1 = H, R2 = 2-HOC6H4; R = Et, Ph, NH2, R1 = 2-HOC6H4, R2 = Et; R = H, Me, NH2, R1 = 4,2-Cl(HO)C6H3, R = Et; R = CH2Ac, R1 = H, R2 = Me) were prepared by condensing 4-benzopyrones, 2-ethylbenzofurans, or 2,6-dimethyl-4-pyrone with sulfaguanidine in EtOH-NaOEt.
IT 58416-75-4P 58416-76-5P 58416-78-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 58416-75-4 CAPLUS
CN Benzenesulfonamide, 4-amino-N-[4,6-diethyl-5-(2-hydroxyphenyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



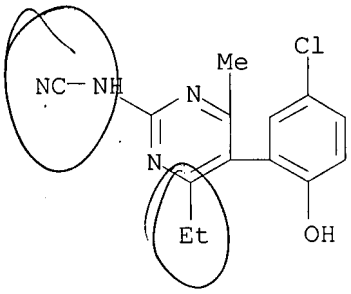
RN 58416-76-5 CAPLUS
CN Benzenesulfonamide, 4-amino-N-[4-ethyl-5-(2-hydroxyphenyl)-6-phenyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



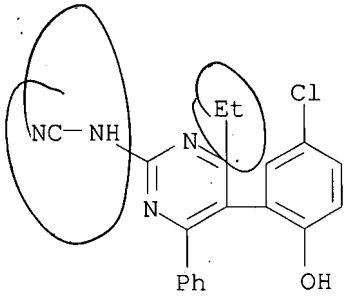
RN 58416-78-7 CAPLUS
CN Benzenesulfonamide, 4-amino-N-[5-(5-chloro-2-hydroxyphenyl)-4-ethyl-6-methyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)


Cl
Me
N
NH
S
O
O
N
NH2
OH
Et

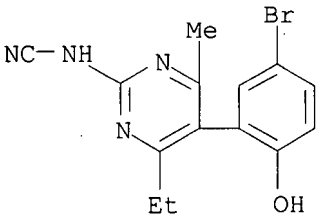
L12 ANSWER 28 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1976:30999 CAPLUS
DN 84:30999
TI Synthesis of pyrimidines and pyrazoles from 3-acyl-5-halobenzofurans
AU Takagi, Kaname; Ueda, Takeo
CS Fac. Pharm. Sci., Kitasato Univ., Tokyo, Japan
SO Chemical & Pharmaceutical Bulletin (1975), 23(10), 2427-31
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA French
OS CASREACT 84:30999
AB Pyrimidines I (R = Cl, Br, R1 = Me, Ph, R2 = NH2, Me, NHCN) were prepared by treating the benzofurans II with R2C(:NH)NH2. III (X = S) were similarly obtained with thiourea. Hydrolysis of I (R = Cl, Br, R1 = Me, R2 = NHCN) gave III (X = O), whereas I (R = Cl, Br, R1 = Ph, R2 = NHCN) gave I (R2 = NHCONH2). Reaction of II with N2H4 gave the pyrazoles IV. II were prepared by treating 5,2-R(HO)C6H3CHO with ClCH2COMe, reducing the 2-acetyl-5-halobenzofurans, and the Friedel-Crafts acylation of the 2-ethyl-5-halobenzofurans.
IT 57832-35-6P 57832-36-7P 57832-37-8P
57832-38-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 57832-35-6 CAPLUS
CN Cyanamide, [5-(5-chloro-2-hydroxyphenyl)-4-ethyl-6-methyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



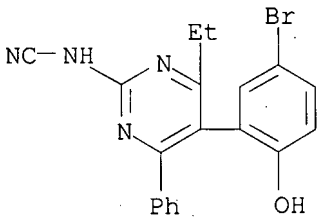
RN 57832-36-7 CAPLUS
CN Cyanamide, [5-(5-chloro-2-hydroxyphenyl)-4-ethyl-6-phenyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



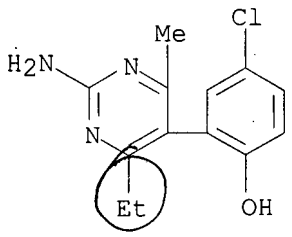
RN 57832-37-8 CAPLUS
CN Cyanamide, [5-(5-bromo-2-hydroxyphenyl)-4-ethyl-6-methyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 57832-38-9 CAPLUS
CN Cyanamide, [5-(5-bromo-2-hydroxyphenyl)-4-ethyl-6-phenyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

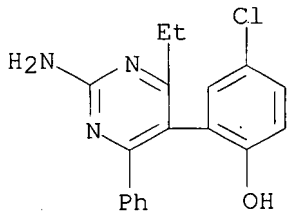


IT 57832-23-2P 57832-24-3P 57832-25-4P
57832-26-5P 57832-41-4P 57832-42-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57832-23-2 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-methyl-5-pyrimidinyl)-4-chloro- (9CI) (CA INDEX NAME)

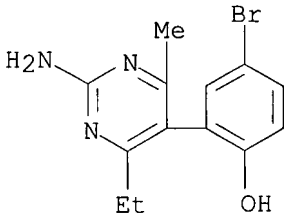


no utility

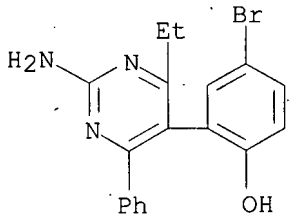
RN 57832-24-3 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-phenyl-5-pyrimidinyl)-4-chloro- (9CI) (CA INDEX NAME)



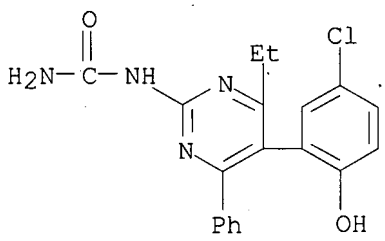
RN 57832-25-4 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-methyl-5-pyrimidinyl)-4-bromo- (9CI) (CA INDEX NAME)



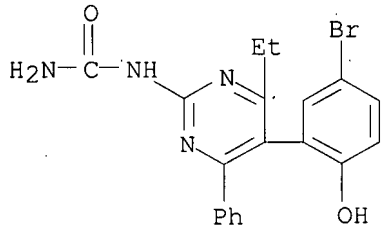
RN 57832-26-5 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-phenyl-5-pyrimidinyl)-4-bromo- (9CI) (CA INDEX NAME)



RN 57832-41-4 CAPLUS
CN Urea, [5-(5-chloro-2-hydroxyphenyl)-4-ethyl-6-phenyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



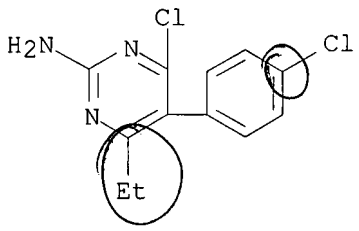
RN 57832-42-5 CAPLUS
CN Urea, [5-(5-bromo-2-hydroxyphenyl)-4-ethyl-6-phenyl-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



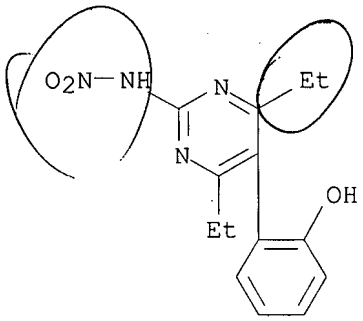
L12 ANSWER 29 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1975:156365 CAPLUS
DN 82:156365
TI Pyrimidine derivatives
IN Schweizer, Ernst; Frei, Joerg; Ilvespaeae, Atso
PA Ciba-Geigy A.-G., Switz.
SO Ger. Offen., 46 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2439283	A1	19750227	DE 1974-2439283	19740816
	DK 7403975	A	19750428	DK 1974-3975	19740723
	SE 7410028	A	19750225	SE 1974-10028	19740805
	NL 7410688	A	19750226	NL 1974-10688	19740808
	US 3947441	A	19760330	US 1974-498906	19740820
	FR 2241317	A1	19750321	FR 1974-28857	19740822
	FR 2241317	B1	19780630		
	AU 7472590	A	19760226	AU 1974-72590	19740822
	BE 819146	A1	19750224	BE 1974-147867	19740823
	AT 7406859	A	19770115	AT 1974-6859	19740823
	JP 50049289	A	19750501	JP 1974-97464	19740824
PRAI	CH 1973-12198	A	19730824		
	CH 1974-9507	A	19740710		

AB Eight pyrimidines I [R = NH2, or (tetrahydropyran-2-yloxy)amino; R1 = (tetrahydropyran-2-yloxy)amino, HONH, or PhCH2ONH; R2 = 3,4,5-(MeO)3 or 4-Cl; R3 = H or Et] useful as antimalarial and antibacterial agents, were prepared by refluxing I (R = NH2, R1 = Cl or R = R1 = Cl) with HONH2 or ethers thereof in MeCN optionally followed by ether cleavage.
IT 55694-06-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydroxylamines)
RN 55694-06-9 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-5-(4-chlorophenyl)-6-ethyl- (9CI) (CA INDEX NAME)

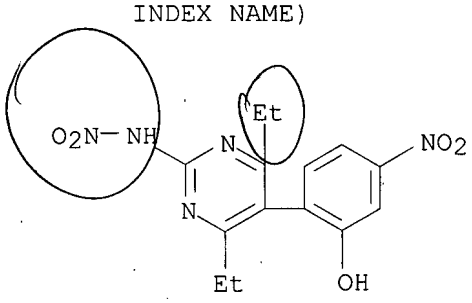


L12 ANSWER 30 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1974:505428 CAPLUS
DN 81:105428
TI Nitro derivatives of biological interest. IX. Synthesis of 2-nitramino pyrimidines from chromones and benzofurans
AU Pene, Cecile; Hubert-Habart, Michel; Royer, Rene
CS Fond. Curie, Inst. Radium, Paris, Fr.
SO European Journal of Medicinal Chemistry (1974), 9(2), 202-4
CODEN: EJMCA5; ISSN: 0223-5234
DT Journal
LA French
AB Nitraminopyrimidines I (R = H, NO2; R1 = H, Et, Ph, NH2) were prepared in 56-99% yield by treating the benzofurans II (R2 = CHO, CH(OAc)2, COEt, Bz, CN) with nitroguanidine. III (R1 = H, Ph; R3 = H, Me) similarly were prepared from the chromones IV. Treatment of I and III with N2H4 gave 2-hydrazinopyridines, which with NaNO2 gave either 2-azidopyrimidines or tetrazolopyrimi-dines.
IT 53511-37-8P 53511-38-9P 53511-39-0P
53511-40-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with hydrazine)
RN 53511-37-8 CAPLUS
CN Phenol, 2-[4,6-diethyl-2-(nitroamino)-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

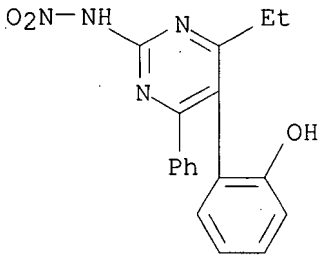


no Utility

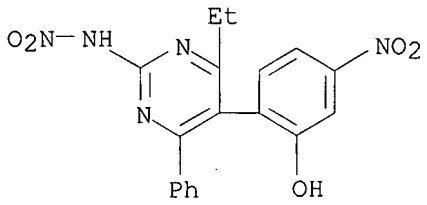
RN 53511-38-9 CAPLUS
CN Phenol, 2-[4,6-diethyl-2-(nitroamino)-5-pyrimidinyl]-5-nitro- (9CI) (CA INDEX NAME)



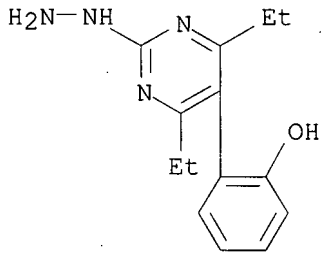
RN 53511-39-0 CAPLUS
CN Phenol, 2-[4-ethyl-2-(nitroamino)-6-phenyl-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



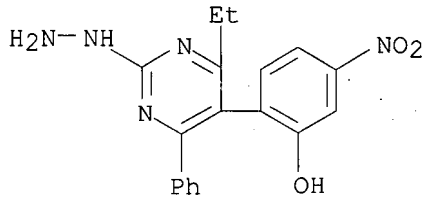
RN 53511-40-3 CAPLUS
CN Phenol, 2-[4-ethyl-2-(nitroamino)-6-phenyl-5-pyrimidinyl]-5-nitro- (9CI) (CA INDEX NAME)



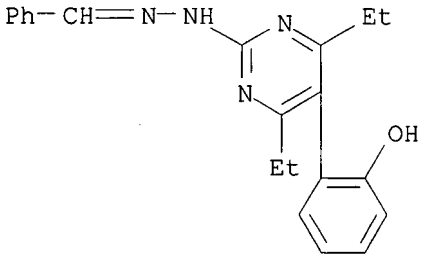
IT 53511-48-1P 53511-49-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with nitrite)
RN 53511-48-1 CAPLUS
CN 2(1H)-Pyrimidinone, 4,6-diethyl-5-(2-hydroxyphenyl)-, hydrazone (9CI) (CA INDEX NAME)



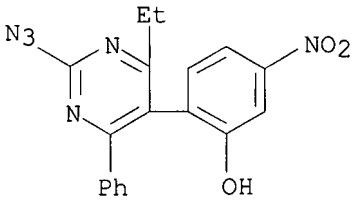
RN 53511-49-2 CAPLUS
CN 2(1H)-Pyrimidinone, 4-ethyl-5-(2-hydroxy-4-nitrophenyl)-6-phenyl-, hydrazone (9CI) (CA INDEX NAME)



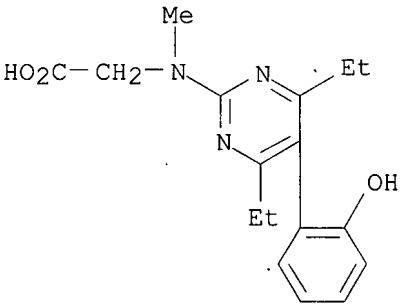
IT 53511-51-6P 53511-55-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53511-51-6 CAPLUS
CN Benzaldehyde, [4,6-diethyl-5-(2-hydroxyphenyl)-2-pyrimidinyl]hydrazone (9CI) (CA INDEX NAME)



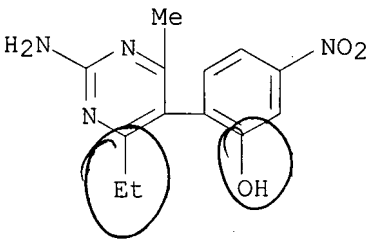
RN 53511-55-0 CAPLUS
CN Phenol, 2-(2-azido-4-ethyl-6-phenyl-5-pyrimidinyl)-5-nitro- (9CI) (CA INDEX NAME)



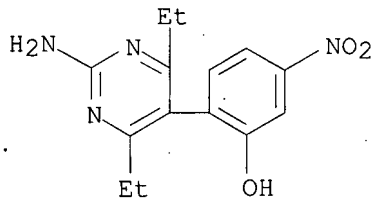
L12 ANSWER 31 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1974:437530 CAPLUS
DN 81:37530
TI Antitumor agents. VIII. Formation from creatine of some pyrimidines substituted by an amino acid chain
AU Menichi, Gabriel; Hubert-Habart, Michel; Royer, Rene
CS Inst. Radium, Fond. Curie, Paris, Fr.
SO European Journal of Medicinal Chemistry (1974), 9(1), 11-13
CODEN: EJMCA5; ISSN: 0223-5234
DT Journal
LA French
AB Pyrimidines I (R = OH, R1 = CO2Et, R2 = H; R = Me, R1 = CO2H, R2 = H) were obtained by cyclizing creatine with EtOCH:CR2CO2Et (R2 = CO2Et, Ac). I (R = H, R1 = Me, R = Me, R1 = H, R2 = C6H4OH-o) similarly were obtained from chromones and I (R = R2 = Et, R1 = C6H4OH-o) from 2-ethyl-3-propionylbenzofuran. Reaction of arginine with 3-methylchromone gave a similar compound, probably II.
IT 52872-46-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52872-46-5 CAPLUS
CN Glycine, N-[4,6-diethyl-5-(2-hydroxyphenyl)-2-pyrimidinyl]-N-methyl- (9CI) (CA INDEX NAME)



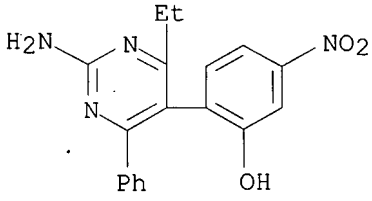
L12 ANSWER 32 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1973:505183 CAPLUS
DN 79:105183
TI Nitro derivatives of biological interest. VI. Synthesis of 5-(2-hydroxy-4-nitrophenyl)pyrimidines from nitro derivatives of benzofurans substituted in the 3-position by an electroattractive group
AU Hubert-Habart, Michel; Pene, Cecile; Bastian, Gerard; Royer, Rene
CS Serv. Chim., Fond. Curie-Inst. Radium, Paris, Fr.
SO Chimica Therapeutica (1973), 8(3), 314-18
CODEN: CHTPBA; ISSN: 0009-4374
DT Journal
LA French
AB Pyrimidines I (R = H, Me, Et, Ph, NH2; R1 = NH2, Me) were prepared in 70-90% yield and II (X = O, S) in 9-99% yield by nitrating the benzofurans III (R2 = CHO, Ac, COEt, COPh, CN; R3 = H) in 43-60% yield and treating III (R3 = NO2) with R1C(:NH)NH2 or CX(NH2)2.
IT 42902-01-2P 42902-05-6P 42902-09-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 42902-01-2 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-methyl-5-pyrimidinyl)-5-nitro- (9CI) (CA INDEX NAME)



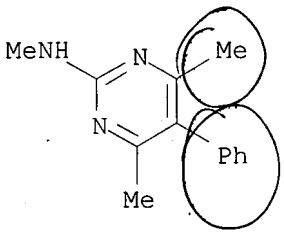
RN 42902-05-6 CAPLUS
CN Phenol, 2-(2-amino-4,6-diethyl-5-pyrimidinyl)-5-nitro- (9CI) (CA INDEX NAME)



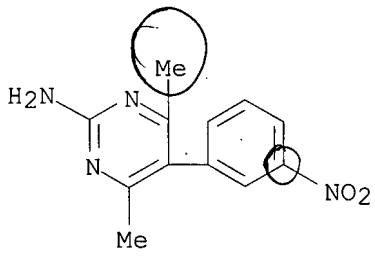
RN 42902-09-0 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-phenyl-5-pyrimidinyl)-5-nitro- (9CI) (CA INDEX NAME)



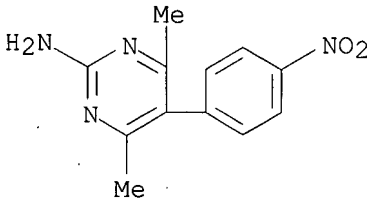
L12 ANSWER 33 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1971:75908 CAPLUS
DN 74:75908
TI Dimroth rearrangement. XIII. The small effect of p-substitution on rearrangement rates for 1,2-dihydro-2-imino-1-methyl-5-phenylpyrimidines
AU Brown, Desmond J.; England, B. T.
CS John Curtin Sch. Med. Res., Aust. Natl. Univ., Canberra, Australia
SO Journal of the Chemical Society [Section] C: Organic (1971), (2), 250-6
CODEN: JSOOAX; ISSN: 0022-4952
DT Journal
LA English
AB The rates of Dimroth rearrangement of the title p-substituted phenylpyrimidines (I) were measured. The resonance effect of the p-substituents are attenuated by the considerable interplanar angle between the benzene and pyrimidine rings, but the rates decreased in the order NO2 > F > Cl > Br > Me > OMe > NH2 > NMe2, following qual. the σ values for the groups. The 4,6-dimethyl I derivs. (II), for which UV and pKa indicate even less through-conjugation, behaved similarly. The rearrangement of 1,2-dihydro-2-imino-1,6-dimethylpyrimidne was faster than that of its 1,4-dimethyl isomer. II were prepared from AcCHPhAc via 4,6-dimethyl-2-(methylsulfonyl)-5-phenylpyrimidine and 4,6-dimethyl-2-(methylamino)-5-phenylpyrimidine.
IT 31458-11-4P 31458-13-6P 31464-55-8P
31464-56-9P 31464-57-0P 31464-58-1P
31464-66-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31458-11-4 CAPLUS
CN Pyrimidine, 4,6-dimethyl-2-(methylamino)-5-phenyl- (8CI) (CA INDEX NAME)



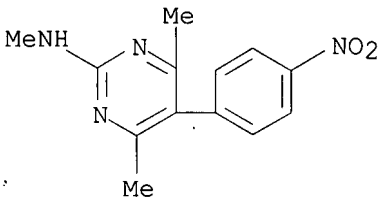
RN 31458-13-6 CAPLUS
CN Pyrimidine, 2-amino-4,6-dimethyl-5-(m-nitrophenyl)- (8CI) (CA INDEX NAME)



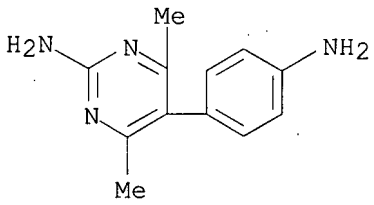
RN 31464-55-8 CAPLUS
CN Pyrimidine, 2-amino-4,6-dimethyl-5-(p-nitrophenyl)- (8CI) (CA INDEX NAME)



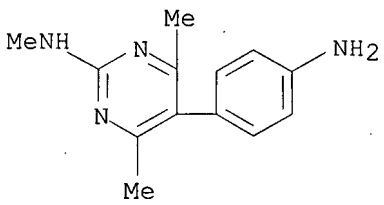
RN 31464-56-9 CAPLUS
CN Pyrimidine, 4,6-dimethyl-2-(methylamino)-5-(p-nitrophenyl)- (8CI) (CA INDEX NAME)



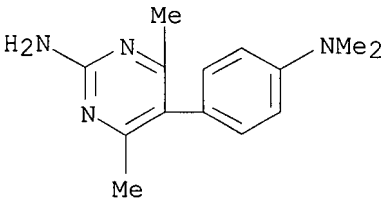
RN 31464-57-0 CAPLUS
CN Pyrimidine, 2-amino-5-(p-aminophenyl)-4,6-dimethyl- (8CI) (CA INDEX NAME)



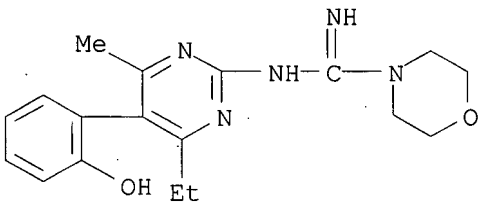
RN 31464-58-1 CAPLUS
CN Pyrimidine, 5-(p-aminophenyl)-4,6-dimethyl-2-(methylamino)- (8CI) (CA INDEX NAME)



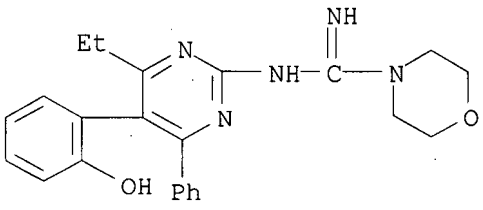
RN 31464-66-1 CAPLUS
CN Pyrimidine, 2-amino-5-[p-(dimethylamino)phenyl]-4,6-dimethyl- (8CI) (CA INDEX NAME)


Me
H2N
N
NMe2
N
Me

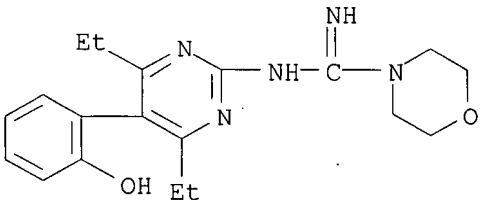
L12 ANSWER 34 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1971:3578 CAPLUS
DN 74:3578
TI Antitumor agents. IV. Formation of new pyrimidines from benzofurans substituted in position 3 by an electron attracting group
AU Takagi, Kaname; Hubert-Habart, Michel
CS Fac. Pharm., Univ. Kitasato, Tokyo, Japan
SO Chimica Therapeutica (1970), 5(4), 264-9
CODEN: CHTPBA; ISSN: 0009-4374
DT Journal
LA French
OS CASREACT 74:3578
AB I (R = CHO, Ac, COEt, or Bz) was condensed with N-(guanidinoiminomethyl)morpholine to give II (R = CHO, Ac, COEt, or Bz). I (R = CHO) also gave I (R = 2-imino-6-morpholino-1,2,3,4-tetrahydro-s-triazin-4-yl). With H2NC(:NH)NHCN in the presence of NaOEt, I gave III, and I (R = CN) gave III (R = NH2) and I (R = 2,4-diimino-1,2,3,4-tetrahydro-s-triazin-6-yl). III (R = NH2) reacted with morpholine to give II (R = NH2). I (R = CO2Et) was unreactive under these conditions.
IT 29936-02-5P 29936-03-6P 29936-05-8P
29936-06-9P 29936-07-0P 30041-99-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 29936-02-5 CAPLUS
CN 4-Morpholinecarboxamidine, N-[4-ethyl-5-(o-hydroxyphenyl)-6-methyl-2-pyrimidinyl]- (8CI) (CA INDEX NAME)



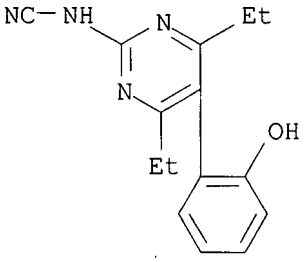
RN 29936-03-6 CAPLUS
CN 4-Morpholinecarboxamidine, N-[4-ethyl-5-(o-hydroxyphenyl)-6-phenyl-2-pyrimidinyl]- (8CI) (CA INDEX NAME)



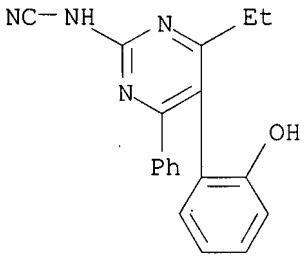
RN 29936-05-8 CAPLUS
CN 4-Morpholinecarboxamidine, N-[4,6-diethyl-5-(o-hydroxyphenyl)-2-pyrimidinyl]- (8CI) (CA INDEX NAME)



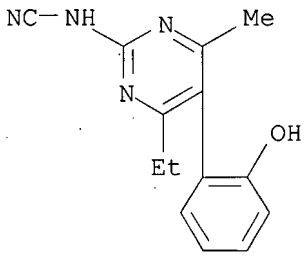
RN 29936-06-9 CAPLUS
CN 2-Pyrimidinecarbamonitrile, 4,6-diethyl-5-(o-hydroxyphenyl)- (8CI) (CA INDEX NAME)



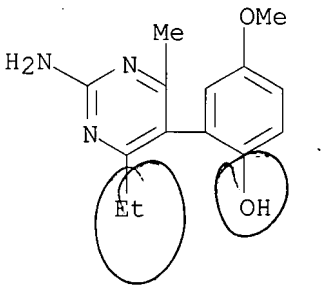
RN 29936-07-0 CAPLUS
CN 2-Pyrimidinecarbamonitrile, 4-ethyl-5-(o-hydroxyphenyl)-6-phenyl- (8CI) (CA INDEX NAME)



RN 30041-99-7 CAPLUS
CN 2-Pyrimidinecarbamonitrile, 4-ethyl-5-(o-hydroxyphenyl)-6-methyl- (8CI) (CA INDEX NAME)



L12 ANSWER 35 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1969:37765 CAPLUS
DN 70:37765
TI 5 (or 6)-Polyhydroxyphenyl pyrimidines
AU Hubert-Habart, Michel; Menichi, Gabriel; Takagi, Kaname; Cheutin, Andree; Desvoye, Marie L.; Royer, Rene
CS Inst. Radium, Fond. Curie, Paris, Fr.
SO Chimica Therapeutica (1968), 3(4), 280-8
CODEN: CHTPBA; ISSN: 0009-4374
DT Journal
LA French
AB The synthesis of the title compds. is described. Thus, 100 g. 2-formyl-4-methoxyphenol was refluxed 1 hr. with 70 g. chloroacetone and 75 g. K2CO3 in 250 ml. Me2CO to give 67% I (R = Ac, R1 = R2 = H, R3 = OMe), m. 75°, which on reduction in the presence of N2H4·H2O in diethylene glycol gave 65.5% I (R = Et, R1 = R2 = H, R3 = OMe) (II), b30 151-2°, n20D 1.5568, from the organic phase and 2% 2-ethyl-5-hydroxybenzofuran, m. 74-5° (2-ethyl-3-acetyl-5-acetoxybenzofuran derivative m. 86-7°), from the aqueous phase. II on acetylation with AcCl in C6H6 in the presence of SnCl4 and AlCl3 gave a mixture of isomers, b22 195-6°, n22D 1.5771, which on boiling with NaOH and EtOH gave I (R = Et, R1 = H, R2 = Ac, R3 = OMe) (III), b22 195-6°, n22D 1.5770. The isomer mixture containing III and I (R = Et, R1 = Ac, R2 = H, R3 = OMe) (IV) was refluxed with guanidine-HCl (V) in the presence of alc. NaOMe. The organic phase gave III and the aqueous phase was treated with NaHCO3 to give 90% VI (R = NH2, R1 = Me), m. 197-8°. Similar treatment with thiourea, acetamidine, and urea instead of V gave VII (X = S, R = Me), m. 212°; VI (R = R1 = Me), m. 126°; and VII (X = O, R = Me), m. 308°, resp. Demethylation of III and IV gave the corresponding hydroxylated ketones, m. 122° and 176°, resp. Methylation of 2-ethyl-3-acetyl-3-hydroxybenzofuran with Me2SO4 gave IV, b11 177°. VI and VII could be demethylated to 5-(2,5-dihydroxyphenyl)pyrimidines by HBr. VIII (R = R1 = Me, R2 = H), m. 159-60°, was prepared by refluxing quercitin (IX) with KOH and MeI in MeOH. VIII (R = R1 = R2 = Me) (X), m. 151-2°, was prepared by refluxing 2 g. IX and 10 g. Me2SO4 in 300 ml. Me2CO containing 30 g. K2CO3 21 hrs. X on degradation with NaOH gave 4-methoxy-acetylpyrocatechol di-Me ether, m. 62-3°, and methoxy-acetylphloroglucinol di-Me ether, m. 102°, from the organic phase and veratric acid, m. 181-2°, from the aqueous phase. X on treatment with NaOMe gave 89% VIII (R = R1 = Me, R2 = Et) (XI), m. 170°. X on treatment with V, thiourea, or acetamidine gave XII (R = NH2, R1 = Me), m. 196°; XIII (R = Me), m. 245°; and XII (R = R1 = Me), m. 162°, resp. XI on similar treatment with IV and thiourea gave XII (R = NH2, R1 = Et), m. 198° and XIII (R = Et), m. 188°, resp. XI on NaOH degradation gave 2-methoxyacetyl-3-ethoxy-5-methoxyphenol, m. 106-7°. VIII (R = R2 = Me, R1 = Et) (XIV), m. 154-5°, was prepared by refluxing a solution of VIII (R = R2 = Me, R1 = H), m. 197-8°, with Et2SO4 in Me2CO. XIV on degradation with NaOH gave ethoxyacetylphloroglucinol di-Me ether, m. 99-100°. XIV on transesterification with NaOMe gave 3',4',7-trimethoxy-3,5-diethoxyflavone, m. 164-5°, which on refluxing with alc. NaOH gave 2-ethoxyacetyl-3-ethoxy-5-methoxyphenol, m. 82-3°. The ir spectra of the compds. prepared are discussed.
IT 21587-44-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 21587-44-0 CAPLUS
CN Phenol, 2-(2-amino-4-ethyl-6-methyl-5-pyrimidinyl)-4-methoxy- (8CI) (CA INDEX NAME)

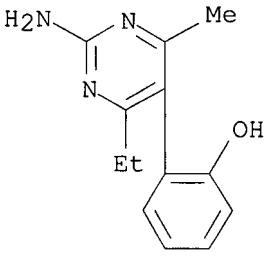

OMe
Me
H2N
N
N
Et
OH

L12 ANSWER 36 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1967:443775 CAPLUS
DN 67:43775
OREF 67:8231a,8234a
TI Benzofuran. XXVIII. Nucleophilic reaction of the heterocyclic ring of benzofurans substituted at position 3 by an electron-attracting group, and its application to the synthesis of pyrazoles, isoxazoles, and pyrimidines
AU Hubert-Habart, Michel; Takagi, Kaname; Cheutin, Andree; Royer, Rene
CS Inst. Radium, Fond. Curie, Paris, Fr.
SO Bulletin de la Societe Chimique de France (1966), (5), 1587-98
CODEN: BSCFAS; ISSN: 0037-8968
DT Journal
LA French
OS CASREACT 67:43775
AB cf. CA 64: 19580b; preceding abstract The degradation of benzofuran substituted at position 3 by an electron-attracting group not containing a carbonyl residue is more difficult (with smaller yield product) than the degradation of the formyl or acyl analogs. Consequently, the 1,2-bond of 3-formyl or acyl benzofurans (I) was broken with NH3 to give (2-hydroxyphenyl)-β-enamino ketones (II) which regenerated the initial I by losing NH3 either spontaneously, or on heating, or on treating with dilute HCl. The 2-ethyl-3-acetyl benzofuran (I, R = Et, R' = Me) (III) and its isomer I (R = Me, R' = Et) (IV) gave two different β-enamino ketones while 2-ethyl-3-formylbenzofuran I (R = Et, R' = H) (V) and its isomer I (R = H, R' = Et) (VI) afforded the same II. However, 3-cyano (VII), 2-ethyl-3-cyano (VIII), and 2-ethyl-3-carbethoxybenzofuran (IX) did not undergo this degradation. Thus, the following II were prepared by passing NH3 for 1.5 hrs. into a cold solution of I in absolute EtOH (R, R', and m.p. given): Et, Et, 101°; Et, Me, 117-19°; Me, Et, 147-9°; H, Et, 156°. Benzofurans bearing at position 3 a CN, formyl, or acyl group were degraded in alkaline medium in the same way as the 3-carbonyl benzofuran (CA 55: 505b). The reaction was carried in aqueous alc. with 3 moles NaOH. Alternatively, 2-ethyl-3-carboxy- and -2-ethyl-3-amido benzofurans and IX were not degraded by alkali but rather saponified. Thus, VIII gave 2'-hydroxyphenylacetic acid, m. 139°, while V and VI gave the same 2-hydroxybenzyl ethyl ketone, m. 50°. With NH2OH, in neutral or alkaline medium, III and IV gave two different isoxazoles, resp., 3-ethyl-4-(2-hydroxyphenyl)-5-methylisoxazole, m. 111° (CA 59: 15265f), and 3-methyl-4-(2-hydroxyphenyl)-5-ethylisoxazole, m. 111°, mixed m. 85-90°. Similarly, VIII reacted with NH2OH in neutral medium to give 12% 3-ethyl-4-(2-hydroxyphenyl)-5-aminoisoxazole, m. 146°. I, VIII, and IX were degraded to pyrazoles (X) by the action of NH2NHR" (R" = H, Me, CONH2, or CSNH2). In the case of hydrazine hydrate each pair of the isomers III and IV as well as V and VI gave the same pyrazole while with methylhydrazine each of III, IV, V, and VI gave different pyrazoles. Furthermore, 2-ethyl-3-propionyl benzofuran I (R = R' = Et) gave only one identical pyrazole with hydrazine hydrate, semicarbazide, and thiosemicarbazide in alkaline medium. The following X were prepared (R, R', R", and m.p. given): Et, H, H, 136°; Et, Me, H, 115-18°; Et, NH2, H, 160°; Et, OH, H, 197°; H, Et, Me, 132-4°; Et, H, Me, 145-8°; Et, Me, Me, 173°; Me, Et, Me, 147-50°; Et, NH2, Me, 207°; Et, Et, H (or CONH2 or CSNH2), 125°. However, VII, 2-ethyl-3-carboxy benzofuran and its amide were not degraded to pyrazole with hydrazine hydrate. Guanidine carbonate or -HCl, urea, and thiourea reacted with I, VII, and VIII to give pyrimidines. Thus guanidine, even in the absence of another alkaline reagent, gave the following aminopyrimidines (XI) (R, R', and m.p. given): Et, H, 178-80°; Et, Me, 251°; Et, Et, 216°; Et, p-C6H4OMe, 206°; Ph, Me,

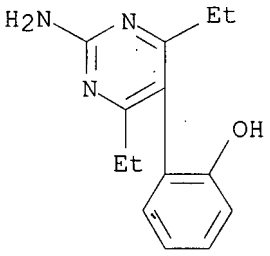
257°; H, NH2, 297°; Et, NH2, 239°. With thiourea and urea, the reaction was carried out in a dry medium in the presence of EtONa and EtOH to give the following 1,2-dihydropyrimidines (XII) (Z, R, R', and m.p. given): S, Et, H, 216°; S, Et, Me, 240°; S, Et, Et, 213°; Et, p-C6H4OMe, 225°; S, Ph, Me, 266-8°; S, H, NH2, >300° XIII; S, Et, NH2, >320° XIV; O, Et, Me, 269-71°; O, Et,Et, 288°; O, Et, p-C6H4OMe, 287°; O, Ph, Me, 280°. Also, XII [Z, R, R', and m.p. given): O, H, NH2, 310°; O, Et, NH2, 302°] were obtained by condensing XIII and XIV, resp., with ClCH2CO2H in 20 cc. H2O followed by hydrolysis of the product with 10 cc. 2N H2SO4. However, with guanidin.-HCl and thiourea, IX gave, resp., 2-amino-3,4-dihydro-4-oxo-5-(2-hydroxyphenyl)-6-ethylpyrimidine, m. 283°, and 1,2,3,4-tetrahydro-2-thio-4-oxo-5-(2-hydroxyphenyl)-6-ethylpyrimidine, m. 270°. The ir spectra of I, II, X, XI, and XII are given.

IT 1901-71-9P 1980-87-6P 1980-88-7P
14716-08-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

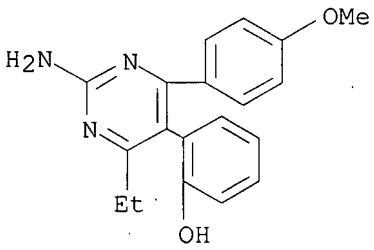
RN 1901-71-9 CAPLUS
CN Phenol, o-(2-amino-4-ethyl-6-methyl-5-pyrimidinyl)- (7CI, 8CI) (CA INDEX NAME)



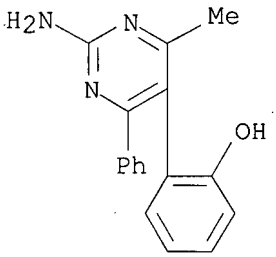
RN 1980-87-6 CAPLUS
CN Phenol, o-(2-amino-4,6-diethyl-5-pyrimidinyl)- (7CI, 8CI) (CA INDEX NAME)



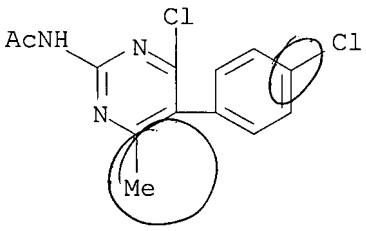
RN 1980-88-7 CAPLUS
CN Phenol, o-[2-amino-4-ethyl-6-(p-methoxyphenyl)-5-pyrimidinyl]- (7CI, 8CI) (CA INDEX NAME)



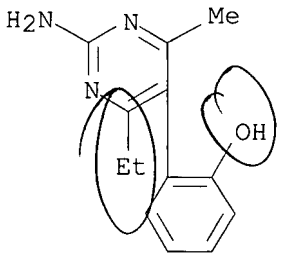
RN 14716-08-6 CAPLUS
CN Phenol, o-(2-amino-4-methyl-6-phenyl-5-pyrimidinyl)- (8CI) (CA INDEX NAME)



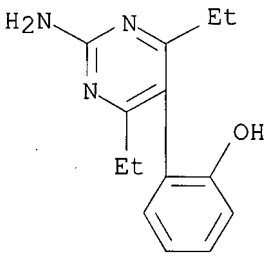
L12 ANSWER 37 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1967:418079 CAPLUS
DN 67:18079
OREF 67:3419a,3422a
TI Irreversible enzyme inhibitors. LXXXV. On the mode of pyrimidine binding of 5-alkyl and 5-arylpyrimidines to dihydrofolic reductase
AU Baker, Bernard Randall; Lourens, Gerhardus J.; Jordaan, Johannes H.
CS Univ. of California, Santa Barbara, CA, USA
SO Journal of Heterocyclic Chemistry (1967), 4(1), 39-48
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
AB cf. preceding abstract A series of 5-isoamyl- and 5-(p-chlorophenyl)pyrimidines substituted with amino, alkylamino, mercapto, benzyloxy, hydroxy, or hydrogen at the 2- and 4-positions and with amino or methyl at the 6-position have been synthesized for evaluation of the mode of pyrimidine binding to dihydrofolic reductase. The studies were performed in order to determine where a bulky group could be placed on the pyrimidine ring that would still allow good binding; such studies are essential to find a suitable position for placement of a covalent forming group for design of active-site-directed irreversible inhibitors. Two classes of candidate compds. have emerged for further study as irreversible inhibitors, namely, 2-amino-4-mercapto-6-(p-bromoacetamidophenylalkyl)pyrimidines (I) and 2,4-diamino-6-(p-bromoacetamidophenylalkyl)aminopyrimidines having a group such as phenyl, phenylbutyl or isoamyl at the 5-position that can give strong hydrophobic bonding to the enzyme. 27 references.
IT 17001-93-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 17001-93-3 CAPLUS
CN Acetamide, N-[4-chloro-5-(p-chlorophenyl)-6-methyl-2-pyrimidinyl]- (8CI) (CA INDEX NAME)



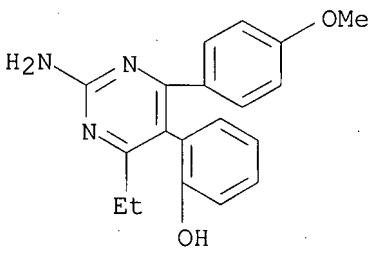
L12 ANSWER 38 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1965:431681 CAPLUS
DN 63:31681
OREF 63:5639b-f
TI Investigation of benzofuran. Formation of 5-(2-hydroxyphenyl)pyrimidines from benzofurans substituted in the 3-position by an electrophilic group
AU Takagi, Kaname; Hubert-Habart, Michel; Royer, Rene
CS Inst. Radium, Paris
SO Compt. Rend. (1965), 260(20(Groupe 8)), 5302-5
DT Journal
LA French
AB The benzofurans I (R = H, Me, Et, p-MeOC6H4) refluxed 24 hrs. in EtOH in the presence of NaOEt with excess (H2N)2C:NH (II), CS(NH2)2 (III), and urea yielded the corresponding IV, V, and VI, resp., listed in the table. I (R = H) treated with urea in the presence of NaOH or NaOEt gave only o-HOC6H4CH2COEt, m. 49-50°; semicarbazone m. 174°. R, IV, M.p., % yield, M.p., V, % yield, VI, M.p., % yield; H, 178-80°, 60, 215-16°, 21, -, 0; Me, 251°, 52.5, 240°, 52.5, 269-71°, 2.5; Et, 216°, 68, 231°, 43, 288°, 8.5; p-MeOC6H4, 205-6°, 55, 223-6°, 27, 287°, 5; 3-Cyano-2-ethylbenzofuran (VII) refluxed 5 hrs. with excess alc. NaOH gave the 3-CO2H analog (VIII) and the 3-CONH2 analog (IX) of VII, as well as o-HOC6H4CO2H and o-HOC6H4CH2CN (X). This sensitivity to alkaline reagents can be utilized for the synthesis of pyrimidines. VII treated with II and III in the presence of NaOEt yielded IV (R = NH2), m. 238-9°, 58%, and V (R = NH2), decomposed at about 285°, 35%, resp. VII and urea under the same conditions gave only IX and X. 3-Carbethoxy-2-ethylbenzofuran (XI) was saponified by NaOH to VIII. XI with II and III in the presence of base yielded 52% 2-amino-3,4-dihydro-4-oxo-5-(o-hydroxyphenyl)-6-ethylpyrimidine, m. 282-3°, and 8% 1,2,3,4-tetrahydro-2-thio-4-oxo-5-(o-hydroxyphenyl)-6-ethylpyrimidine, m. 269-70°. V (R = Et) (XII) with ClCH2CO2H yielded 2-carboxymethylthio-4,6-diethyl-5-(o-hydroxyphenyl)pyrimidine which hydrolyzed gave XII.
IT 1901-71-9P, Phenol, o-(2-amino-4-ethyl-6-methyl-5-pyrimidinyl)-
1980-87-6P, Phenol, o-(2-amino-4,6-diethyl-5-pyrimidinyl)-
1980-88-7P, Phenol, o-[2-amino-4-ethyl-6-(p-methoxyphenyl)-5-pyrimidinyl]-
RL: PREP (Preparation)
(preparation of)
RN 1901-71-9 CAPLUS
CN Phenol, o-(2-amino-4-ethyl-6-methyl-5-pyrimidinyl)- (7CI, 8CI) (CA INDEX NAME)



RN 1980-87-6 CAPLUS
CN Phenol, o-(2-amino-4,6-diethyl-5-pyrimidinyl)- (7CI, 8CI) (CA INDEX NAME)



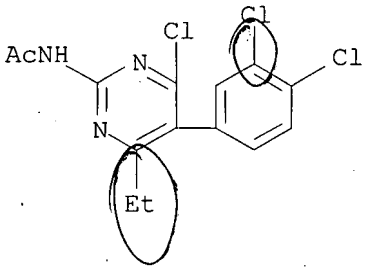
RN 1980-88-7 CAPLUS
CN Phenol, o-[2-amino-4-ethyl-6-(p-methoxyphenyl)-5-pyrimidinyl]- (7CI, 8CI) (CA INDEX NAME)



L12 ANSWER 39 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1959:72667 CAPLUS
DN 53:72667
OREF 53:13184b-d
TI Sodium salts of barbituric and thiobarbituric acid derivatives
PA Societe financiere de placements S. A.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 800886		19580903	GB 1956-13425	19560501

AB Na salts of barbituric (I) and thiobarbituric acid (II) derivs. in the form of dry, light foams, readily soluble in H2O are produced in sealed ampuls. The desired solid I or II is dissolved in 1-2/% excess Na alcoholate, filtered and diluted with alc., and the required volume used for filling the ampuls which are then placed in a heated vacuum oven with a shaking device. At 30-50° and 140-150 mm. about 0.5 the volume is evaporated, the pressure is then reduced to 10 mm.; the agitation is stopped when the solids foam in the ampuls. After complete removal of alc. the ampuls are quickly sealed.
IT 106472-60-0
(Derived from data in the 6th Collective Formula Index (1957-1961))
RN 106472-60-0 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



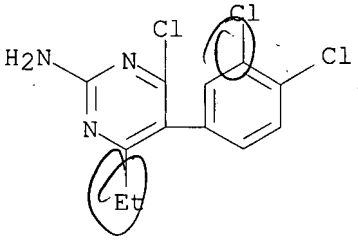
L12 ANSWER 40 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1959:72666 CAPLUS
DN 53:72666
OREF 53:13183h-i,13184a-b
TI 2-Amino-4-chloro-5-(3',4'-dichlorophenyl)-6-ethylpyrimidine
IN Jacob, Robert M.
PA Societe des usines chimiques de Rhone-Poulenc
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 954250		19561213	DE	

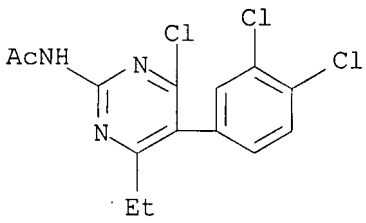
AB New pyrimidine derivs. are obtained. Guanidine carbonate (18.7 g.) is dissolved in portions in 70 ml. 20% oleum at 0°, 30 g. I added (prepared by condensation of EtCO2Et with 3,4-dichlorobenzyl cyanide in the presence of alkaline agents and subsequent treatment with EtOH) at -10 to -20°, warmed to room temperature, and heated 2 hrs. at 50-5°. After cooling, the mixture is poured into cold, aqueous Na2CO3, the solid mass filtered off and purified by dissolving in 2N NaOH, filtering, precipitating with AcOH, filtering off the precipitate, washing with H2O, and drying in air to yield 22.5 g. 2-amino-4-hydroxy-5-(3',4'-dichlorophenyl)-6-ethylpyrimidine (II), m. 180-90° solidified and m. 230-40°. II (10 g.), 8 ml. Ac2O and 40 ml. anhydrous C5H5N is refluxed 2 hrs., concentrated in vacuo, dissolved in H2O, acidified with HCl to Congo red, the precipitate filtered off with suction, washed with H2O, and dried in vacuo to yield 10.3 g. 2-acetylamino-4-hydroxy-5-(3',4'-dichlorophenyl)-6-ethylpyrimidine (III), m. 250°. III is heated 1 hr. with 8 ml. POCl3 to 55-60°, poured into ice H2O, neutralized with NH4OH, filtered off with suction, washed with H2O, and dried in vacuo to give 10.8 g. 2-acetylamino-4-chloro-5-(3',4'-dichlorophenyl)-6-ethylpyrimidine, m. 200°. Hydrolysis with NaOH in EtOH gives 2-amino-4-chloro-5-(3',4'-dichlorophenyl)-6-ethylpyrimidine, m. 166°.

IT 100124-03-6P, Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- 106472-60-0P, Pyrimidine, 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

RN 100124-03-6 CAPLUS
CN Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



RN 106472-60-0 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)


AcNH
N
N
Cl
Cl
Cl
Et

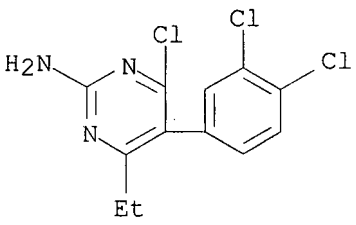
L12 ANSWER 41 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1959:29222 CAPLUS
DN 53:29222
OREF 53:5302h-i,5303a
TI Pyrimidine derivatives
IN Jacob, Robert M.
PA Societe des usines chimiques de Rhone-Poulenc
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1082744		19541231	FR	19530521

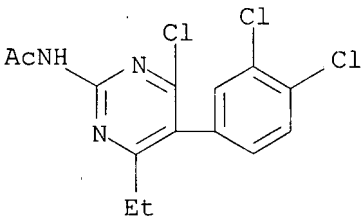
AB 2-Acetamido-4-chloro-5-(3',4'-dichlorophenyl)-6-ethylpyrimidine (I) (5 g.), 14 cc. iso-PrNH2, and 14 cc. EtOH heated 6 hrs. in a sealed tube at 180-5° gave 3.5 g. 2-amino-4-isopropylamino-5-(3',4'-dichlorophenyl)-6-ethylpyrimidine, m. 193° (EtOH). A suspension of 8 g. I in 18 cc. HOCH2CH2OH treated with stirring at about 100° with a stream of Me2NH gave a solution on heating to 140°. Heating 30 min. more at 140° with a weak Me2NH stream bubbling through the solution, then cooling, filtering, washing the precipitate with EtOH, and drying in vacuo gave 6.3 g. 2-amino-4-dimethylamino-5-(3,4-dichlorophenyl)-6-ethylpyrimidine, m. 203° (EtOH). Derivs. of the type prepared and their salts are very active against blood parasites, particularly plasmodia. Cf. preceding abstract

IT 100124-03-6P, Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- 106472-60-0P, Pyrimidine, 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

RN 100124-03-6 CAPLUS
CN Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



RN 106472-60-0 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



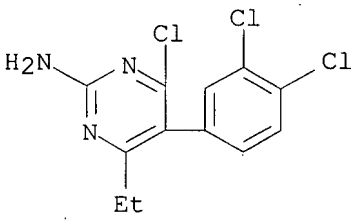
L12 ANSWER 42 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1959:29221 CAPLUS
DN 53:29221
OREF 53:5302f-h
TI Pyrimidine derivatives
IN Jacob, Robert M.
PA Societe des usines chimiques de Rhone-Poulenc
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1082743		19541231	FR	19530521

AB EtO2CCH(3,4-C6H3Cl2)COEt (I) (30 g.) was added slowly at a temperature between -10 and +20° to a solution prepared at 0° by addition of 18.7 g. guanidine carbonate to 70 cc. 20% oleum, the temperature allowed to rise to room temperature, and then the mixture heated 2 hrs. to 50-5°. After cooling, the mixture was added with vigorous stirring to cold aqueous Na2CO3. Filtration, solution in 2N Na2CO3, separation of the insol. residue, precipitation with AcOH, filtration, washing with water, and drying gave 22.5 g. 2-amino-4-hydroxy-5-(3,4-dichlorophenyl)-6-ethylpyrimidine (II), m. 180-90° and 230-40°. I, b0.2 123-30°, was obtained by the reaction of EtOH with 3,4-C6H3Cl2CH(CN)COEt, m. 106°. Refluxing 2 hrs. a mixture of 10 g. II, 8 cc. anhydrous AcOH, and 40 cc. anhydrous pyridine gave a solution that was concentrated in vacuo. The residue was taken up in water, the solution acidified with HCl (to Congo red), and the precipitate filtered off, washed with water, and dried in vacuo to give 10.3 g. 2-acetamido-4-hydroxy-5-(3,4-dichlorophenyl)-6-ethylpyrimidine (III), m. 250°. Heating III 1 hr. to 55-60° with 8 cc. POCl3 gave a solution that was taken up with ice-water, and neutralized with cold NH3. The product obtained was filtered off, washed with water, and dried in vacuo to give 10.8 g. III 4-chloro derivative (IV), m. 200°. IV with NaOH in hot EtOH gave 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethylpyrimidine, m. 166°. Cf. following abstract

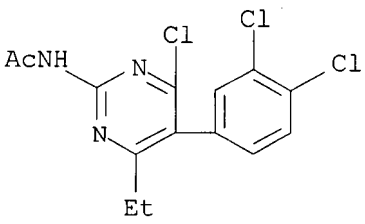
IT 100124-03-6P, Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- 106472-60-0P, Pyrimidine, 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

RN 100124-03-6 CAPLUS
CN Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



RN 106472-60-0 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI)

(CA INDEX NAME)



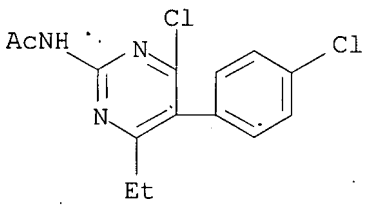
L12 ANSWER 43 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1959:23429 CAPLUS
DN 53:23429
OREF 53:4315e-h
TI 2,4 - Diamino - 5 - (4' - chlorophenyl) - 6 - ethylpyrimidine
IN Jacob, Robert M.
PA Societe des usines chimiques de Rhone-Poulenc
SO Addn. to Fr. 1,070,420 (preceding abstr.)
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 61896		19550518	FR	

AB The title compound was prepared by a modification of the method of Fr. 1,070,450. Thus, 55 g. dry NH:C(NH2)2.H2CO3 added portionwise to 197 cc. 20% H2SO4 at 0°, 78.7 g. EtCOCH(p-ClC6H4)CO2Et added with stirring at -10°, the temperature allowed to rise and maintained 2 hrs. at 50°, the resulting solution added to a stirred mixture containing 54 g. Na2CO3, 3.7 l. H2O and 1.7 kg. crushed ice, the precipitated solid filtered off, washed with H2O, suspended in 225 cc. MeOH, neutralized with 5 cc. 22°B.acte.e. NH3, the solid filtered off, washed with MeOH and H2O and dried at 100° gave 53 g. 2-amino-4-hydroxy-5-(4'-chlorophenyl)-6-ethylpyrimidine (I), m. 234°. I (50 g.) refluxed 1.5 hrs. with 30.6 g. Ac2O in 150 cc. C5H5N (Ia), Ia removed in vacuo, H2O added, the solution acidified (Congo red) with HCl, the precipitate filtered off, washed with H2O and dried at 100° gave 53 g. 2-acetylamino derivative of I (II), m. 260° (264° from EtOH). II (52 g.) with 100 cc. POCl3 heated 5 hrs. at 70°, excess POCl3 removed in vacuo, the residue treated with 400 g. crushed ice, neutralized with NH4OH, the product filtered off, washed with H2O and dried gave 55 g. 2-acetylamino-4-chloro-5-(4'-chlorophenyl)-6-ethylpyrimidine (III) m. 232° (235° from EtOH). III (50 g.) autoclaved 6 hrs. at 155° with 250 cc. EtOH and 200 g. NH3, the mixture cooled, the crystals filtered off, washed with EtOH and dried gave 34 g. title compound, m. 240° (241° from EtOH).

IT 100716-90-3P, Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

RN 100716-90-3 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



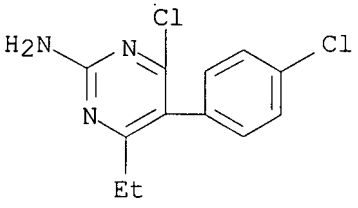
L12 ANSWER 44 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1959:23428 CAPLUS
DN 53:23428
OREF 53:4315c-e
TI 2,4-Diamino-5-(4'-chlorophenyl)-6-ethylpyrimidine
IN Jacob, Robert M.
PA Societe des usines chimiques de Rhone-Poulenc
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 1070420		19540726	FR	

AB HN:C(NH2)2.H2SO4.0.5H2O (10.8 g.) added slowly to 43 cc. 20% H2SO4 at 0°, the solution treated portionwise with 24 g. EtCOCH(p-ClC6H4)CO2Et, the mixture allowed to heat to room temperature, heated 1 hr. at 80°, poured onto crushed ice, the precipitated solid taken up in 200 cc. H2O and 30 cc. 36°B.acte.e. NaOH, and acidified with AcOH gave crude 2-amino-4-hydroxy-5-(4'-chlorophenyl)-6-ethylpyrimidine (I), m. 210° (250° from EtOH). I(11.5 g.) refluxed 1 hr. with 80 cc. POCl3, evaporated in vacuo, the residue poured into ice-water, the solution neutralized with NH4OH, extracted with Et2O, the extract dried (Na2SO4) and the Et2O evaporated gave the 4-Cl derivative of I, m. 160° (163° from EtOH). This (1.6 g.) heated 6 hrs. at 130° in a sealed tube with 10 cc. EtOH saturated with NH3, the mixture cooled, the precipitate filtered off and washed with EtOH gave pure title compound, m. 241°; HCl salt, m. 270°. The starting EtCOCH(p-ClC6H4)CO2Et was prepared by condensation of EtCO2Et with p-ClC6H4CH2CN (b14 138-9°), convertion of the resulting nitrile (m. 52°) to the corresponding ethyl iminoester, and careful hydrolysis of the latter.

IT 55694-06-9P, Pyrimidine, 2-amino-4-chloro-5-(p-chlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

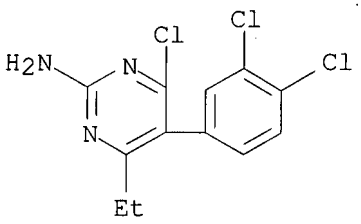
RN 55694-06-9 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-5-(4-chlorophenyl)-6-ethyl- (9CI) (CA INDEX NAME)



L12 ANSWER 45 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1957:91119 CAPLUS
DN 51:91119
OREF 51:16569b-d
TI Pyrimidines
IN Jacob, Robert M.
PA Societe des usines chimiques de Rhone-Poulenc
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 748358		19560502	GB	

AB Pyrimidines possessing antimalarial activity are prepared by treating 2-amino-4-chloro-5-(3',4'-dichlorophenyl)-6-ethylpyrimidine or its N-acyl derivs. with an excess of the appropriate amine or amine salt. Thus, 5 g. 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethylpyrimidine (I), 14 cc. iso-PrNH2, and 14 cc. EtOH heated 6 hrs. in a sealed tube at 180-5°, cooled, filtered, and recrystd. from EtOH yield 3.5 g. 2-amino-4-isopropylamino-5-(3,4-dichlorophenyl)-6-ethylpyrimidine, m. 193°. Similarly Me2NH is bubbled into a suspension of I in (CH2OH)2 to give the corresponding 4-dimethylamino derivative, m. 203° (from EtOH).
IT 100124-03-6, Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl-
(amination of)
RN 100124-03-6 CAPLUS
CN Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



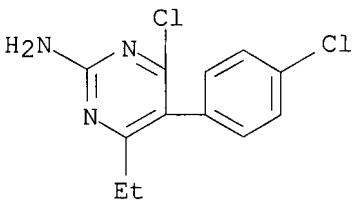
L12 ANSWER 46 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1957:91117 CAPLUS
DN 51:91117
OREF 51:16568e-g
TI Pyrimidine derivatives
PA Burroughs, Wellcome & Co. (U.S.A.) Inc.; Wellcome Foundation Ltd.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 749051		19560516	GB	

AB An alternative method for the preparation of a compound useful in malaria treatment, 2,4-diamino-5-p-chlorophenyl-6-ethylpyrimidine (I), from 2-amino-4-hydroxy-5-p-chlorophenyl-6-ethyldihydropyrimidine (II) is given. Thus when 5.0 g. II, prepared as in Brit. 734,842 (cf. C.A. 50, 7883b), and 12.0 g. S were powdered, mixed, and heated at 190-210° until H2S evolution ceased (about 3 hrs.), and the excess S was extracted with CS2, the residue dissolved in NaOH, and precipitated with HOAc, 3.5 g. dehydrogenated II (III), m. 271°, on repptn. from NaOH with HOAc, resulted. A mixture of 10 g. III. 25 g. P2S5, and 70 ml. tetrahydronaphthalene heated at 170-5° 2 hrs. cooled, diluted with petr. ether, the precipitate dissolved in 200 ml. H2O and 50 ml. concentrated NH4OH, clarified with C, and repptd. with excess glacial HOAc gave 5.1 g. 4-mercapto analog (IV) of III. Then 2.5 g. IV in 50 ml. 30% alc. NH3 heated in a bomb at 180° for 16 hrs., evaporated to dryness, washed with dilute NaOH, and filtered gave 1.2 g. I, m. 235°. Longer heating or higher temperature (190°) increased yield. Treating III with POCl3 to give the 4-chloro derivative with subsequent bomb reaction with alc. ammonia also gave I.

IT 55694-06-9P, Pyrimidine, 2-amino-4-chloro-5-(p-chlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

RN 55694-06-9 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-5-(4-chlorophenyl)-6-ethyl- (9CI) (CA INDEX NAME)



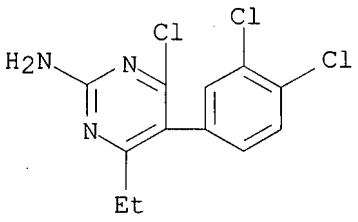
L12 ANSWER 47 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1957:52170 CAPLUS
DN 51:52170
OREF 51:9715h-i,9716a-b
TI Pyrimidine derivatives
PA Societe des usines chimiques de Rhone-Poulenc
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 755997		19560829	GB	

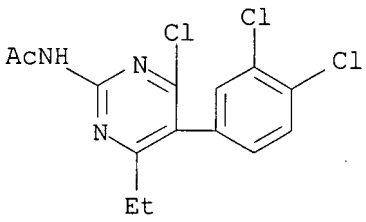
AB Therapeutically active pyrimidines are prepared by treatment of guanidine (I) with 3,4-Cl2C6H3CH(COEt)CO2Et (II), followed by N-acylation. Thus 18.7 g. I carbonate is gradually added to 70 cc. 20% oleum at 0°, followed by the addition, at -10° to -20°, of 30 g. II, the mixture then warmed 2 hrs. at 50-55°, cooled, run into cold aqueous Na2CO3 solution, and the product that separates filtered off and purified by precipitation with acid from aqueous alkaline, yielding 22.5 g. 2-amino-4-hydroxy-5-(3,4-dichlorophenyl)-6-ethylpyrimidine (III), m. about 180-90°, resolidifying, and remelting at about 230-40°. A mixture of 10 g. III, 8 cc. Ac2O, and 40 cc. dry pyridine refluxed 2 hrs., concentrated in vacuo, and the residue treated with aqueous HCl gives 10.3 g. 2-AcNH analog (IV) of III, m. 250°. IV treated with 8 cc. POCl3 1 hr. at 55-60°, then diluted with ice and NH3, gives 10.8 g. 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethylpyrimidine (V), m. 200°, hydrolyzed by NaOH in hot EtOH to the 2-H2N compound, m. 166°. II, b0.2 123-30°, is prepared by alcoholysis of 3,4-Cl2C6H3CH(COEt)CN (VI), m. 106°, prepared by condensation of EtCO2Et with 3,4-Cl2C6H3CH2CN in the presence of alkali.

IT 100124-03-6P, Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- 106472-60-0P, Pyrimidine, 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

RN 100124-03-6 CAPLUS
CN Pyrimidine, 2-amino-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



RN 106472-60-0 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(3,4-dichlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)


AcNH
N
N
Cl
Cl
Cl
Et

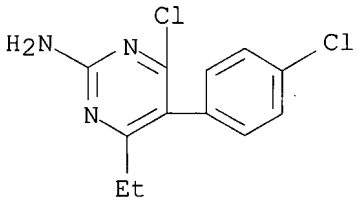
L12 ANSWER 48 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1955:65047 CAPLUS
DN 49:65047
OREF 49:12545f-i,12546a-b
TI Thioethers and esters and their salts
PA Cilag Ltd.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 718322		19541110	GB 1952-19453	19520731

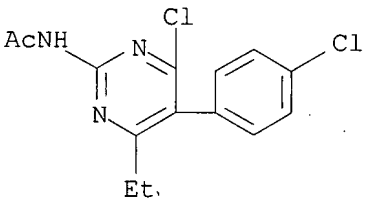
AB Products having good bactericidal and vermicidal properties have been prepared with the general formula 2,4,5-HO(Y)ZC6H2SR where Y is a hydroxy or an amino group, Z a H atom, a sulfonic acid or sulfonic acid salt, and R an alkyl, hydroxyalkyl, carboxyalkyl, carbamidoalkyl, aminoalkyl, etc., group. The alkyl radical may be interrupted one or more times in the chain by O atoms, NH, or N-alkyl groups. The latter may in turn be linked to aryl residues which may contain solubilizing groups such as amino, sulfonic acid, hydroxy, or carboxyl groups. R may also be an acyl or aroyl radical with solubilizing groups or a carbamyl, N-alkyl, N,N-dialkylcarbamyl, or a pyrimidyl group, or salts of these compds. Thus, to 4.05 g. of Na in 250 cc. of absolute EtOH, 25 g. 2,4-(HO)2C6H3SH is added to produce a clear solution of the thiol Na salt. After the addition of 25 g. of BuBr and heating on a steam bath for 3 h. EtOH is distilled off and the residue taken up in ether. The ethereal solution is washed with water, dried, and the ether distilled yielding 27.4 g., 2,4-(HO)2C6H3SR (I) (R = Bu), b0.01 120°. I is a colorless oil, insol. in water, dilute HCl, and petr. ether, readily soluble in dilute NaOH, and miscible with EtOH, dioxane, acetic ester, ether, CHCl3 and benzene. Other I prepared are (R, b.p./mm. given): Me2CHCH2, 113-15°/0.06; BuOCH2CH2, 144-5°/0.08; iso-Am, 120-2°/ 0.01; n-C6H13, 126°/0.07; PhCH2, 140-5°/0.002 (m. 86-7°); Bz, -, (m. 136-7°); p-O2NC6H4CO, - (m. 173-6°); p-H2NC6H4CO, - (m. 210-11°); EtO2CCH2, 131-2°/0.04: HO2CCH2 (II). - (m. 99-100°) 4,2-HOC6H3.S.CH2.CO.O.Pb.O.m. 175°, is prepared from II) HOCH2CH2OCH2CH2, 168°/0.04; PhOCH2CH2, - (m. 106-8°); PhOCH2CH2OCH2CH2, 187-8° (m. 68-9°); 4,6-dimethyl-2-pyrimidyl, - (m. 191-3°); di-Et carbamate, - (m. 149-50°); 4-HO2CC6H4OCH2CH2OCH2CH2, - (m. 76-8°); Et2NCH2CH2, - (Reinecke salt, m. 120°); H2NCONHCH2, - (m. 110°) also prepared were the following 2,4-HO(H2N)C6H3SR (R, m.p. given): PhOCH2CH2OCH2CH2, 174-6°; Et2NCH2CH2, - (Reinecke salt, m. 175°); Bu, 91°; H2NCONHCH2, 150-2°.

IT 55694-06-9P, Pyrimidine, 2-amino-4-chloro-5-(p-chlorophenyl)-6-ethyl- 100716-90-3P, Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

RN 55694-06-9 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-5-(4-chlorophenyl)-6-ethyl- (9CI) (CA INDEX NAME)



RN 100716-90-3 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



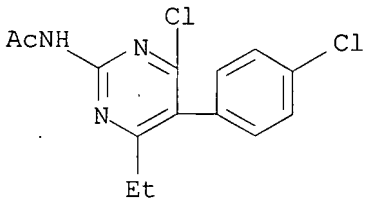
L12 ANSWER 49 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1955:36197 CAPLUS
DN 49:36197
OREF 49:7007c-e.
TI Dehalogenation of halo-substituted aminopyrimidines
IN Kaiser, Wilhelm; Grundmann, Christoph
PA DEHYDAG Deutsche Hydrierwerke G. m. b. H.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 915337		19540719	DE 1944-D4541	19441010

AB The dehalogenation is effected by treating the halo-substituted aminopyrimidines in an NH3 atmospheric, possibly under pressure, with Zn dust in the presence of carboxylic amides which are liquid under the reaction conditions. A mixture of 2-Amino-4,6-dichloropyrimidine 200, Zn dust 300, and HCONH2 1000 parts by weight is heated in a NH3 atmospheric with stirring 10 hrs. at 100°, then triturated with water 7500 parts and filtered off; the filtrate gives on alkalinization 2-aminopyrimidine (I) 87 parts (75%), m. 127-8° (from iso-PrOH). I is also obtained from 2-amino-4-chloropyrimidine. 2-Amino-G-methylpyrimidine is similarly prepared from 2-amino-4-chloro-6-methylpyrimidine.

IT 100716-90-3P, Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

RN 100716-90-3 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



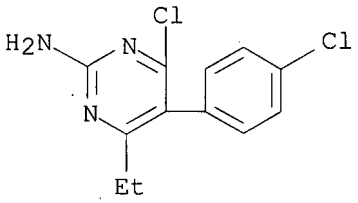
L12 ANSWER 50 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1955:36196 CAPLUS
DN 49:36196
OREF 49:7007a-c
TI 2,4-Diamino-5-(4-chlorophenyl)-6-ethylpyrimidine
IN Jacob, Robert M.
PA Societe des usines chimiques de Rhone-Poulenc
DT Patent
LA Unavailable
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2680740		19540608	US	
	DE 1079056			DE	

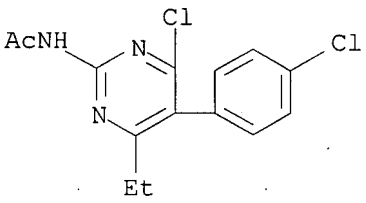
AB A compound having antimalarial properties is obtained by a synthesis consisting of (1) condensing guanidine with p-ClC6H4CH(COEt)CO2Et (I) in 15-40% oleum; (2) chlorinating the 2-amino-4-hydroxy-5-(4-chlorophenyl)-6-ethylpyrimidine (II) so obtained to the 4-Cl analog (III); and (3) treating the III with NH3 to obtain the desired 2,4-diamino-5-(4-chlorophenyl)-6-ethylpyrimidine (IV). Thus, 10.8 g. guanidine sulfate is added to 43 cc. 20% oleum at 0°, then 24 g. I, b0.15, 126-30°, the mix heated 1 hr. at 80°, the solution poured onto ice, and the precipitate taken up in 200 cc. H2O and 30 cc. 36° B.acte.e. caustic; acidification with HOAc gives II, m. 250° (from EtOH). II (11.5 g.) refluxed 1 hr. with 80 cc. POCl3, the product dissolved in water, neutralized with NH3 and extracted with ether gives III, m. 163° (from EtOH). III (1.6 g.) heated 6 hrs. at 130° in a sealed tube with 10 cc. EtOH saturated with NH3 gives on cooling IV, m. 241°.

IT 55694-06-9P, Pyrimidine, 2-amino-4-chloro-5-(p-chlorophenyl)-6-ethyl- 100716-90-3P, Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl-
RL: PREP (Preparation)
(preparation of)

RN 55694-06-9 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-5-(4-chlorophenyl)-6-ethyl- (9CI) (CA INDEX NAME)



RN 100716-90-3 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)



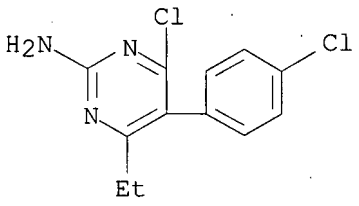
L12 ANSWER 51 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1954:71932 CAPLUS
DN 48:71932
OREF 48:12813a-d
TI Pyrimidine derivatives
IN Jacob, Robert M.; Liakhoff, Leonide
PA Societe des usines chimiques de Rhone-Poulenc
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 899656		19531214	DE	

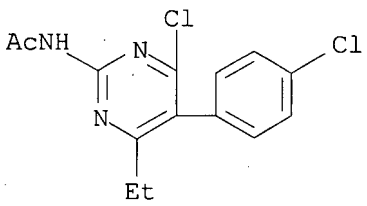
AB Amebicides (I) where A indicates a bivalent aliphatic radical with 2-6 C atoms, are prepared by condensing 2-amino-4-chloro-5-(p-chlorophenyl)-6-ethylpyrimidine (II) or the corresponding 2-acetamido derivative (III) with a diamine H2NANH2, preferably in a 2:1 molar ratio in the presence of a solvent such as PhOH (IV) and under heating. When III is used, the acetamido group is hydrolyzed after the condensation reaction. A mixture of II 13.4 g., m. 163°, 95% H2NCH2CH2NH2 1.58 g., and IV 30 g. is refluxed for 1 hr., the solution poured into dilute aqueous NaOH, and the precipitate filtered off, washed with water, and dried to give I (A = CH2CH2) 14 g., m. 352-3°. Similarly are prepared the following I (A given): (CH2)4, m. 226°; (CH2)6, m. 195°, solidifying remelting at 215-16° (from EtOH).

IT 55694-06-9, Pyrimidine, 2-amino-4-chloro-5-(p-chlorophenyl)-6-ethyl- 100716-90-3, Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl-
(reaction with diamines)

RN 55694-06-9 CAPLUS
CN 2-Pyrimidinamine, 4-chloro-5-(4-chlorophenyl)-6-ethyl- (9CI) (CA INDEX NAME)

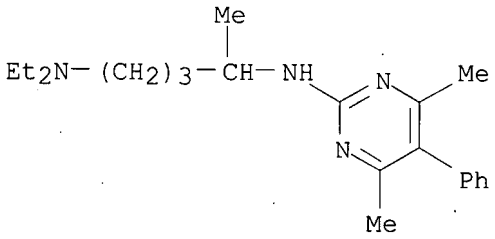


RN 100716-90-3 CAPLUS
CN Pyrimidine, 2-acetamido-4-chloro-5-(p-chlorophenyl)-6-ethyl- (6CI) (CA INDEX NAME)

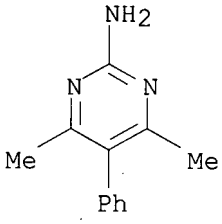


L12 ANSWER 52 OF 52 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1954:18367 CAPLUS
DN 48:18367
OREF 48:3369g-i,3370a-c
TI Synthesis of 5-phenyl-4,6-dimethyl-2-pyrimidinol and derivatives from the cyclization of urea with 3-phenyl-2,4-pentanedione
AU Hauser, Charles R.; Manyik, Robert M.
CS Duke Univ., Durham, NC
SO Journal of Organic Chemistry (1953), 18, 588-93
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA Unavailable
OS CASREACT 48:18367
AB Stirring 0.4 mole PhCH2Ac, 0.8 mole Ac2O, and 0.12 mole p-MeC6H4SO3H 5 min., saturation with BF3 3-4 hrs. at 0-10°, warming to room temperature during 3 hrs., refluxing 1 hr. with 1.5 moles NaOAc in 500 cc. H2O, and ligroine extraction gave 63% PhCHAc2, m. 58.5-9.5°. Acylation of 0.22 mole Me2CO with PhCH2CO2Et by the NaNH2 method (C.A. 40, 557.8) gave 36% PhCH2COCH2Ac, b. 150-3°. Refluxing 0.01 mole PhCHAc2, 0.016 mole urea, 0.012 mole concentrated HCl, and 80 cc. EtOH 10 hrs., cooling, and addition of Et2O gave a precipitate of 89% HCl salt (I) of 4,6-dimethyl-5-phenyl-2-pyrimidinol, m. 245° (decomposition); free base, m. 241-2.5°. Similarly prepared in 24% yield, 4,6-dimethyl-5-phenyl-2-pyrimidinethiol, m. 225.5-6° (decomposition) in a sealed tube (HCl salt, m. 241°); mixing 0.01 mole PhCHAc2, 0.015 mole thiourea, 1 cc. concentrated HCl, and 15 cc. MeOH, addition of 2.7 cc. HCl after 20 hrs., and letting stand 4 days gave a better yield (0.95 g.). Refluxing 0.16 mole I and 1.2 moles POCl3 10 hrs., distillation of the excess POCl3, and addition to ice gave 85% 2-chloro-4,6-dimethyl-5-phenylpyrimidine (II), m. 122.5-4°. 2-Chloro-4,6-dimethylpyrimidine (82% crude yield, from 4,6-dimethyl-2-pyrimidinol), b13 100-2.5°. Hydrogenation of II in HOAc with 1 mole NaOAc 3 hrs. over 5% Pd-C at 70° and 15 lb. H/sq. in. gave 81% 4,6-dimethyl-5-phenylpyrimidine (III), b25 157-9°, b7.5 130-1°, m. 61-3.5°; picrate, m. 152-3.5°. 4,6-Dimethylpyrimidine, (45%, prepared similarly), b. 157-61°. Stirring 0.11 g. atom KNH2 and 0.05 mole III in Et2O 1 hr. and stirring 1 hr. more with 0.06 mole MeOBz gave 36% 4-phenacyl-5-phenyl-6-methylpyrimidine-HCl, m. 180°; picrate, m. 197-8°. 4-Phenacyl-6-methylpyrimidine (35%), m. 69-9.5°; picrate, m. 183-3.5°. Heating 3.27 g. II and 40 cc. MeOH (saturated with NH3 at 0°) 23 hrs. at 150° gave 91% 2-amino-4,6-dimethyl-5-phenylpyrimidine, m. 180-1°; picrate, m. 242° (decomposition). Slow heating of 0.01 mole II, 0.025 mole Et2N(CH2)3CHMeNH2 (Noval diamine) and 1 g. PhOH to 110° and refluxing 2 hrs. gave 44% 2-(4-diethylamino-1-methylbutylamino)-4,6-dimethyl-5-phenylpyrimidine, b8 235-8°; dipicrate, m. 165.5-6.5°. Heating 0.013 mole III, 0.0128 mole p-H2NC6H4SO2NH2, and 0.019 mole anhydrous K2CO3 1 hr. at 190°, refluxing 1 hr. with 10 g. PhOH, then with 20 cc. 2N NaOH, and neutralization of the filtrate gave 49% 2-sulfanilamido-4,6-dimethyl-5-phenylpyrimidine, m. 283-5°.
IT 6333-65-9P, 1,4-Pentanediamine, N4-(4,6-dimethyl-5-phenyl-2-pyrimidinyl)-N1,N1-diethyl- 501356-16-7P, Pyrimidine, 2-amino-4,6-dimethyl-5-phenyl- 859208-57-4P, Pyrimidine, 2-amino-4,6-dimethyl-5-phenyl-, picrate 861814-24-6P, Sulfanilamide, N1-(4,6-dimethyl-5-phenyl-2-pyrimidinyl)-
RL: PREP (Preparation)
(preparation of)
RN 6333-65-9 CAPLUS
CN Pyrimidine, 2-[[4-(diethylamino)-1-methylbutyl]amino]-4,6-dimethyl-5-

phenyl- (8CI) (CA INDEX NAME)



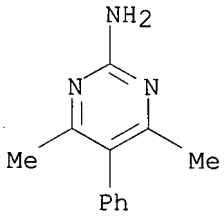
RN 501356-16-7 CAPLUS
CN 2-Pyrimidinamine, 4,6-dimethyl-5-phenyl- (9CI) (CA INDEX NAME)



RN 859208-57-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

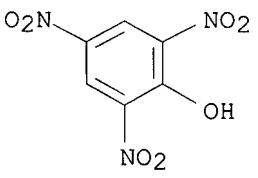
CM 1

CRN 501356-16-7
CMF C12 H13 N3

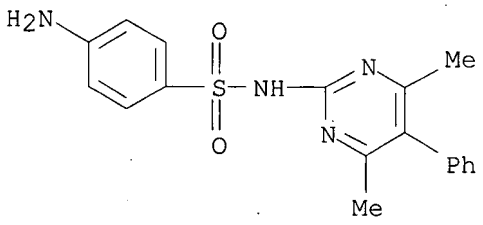


CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



RN 861814-24-6 CAPLUS
CN Sulfanilamide, N1-(4,6-dimethyl-5-phenyl-2-pyrimidinyl)- (5CI) (CA INDEX NAME)



```
=> log y
COST IN U.S. DOLLARS                          SINCE FILE     TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              274.51     453.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE     TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                              -40.56     -40.56

STN INTERNATIONAL LOGOFF AT 13:56:04 ON 30 SEP 2007
```